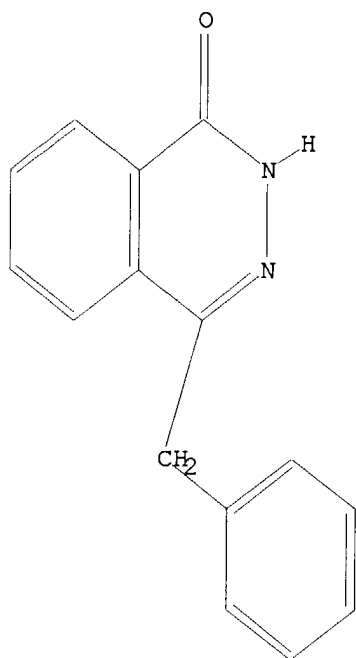


10/021506

=> d 18

L8 HAS NO ANSWERS

L8 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 18 sss full

FULL SEARCH INITIATED 16:55:23 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 3837 TO ITERATE

100.0% PROCESSED 3837 ITERATIONS

400 ANSWERS

SEARCH TIME: 00.00.01

L9 400 SEA SSS FUL L8

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
155.84	703.44

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
0.00	-10.40

CA SUBSCRIBER PRICE

FILE 'CAPLUS' ENTERED AT 16:55:30 ON 06 MAY 2004

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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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10/021506

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FILE COVERS 1907 - 6 May 2004 VOL 140 ISS 19
FILE LAST UPDATED: 5 May 2004 (20040505/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 19

L10 72 L9

=> d l10 1-72 ibib abs hitstr

L10 ANSWER 1 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:892770 CAPLUS

DOCUMENT NUMBER: 139:381498

TITLE: Phthalazinone derivatives useful as inhibitors of PARP (i.e., poly(ADP-ribose) polymerase) and their preparation, pharmaceutical compositions, and use, e.g., as potentiators in the treatment of cancer

INVENTOR(S): Martin, Niall Morrison Barr; Smith, Graeme Cameron Murray; Eversley, Penny Jane; Cockcroft, Xiao-Ling Fan; Kerrigan, Frank; Hoare, Janet; Dixon, Lesley

PATENT ASSIGNEE(S): Kudos Pharmaceuticals Limited, UK; Maybridge PLC

SOURCE: PCT Int. Appl., 131 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003093261	A1	20031113	WO 2003-GB1817	20030429

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

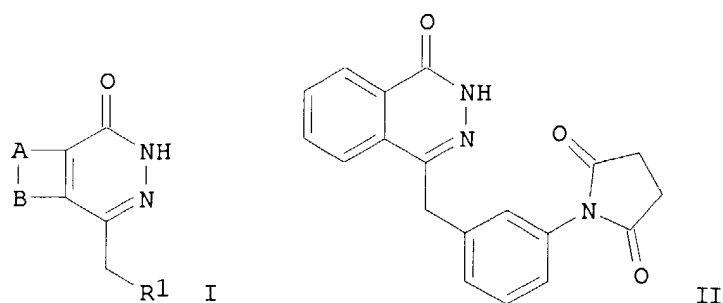
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004023968	A1	20040205	US 2003-426147	20030429
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PRIORITY APPLN. INFO.: US 2002-376497P P 20020430

OTHER SOURCE(S): MARPAT 139:381498

GI

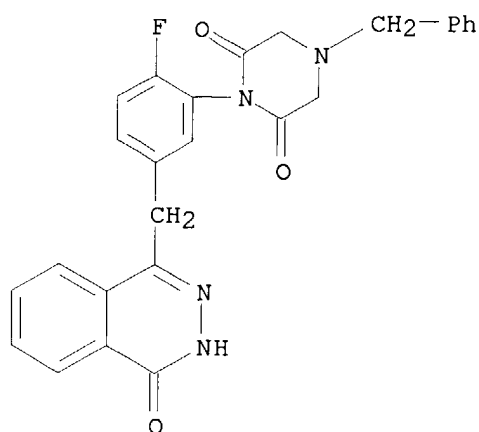


AB Title compds. I and their isomers, salts, solvates, chemical protected forms, and prodrugs thereof, are useful as pharmaceuticals, in particular, for the treatment of diseases ameliorated by inhibiting the activity of PARP, i.e., poly(ADP-ribose) polymerase [wherein: A and B together = optionally substituted, fused aromatic ring; R1 = C5-7 aryl group substituted in the meta position by the group R2, and optionally further substituted; R2 = 5- or 6-membered lactams or cyclic ureas, bound at the amide N, or 5- or 6-membered cyclic imides, including piperazine-2,6-diones, bound at the imide N]. I are claimed as useful for therapy, in human or animals, and particularly for 3 cases: (1) inhibiting the activity of PARP, preferably to maximize DNA repair inhibition; (2) in treatment of a variety of disorders, including cardiovascular conditions, ischemia, neurotoxicity, and inflammation; and (3) as an adjunct in cancer therapy, or for potentiating tumor cells for treatment with ionizing radiation or chemotherapeutics. Examples include 43 preps. of specific compds. I. For instance, phthalide was cyclocondensed with 3-nitrobenzaldehyde to give 2-(3-nitrophenyl)indan-1,3-dione, which was re-cyclized with hydrazine to give 4-(3-aminobenzyl)-2H-phthalazin-1-one. This amine was cyclized with succinic anhydride in refluxing acetic acid to give invention compound II, a preferred compound. In a test for inhibition of HeLa cellular PARP in vitro, II had an IC50 value of < 0.03 μ M, vs. 7.2 μ M for the base structure, 1(2H)-phthalazinone. In a test for potentiation of the alkylating agent Me methanesulfonate (MMS) against HeLa cells in vitro, several compds., including II, had potentiating factors (PF50) of ≥ 1 at 200 nM.

IT **623578-26-7P**, 4-Benzyl-1-[2-fluoro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]piperazine-2,6-dione
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (drug candidate; preparation of phthalazinone derivs. as PARP inhibitors)

RN 623578-26-7 CAPLUS

CN 2,6-Piperazinedione, 1-[5-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]-2-fluorophenyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



IT **623577-83-3P**, 4-[3-(2-Oxopyrrolidin-1-yl)benzyl]-2H-phthalazin-1-one **623577-84-4P**, 1-[3-[(4-Oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]pyrrolidine-2,5-dione **623577-85-5P**, 3-Methyl-1-[3-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]pyrrole-2,5-dione **623577-86-6P**, 4-Nitro-2-[3-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]isoindole-1,3-dione **623577-87-7P**, 2-[3-[(4-Oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-3a,4,5,6,7,7a-hexahydroisoindole-1,3-dione **623577-88-8P**, 5-Methyl-2-[3-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]isoindole-1,3-dione **623577-89-9P**, 1-[3-[(4-Oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-3-phenylpyrrole-2,5-dione **623577-90-2P**, 2-[3-[(4-Oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]isoindole-1,3-dione **623577-91-3P**, 8-Methyl-4-[3-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-4-azatricyclo[5.2.1.0^{2,6}]dec-8-ene-3,5-dione **623577-92-4P**, 6-[3-[(4-Oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-2,3-dihydro-[1,4]dithiino[2,3-c]pyrrole-5,7-dione **623577-93-5P**, 3,4-Dimethyl-1-[3-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]pyrrole-2,5-dione **623577-94-6P**, 1-[3-[(4-Oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]pyrrole-2,5-dione **623577-95-7P**, 3-[3-[(4-Oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-3-azabicyclo[3.2.0]heptane-2,4-dione **623577-96-8P**, 4-[3,5-Bis(trifluoromethyl)phenyl]-2-[3-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-3a,4,7,7a-tetrahydroisoindole-1,3-dione **623577-99-1P**, 1-[3-[(4-Oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-3-phenylpyrrolidine-2,5-dione **623578-00-7P**, 3,3-Dimethyl-1-[3-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]pyrrolidine-2,5-dione **623578-01-8P**, 3-Oct-2-enyl-1-[3-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]pyrrolidine-2,5-dione **623578-02-9P**, 3-[3-[(4-Oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-3-azabicyclo[3.1.0]hexane-2,4-dione **623578-03-0P**, 3-(Hex-2-enyl)-1-[3-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]pyrrolidine-2,5-dione **623578-04-1P**, 3-Methyl-1-[3-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]pyrrolidine-2,5-dione **623578-05-2P**, 2-[3-[(4-Oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-2-azaspiro[4.4]nonane-1,3-dione **623578-06-3P**, 1-[3-[(4-Oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-3-phenyl-3-propylpyrrolidine-2,5-dione **623578-11-0P**, 1-[2-Fluoro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]pyrrolidine-2,5-dione **623578-13-2P**, 1-[2-Fluoro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]piperidine-2,6-dione **623578-15-4P**, 1-[2-Fluoro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-3-phenylpyrrolidine-2,5-dione **623578-17-6P**, 1-[2-Fluoro-5-[(4-oxo-

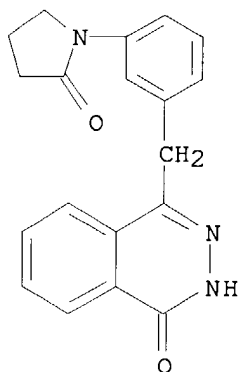
3,4-dihydrophthalazin-1-yl)methyl]phenyl]-3,3-dimethylpyrrolidine-2,5-dione **623578-19-8P**, 3-[2-Fluoro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-3-azabicyclo[3.1.0]hexane-2,4-dione **623578-22-3P**, 1-[2-Fluoro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-3-(oct-2-enyl)pyrrolidine-2,5-dione **623578-24-5P**, 1-[2-Fluoro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-3-(hex-2-enyl)pyrrolidine-2,5-dione **623578-25-6P**, 1-[2-Fluoro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-3-(non-2-enyl)pyrrolidine-2,5-dione **623578-27-8P**, 2-[2-Fluoro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-2-azaspiro[4.4]nonane-1,3-dione **623578-29-0P**, 3-Benzyl-1-[2-fluoro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]pyrrolidine-2,5-dione **623578-31-4P**, 1-[2-Fluoro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-3-methylpyrrolidine-2,5-dione **623578-34-7P**, (S)-3-[[(Benzyloxy) carbonyl] amino]-1-[2-fluoro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]pyrrolidine-2,5-dione **623578-36-9P**, 1-[2-Fluoro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-4-methylpiperazine-2,6-dione **623578-37-0P**, 1-[2-Fluoro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]piperazine-2,6-dione **623578-42-7P**, 1-[2-Chloro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]pyrrolidine-2,5-dione **623578-45-0P**, 1-[2-Chloro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-3-phenylpyrrolidine-2,5-dione **623578-48-3P**, 1-[2-Chloro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-3-(hexen-2-yl)pyrrolidine-2,5-dione **623578-50-7P**, 3-[2-Chloro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-3-azabicyclo[3.1.0]hexane-2,4-dione **623578-52-9P**, 1-[2-Chloro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]piperidine-2,6-dione **623578-57-4P**, 1-[2-Methoxy-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]pyrrolidine-2,5-dione

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of phthalazinone derivs. as PARP inhibitors)

RN 623577-83-3 CAPLUS

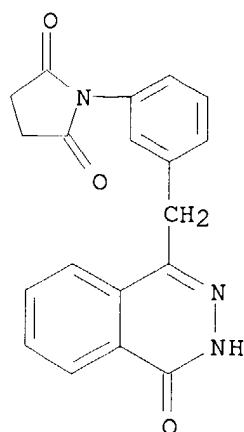
CN 1(2H)-Phthalazinone, 4-[[3-(2-oxo-1-pyrrolidinyl)phenyl]methyl]- (9CI)
(CA INDEX NAME)



RN 623577-84-4 CAPLUS

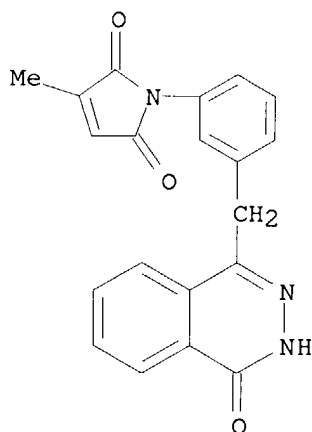
CN 2,5-Pyrrolidinedione, 1-[3-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

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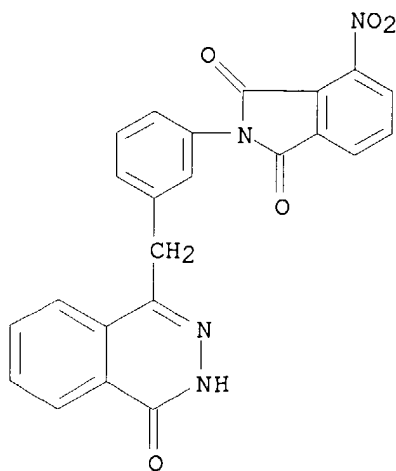
RN 623577-85-5 CAPLUS

CN 1H-Pyrrole-2,5-dione, 1-[3-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]phenyl]-3-methyl- (9CI) (CA INDEX NAME)



RN 623577-86-6 CAPLUS

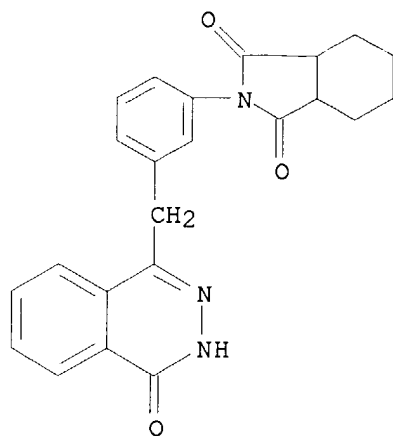
CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]phenyl]-4-nitro- (9CI) (CA INDEX NAME)



10/021506

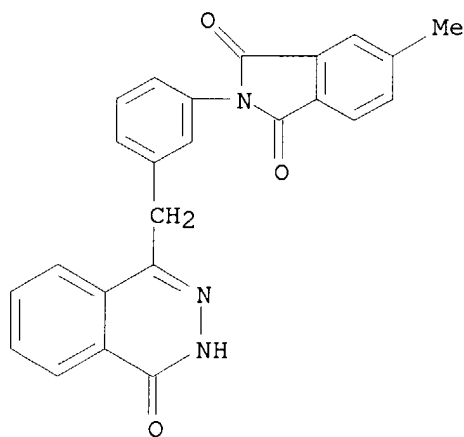
RN 623577-87-7 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]phenyl]hexahydro- (9CI) (CA INDEX NAME)



RN 623577-88-8 CAPLUS

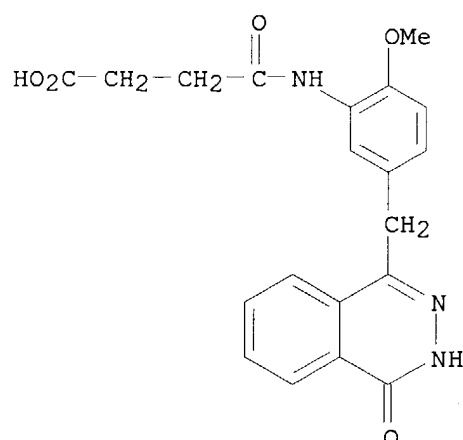
CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]phenyl]-5-methyl- (9CI) (CA INDEX NAME)



RN 623577-89-9 CAPLUS

CN 1H-Pyrrole-2,5-dione, 1-[3-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]phenyl]-3-phenyl- (9CI) (CA INDEX NAME)

10/021506



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:535075 CAPLUS

DOCUMENT NUMBER: 139:285639

TITLE: The imidazo[2,1-a]isoindole system. A new skeletal basis for antiplasmodial compounds

AUTHOR(S): del Olmo, Esther; Armas, Marlon Garcia; Ybarra, Maria Ines; Lopez, Jose Luis; Oporto, Patricia; Gimenez, Alberto; Deharo, Eric; San Feliciano, Arturo

CORPORATE SOURCE: Departamento de Quimica Farmaceutica, Facultad de Farmacia, Salamanca, 37007, Spain

SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(16), 2769-2772

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:285639

AB The in vitro antiplasmodial activity of some dihydrostilbenamides, phthalazinones, imidazo[2,1-a]isoindole and pyrimido[2,1-a]isoindole derivs. related to the natural dihydrostilbenoid isonotholaenic acid is reported. The evaluation was performed on cultures of F32 strain of Plasmodium falciparum and potent representative compds. were also evaluated in the ferriprotoporphyrin IX biomineralization inhibition test (FBIT). Compds. having the imidazo[2,1-a]isoindole skeleton were the most active and one compound of this group resulted to be as potent as chloroquine, but acting through a mechanism different that of the inhibition of heme biomineralization.

IT 10001-31-7P 32003-14-8P 53242-88-9P

57835-95-7P 365222-03-3P 365222-04-4P

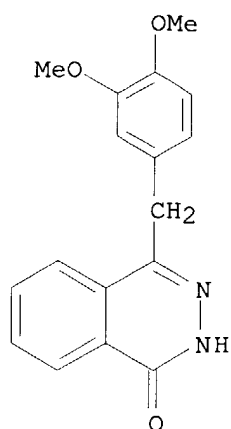
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and structure-activity relationship of imidazo[2,1-a]isoindole derivs. as antiplasmodial compds.)

RN 10001-31-7 CAPLUS

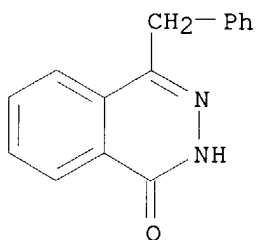
CN 1(2H)-Phthalazinone, 4-[(3,4-dimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

10/021506



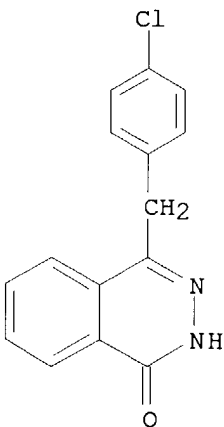
RN 32003-14-8 CAPLUS

CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 53242-88-9 CAPLUS

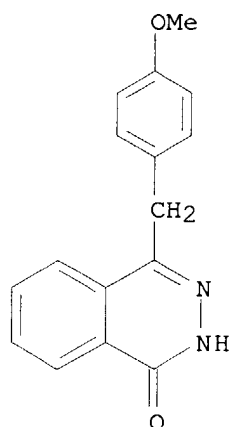
CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)



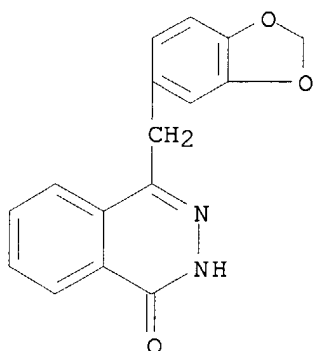
RN 57835-95-7 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

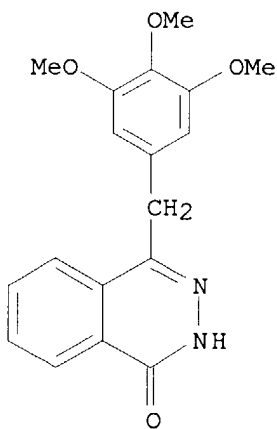
10/021506



RN 365222-03-3 CAPLUS
CN 1(2H)-Phthalazinone, 4-(1,3-benzodioxol-5-ylmethyl)- (9CI) (CA INDEX NAME)



RN 365222-04-4 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(3,4,5-trimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

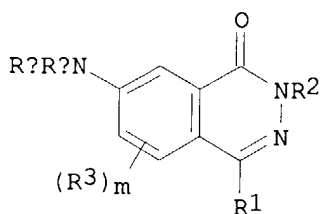
8

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/021506

L10 ANSWER 3 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:133246 CAPLUS
DOCUMENT NUMBER: 138:170245
TITLE: Preparation of aminophthalazinones as kinase inhibitors.
INVENTOR(S): Pulici, Maurizio
PATENT ASSIGNEE(S): Pharmacia Italia S.P.A., Italy
SOURCE: PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003014090	A1	20030220	WO 2002-EP8544	20020730
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003073692	A1	20030417	US 2001-922729	20010807
PRIORITY APPLN. INFO.:			US 2001-922729	A 20010807
OTHER SOURCE(S):		MARPAT 138:170245		
GI				



AB A method for treating diseases associated with altered protein kinase activity comprises administration of title compds. [I; Ra, Rb = H, (substituted) alkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heterocyclyl, heterocycloalkyl; or 1 or Ra, Rb = H, (substituted) alkyl, the other = COR', CONHR', CO2R', SO2R'; R' = H, (substituted) alkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heterocyclyl, heterocyclylalkyl; R1 = CHR4R5; R4, R5 = H, (substituted) alkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heterocyclyl, heterocyclylalkyl; or R1 = NHR'', NR'COR'', NR'CONHR'', NR'SO2R''; R'' = H, R'; R2 = H, (substituted) alkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heterocyclyl, heterocyclylalkyl; R3 = halo, NO2, CO2H, cyano, (substituted) alkyl, aryl, aralkyl, heterocyclyl, heterocyclylalkyl; or R3

= COR', CONHR', SO₂R', NR'R'', NR'COR'', NR'CONHR', NR'SO₂R''; m = 0-3] (no data). Thus, 6-nitrophthalide was refluxed 11 h with Br₂ and H₂O₂ in H₂O to give 6-nitro-3-bromo-3H-isobenzofuran-1-one. The latter in Et propionate at 70-75° was treated with PPh₃ in Et propionate followed by heating and stirring overnight to give (5-amino-3-oxo-1,3-dihydroisobenzofuran-1-yl)triphenylphosphonium bromide. The latter in CH₂Cl₂/trifluoroethanol/HOAc was stirred 9 h with 4-(4-formyl-3-methoxyphenoxy)butyryl aminomethylated resin followed by addition of BH₃.pyridine to give after 40 h [5-[2-methoxy-4-[3-(4-resin-benzylcarbamoyl)propoxy]benzylamino]-3-oxo-1,3-dihydroisobenzofuran-1-yl]triphenylphosphonium bromide. This was stirred with pyridine-3-carboxaldehyde and Et₃N in CH₂Cl₂ for 20 h to give 4-[3-methoxy-4-[[3-oxo-1-(1-pyridin-3-ylmethylidene)-1,3-dihydroisobenzofuran-5-ylamino]methyl]phenoxy]-N-(4-resin-benzyl)butyramide. This was converted to N-(4-oxo-1-pyridin-3-ylmethyl-3,4-dihydrophthalazin-6-yl)benzamide. I are useful in the treatment of diseases caused by and/or associated with an altered protein kinase activity such as cancer, cell proliferative disorders, Alzheimer's disease, viral infections, autoimmune diseases and neurodegenerative disorders.

IT 497254-62-3P, 4-(4-Oxo-6-propionylamino-3,4-dihydrophthalazin-1-ylmethyl)benzoic acid methyl ester 497254-63-4P

497254-64-5P 497254-65-6P 497254-66-7P
 497254-67-8P 497254-68-9P 497254-69-0P
 497254-70-3P 497254-71-4P 497254-72-5P
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 497256-80-1P

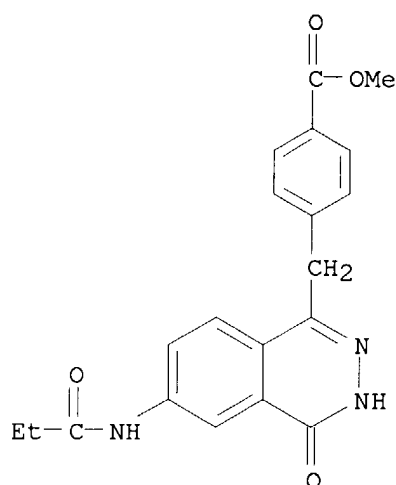
10/021506

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(claimed compound; preparation of aminophthalazinones as kinase inhibitors)

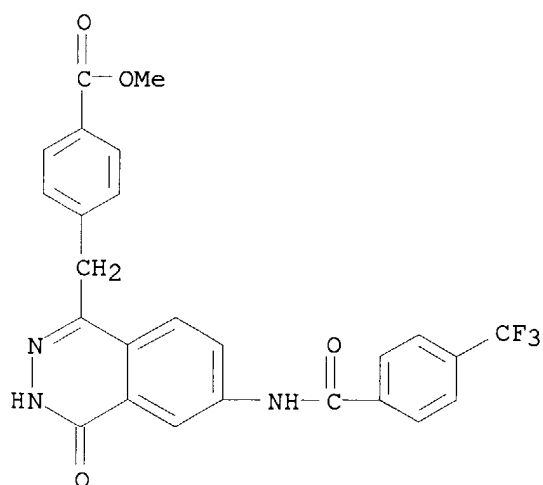
RN 497254-62-3 CAPLUS

CN Benzoic acid, 4-[[3,4-dihydro-4-oxo-6-[(1-oxopropyl)amino]-1-
phthalazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 497254-63-4 CAPLUS

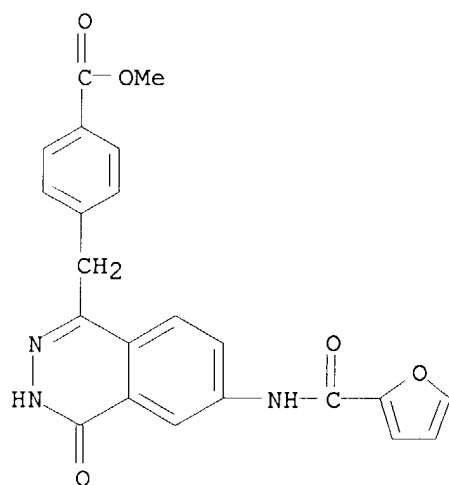
CN Benzoic acid, 4-[[3,4-dihydro-4-oxo-6-[[4-(trifluoromethyl)benzoyl]amino]-1-phthalazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 497254-64-5 CAPLUS

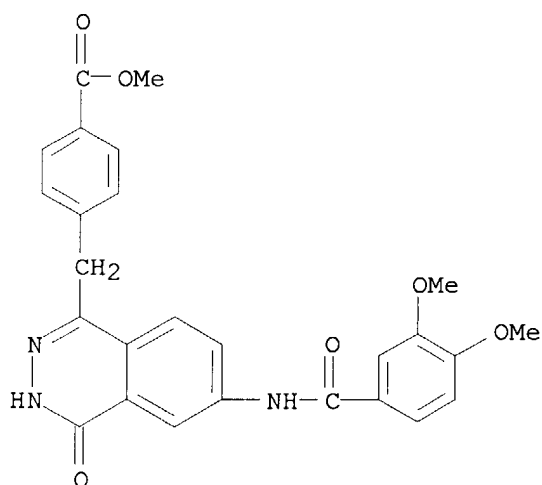
CN Benzoic acid, 4-[[6-[(2-furanylcarbonyl)amino]-3,4-dihydro-4-oxo-1-phthalazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

10/021506



RN 497254-65-6 CAPLUS

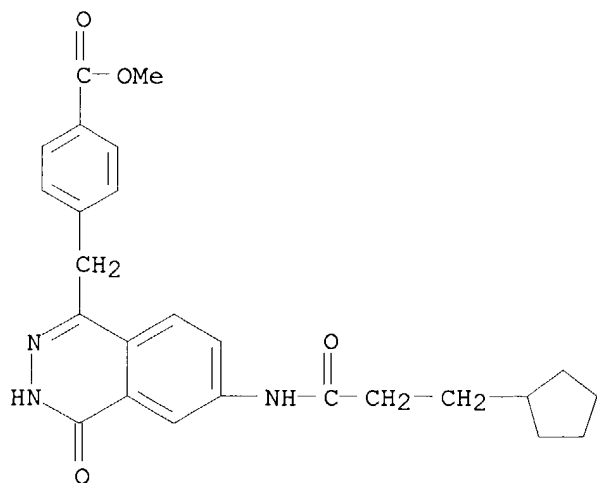
CN Benzoic acid, 4-[[6-[(3,4-dimethoxybenzoyl)amino]-3,4-dihydro-4-oxo-1-phthalazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 497254-66-7 CAPLUS

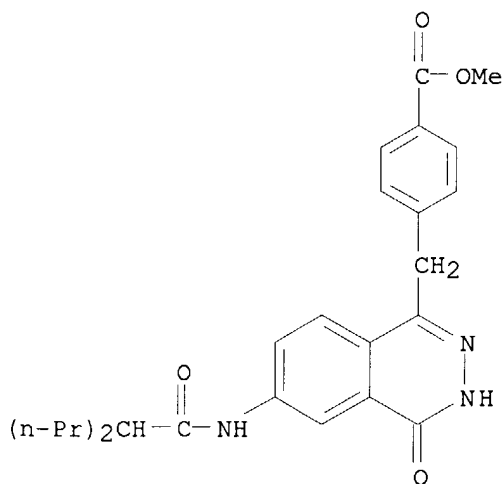
CN Benzoic acid, 4-[[6-[(3-cyclopentyl-1-oxopropyl)amino]-3,4-dihydro-4-oxo-1-phthalazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

10/021506



RN 497254-67-8 CAPLUS

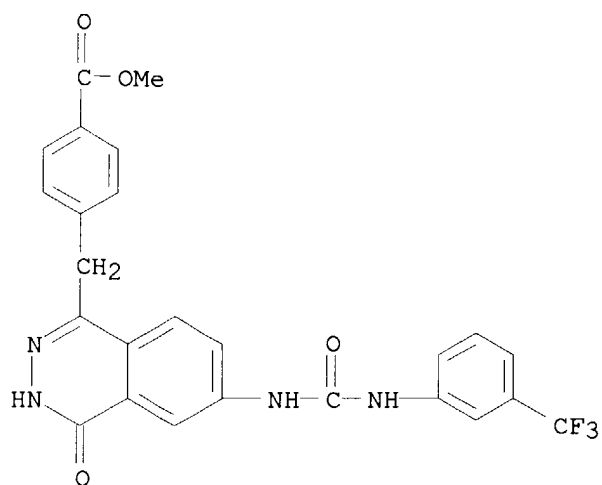
CN Benzoic acid, 4-[[3,4-dihydro-4-oxo-6-[(1-oxo-2-propylpentyl)amino]-1-phthalazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 497254-68-9 CAPLUS

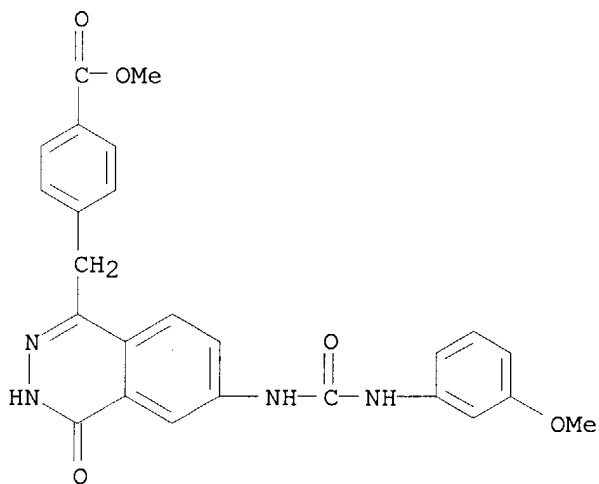
CN Benzoic acid, 4-[[3,4-dihydro-4-oxo-6-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]amino]-1-phthalazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

10/021506



RN 497254-69-0 CAPLUS

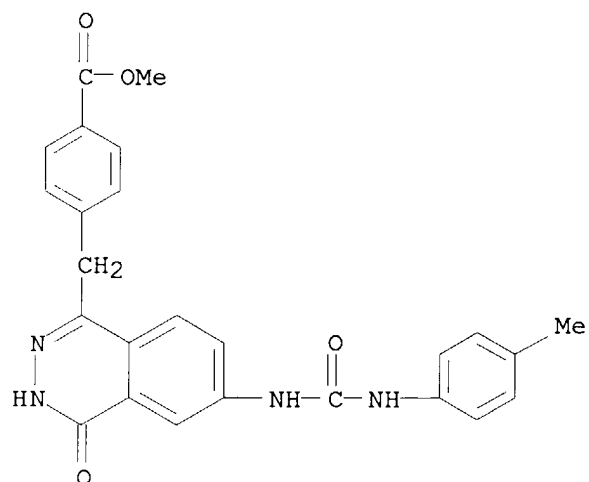
CN Benzoic acid, 4-[[[3,4-dihydro-6-[[[(3-methoxyphenyl)amino]carbonyl]amino]-4-oxo-1-phthalazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 497254-70-3 CAPLUS

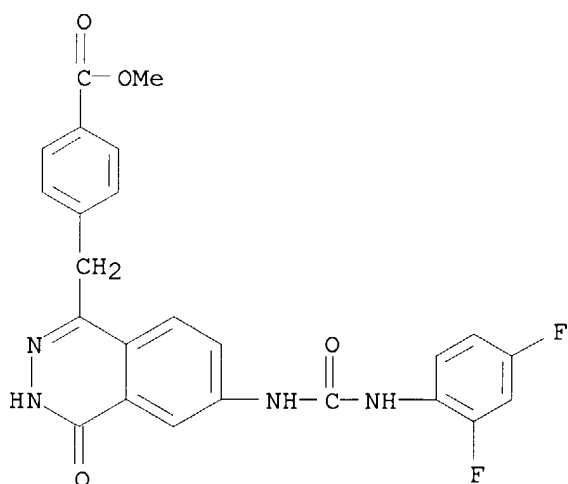
CN Benzoic acid, 4-[[[3,4-dihydro-6-[[[(4-methylphenyl)amino]carbonyl]amino]-4-oxo-1-phthalazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

10/021506



RN 497254-71-4 CAPLUS

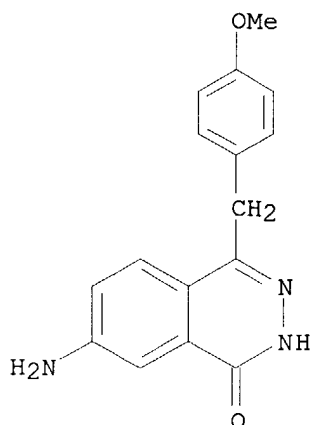
CN Benzoic acid, 4-[[6-[[[(2,4-difluorophenyl)amino]carbonyl]amino]-3,4-dihydro-4-oxo-1-phthalazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



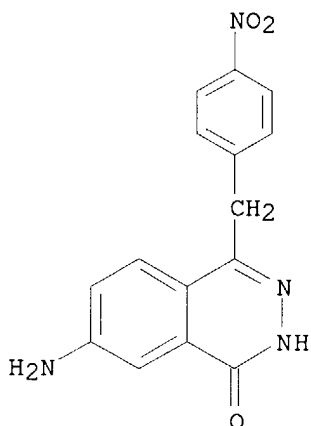
RN 497254-72-5 CAPLUS

CN Benzoic acid, 4-[[6-[[[(3,4-dichlorophenyl)amino]carbonyl]amino]-3,4-dihydro-4-oxo-1-phthalazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

10/021506



RN 497256-89-0 CAPLUS
CN 1(2H)-Phthalazinone, 7-amino-4-[(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:353439 CAPLUS

DOCUMENT NUMBER: 136:355242

TITLE: Preparation of phthalazinones as PARP inhibitors

INVENTOR(S): Martin, Niall Morrison Barr; Smith, Graeme Cameron Murray; White, Charles Richard; Newton, Roger Frank; Douglas, Diane Gillian; Eversley, Penny Jane; Vile, Julia

PATENT ASSIGNEE(S): Kudos Pharmaceuticals Limited, UK; Maybridge PLC

SOURCE: PCT Int. Appl., 109 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

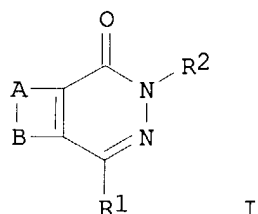
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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US 2001-275066P P 20010312
US 2000-245662P P 20001106
WO 2001-GB4729 W 20011025
OTHER SOURCE(S): MARPAT 136:355242
GI



AB The title compds. [I; A and B together represent (un)substituted fused aromatic ring; R1 = LR3 (wherein L = (CH2)nQm(CH2)p; n, m, p = 0-3, the sum of n, m and p = 1-3; Q = O, S, NH, CO; R3 = (un)substituted C5-20 aryl); R2 = H, (un)substituted C1-7 alkyl, C3-20 heterocyclyl, C5-20 aryl, etc.], useful for inhibiting the activity of PARP (poly(ADP-ribose)synthase), were prepared. General procedures for synthesis of I were described. Biol. data such as IC50 values against PARP, and DEF which is a ratio of the enhancement of the cell growth inhibition elicited by test compds. in the presence of bleomycin compared to bleomycin alone, were given. E.g., the compound I [AB = benzo; R1 = 4-chlorobenzyl; R2 = H] showed IC50 of 1.8 μ M against PARP, and DEF of 1.9.

IT 32003-14-8P 53242-88-9P 57835-95-7P
87849-90-9P 114897-96-0P 120359-17-3P
365222-03-3P 420846-52-2P 420846-53-3P
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10/021506

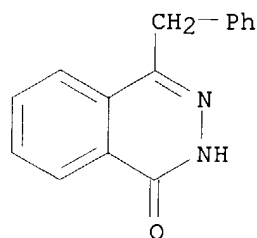
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of phthalazinones as PARP inhibitors)

RN 32003-14-8 CAPLUS

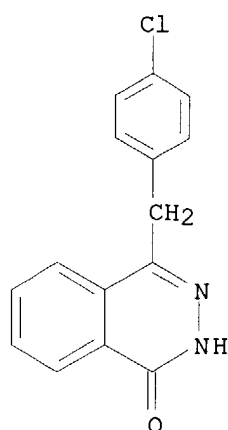
CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



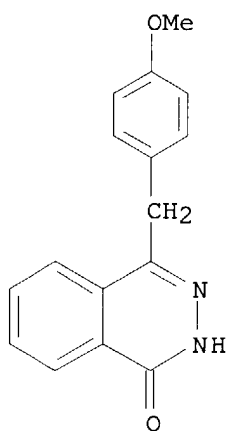
RN 53242-88-9 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)

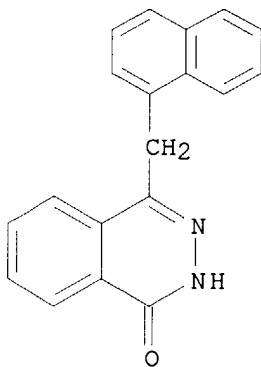
10/021506



RN 57835-95-7 CAPLUS
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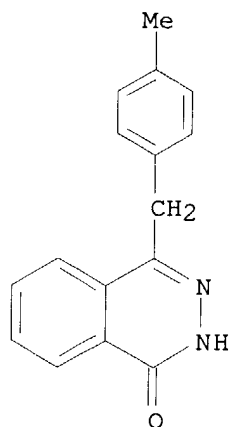


RN 87849-90-9 CAPLUS
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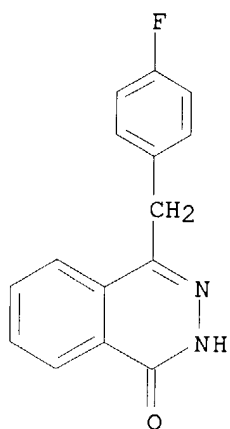
RN 114897-96-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

10/021506



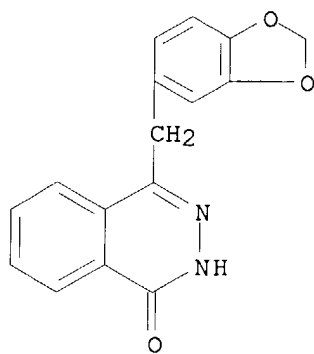
RN 120359-17-3 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)



RN 365222-03-3 CAPLUS

CN 1(2H)-Phthalazinone, 4-(1,3-benzodioxol-5-ylmethyl)- (9CI) (CA INDEX NAME)



RN 420846-52-2 CAPLUS

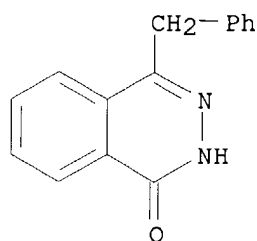
CN 1(2H)-Phthalazinone, 4-[(4-bromophenyl)methyl]- (9CI) (CA INDEX NAME)

10/021506

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of N-(imidazolylphenyl)dihydrobenzo[h]quinazolinamines and other N-containing heterocyclic amines as 5-hydroxytryptamine antagonists for treatment of CNS disorders)

RN 32003-14-8 CAPLUS

CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)

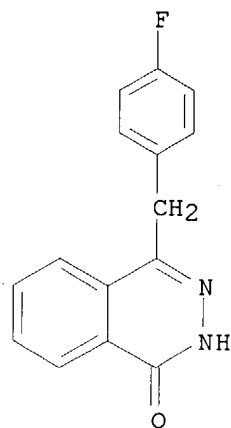


IT **120359-17-3**, 4-(4-Fluorobenzyl)-1(2H)-phthalazinone

RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of N-(imidazolylphenyl)dihydrobenzo[h]quinazolinamines and other N-containing heterocyclic amines as 5-hydroxytryptamine antagonists for treatment of CNS disorders)

RN 120359-17-3 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 6 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:746588 CAPLUS

DOCUMENT NUMBER: 136:112202

TITLE: Anti-Trypanosoma activity of some natural stilbenoids and synthetic related heterocyclic compounds

AUTHOR(S): Olmo, E.; Armas, M. G.; Lopez-Perez, J. L.; Ruiz, G.; Vargas, F.; Gimenez, A.; Deharo, E.; Feliciano, A. S.

CORPORATE SOURCE: Departamento de Quimica Farmaceutica, Facultad de Farmacia, Salamanca, 37007, Spain

SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(20), 2755-2757

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

10/021506

LANGUAGE: English

AB We report the anti-Chagasic activity of the natural dihydrostilbenoid isonotholaenic acid and several simple derivs., as well as that of some representative compds. of related synthetic series, with basic structures of benzalphthalides, dihydrostilbamides, isoindoles, phthalazin-1-ones, imidazo[2,1-a]isoindoles and pyrimido[2,1-a]isoindoles. The evaluation was performed in vitro on cultures of epimastigote and trypomastigote forms of *Trypanosoma cruzi*. Some of the tested compds. resulted to be as potent as benznidazole (epimastigotes), and others were shown to be more active than gentian violet (trypomastigotes), used as reference drugs.

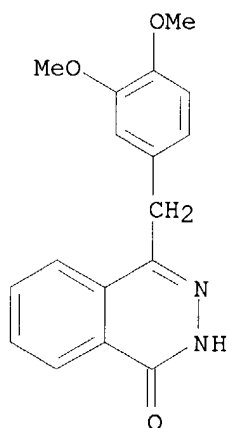
IT 10001-31-7 32003-14-8 53242-88-9
57835-95-7 365222-03-3 365222-04-4

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)

(anti-*Trypanosoma* activity of natural stilbenoids and synthetic related heterocyclic compds.)

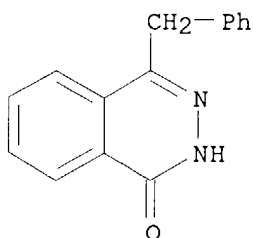
RN 10001-31-7 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(3,4-dimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



RN 32003-14-8 CAPLUS

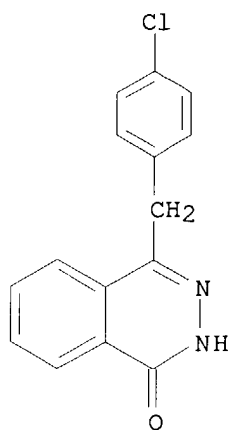
CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 53242-88-9 CAPLUS

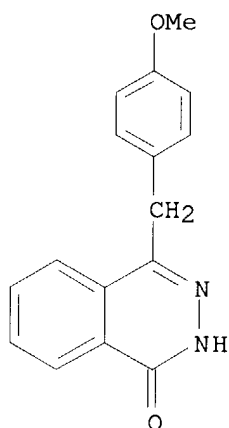
CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)

10/021506



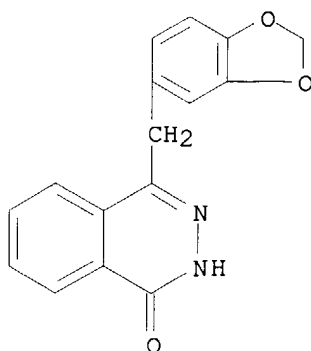
RN 57835-95-7 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



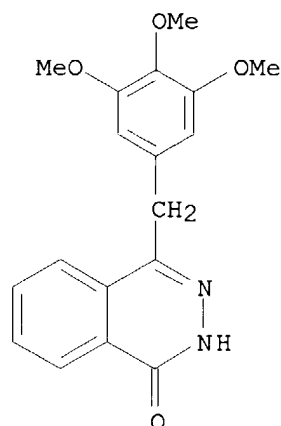
RN 365222-03-3 CAPLUS

CN 1(2H)-Phthalazinone, 4-(1,3-benzodioxol-5-ylmethyl)- (9CI) (CA INDEX NAME)



RN 365222-04-4 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(3,4,5-trimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:612024 CAPLUS

DOCUMENT NUMBER: 135:285601

TITLE: Leishmanicidal activity of some stilbenoids and related heterocyclic compounds

AUTHOR(S): del Olmo, E.; Armas, M. G.; Lopez-Perez, J. L.; Munoz, V.; Deharo, E.; San Feliciano, A.

CORPORATE SOURCE: Departamento de Quimica Farmaceutica, Facultad de Farmacia, Salamanca, 37007, Spain

SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(16), 2123-2126

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The authors have evaluated the leishmanicidal activity of some natural and semisynthetic dihydrostilbenoids and several compds. of other series of dihydrostilbamides, isoindoles, phthalazinones, imidazoisindoles, and pyrimidoisoindoles. The evaluation was performed in vitro, on cultures of cutaneous, mucocutaneous and visceral strains of Leishmania spp. The most potent and selective compds. of these series were the dihydrostilbene piperidides.

IT 10001-31-7 32003-14-8 53242-88-9

57835-95-7 365222-03-3 365222-04-4

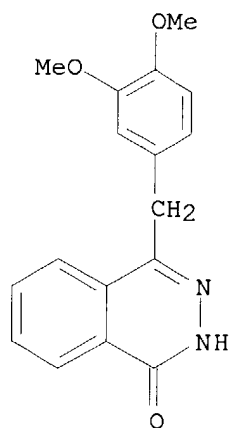
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(leishmanicidal activity of some stilbenoids and related heterocyclic compds.)

RN 10001-31-7 CAPLUS

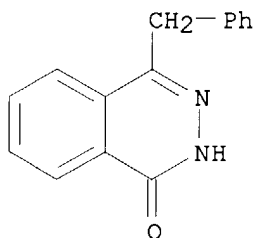
CN 1(2H)-Phthalazinone, 4-[(3,4-dimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

10/021506



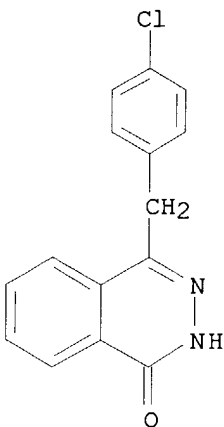
RN 32003-14-8 CAPLUS

CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 53242-88-9 CAPLUS

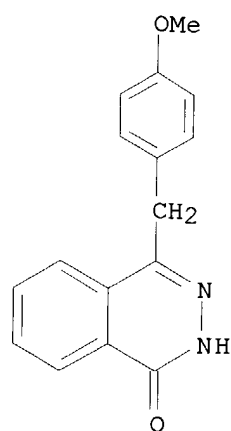
CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)



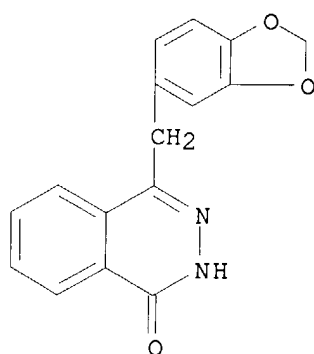
RN 57835-95-7 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

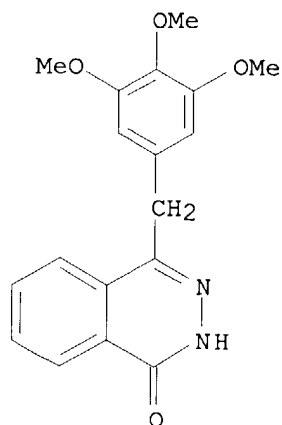
10/021506



RN 365222-03-3 CAPLUS
CN 1(2H)-Phthalazinone, 4-(1,3-benzodioxol-5-ylmethyl)- (9CI) (CA INDEX
NAME)



RN 365222-04-4 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(3,4,5-trimethoxyphenyl)methyl]- (9CI) (CA INDEX
NAME)



REFERENCE COUNT:

19

THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:84785 CAPLUS

DOCUMENT NUMBER: 132:122627

TITLE: Preparation of phthalazine derivatives as
phosphodiesterase 4 inhibitorsINVENTOR(S): Napoletano, Mauro; Norcini, Gabriele; Grancini,
Giancarlo; Pellacini, Franco; Morazzoni, Gabriele

PATENT ASSIGNEE(S): Zambon Group S.P.A., Italy

SOURCE: PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

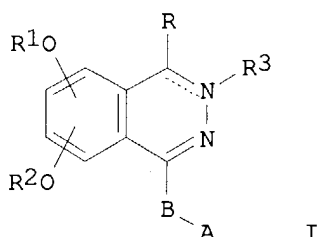
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000005219	A1	20000203	WO 1999-EP5068	19990716
W: AU, CA, CZ, HU, IL, JP, KP, NZ, SI, US, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
IT 98MI1671	A1	20000121	IT 1998-MI1671	19980721
CA 2337954	AA	20000203	CA 1999-2337954	19990716
AU 9949116	A1	20000214	AU 1999-49116	19990716
AU 766076	B2	20031009		
EP 1098886	A1	20010516	EP 1999-932894	19990716
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002521371	T2	20020716	JP 2000-561175	19990716
NZ 507930	A	20021126	NZ 1999-507930	19990716
ZA 2000006575	A	20020213	ZA 2000-6575	20001113
ZA 2000006577	A	20020213	ZA 2000-6577	20001113
US 6340684	B1	20020122	US 2001-764983	20010122
US 2002058662	A1	20020516	US 2001-987266	20011114
US 6498160	B2	20021224		

PRIORITY APPLN. INFO.:

IT 1998-MI1671	A	19980721
WO 1999-EP5068	W	19990716
US 2001-764983	A1	20010122

OTHER SOURCE(S): MARPAT 132:122627

GI



AB The title compds. [I; B = NH, CH₂, alkylene chain optionally branched and/or unsatd. and/or interrupted by cycloalkyl; A = (un)substituted Ph, heterocyclyl; R = two H atoms, CO when a single bond is present or, when there is a double bond, R = H, (un)substituted aryl, heterocyclyl, etc.; R₁ = alkyl, aryl, arylalkyl, etc.; R₂ = alkyl, polyfluoroalkyl; R₃ is

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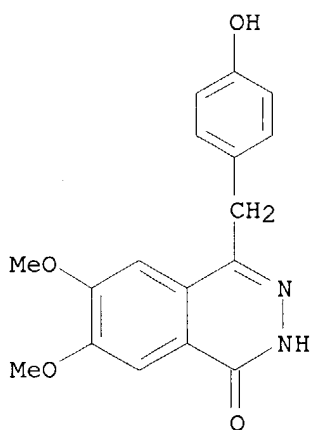
absent when is a single bond], useful for treating allergic and inflammatory pathologies, and respiratory diseases, were prepared E.g., a synthesis of I [B = CH₂; A = 3,5-dichloropyridin-4-yl; R = two H atoms; R₁ = 5-(tetrahydrofuran-2-yl); R₂ = 6-F₂CH; R₃ = MeSO₂] which showed IC₅₀ of 8±0.5 nM against PDE 4, was given.

IT **256421-67-7P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phthalazine derivs. as phosphodiesterase 4 inhibitors)

RN 256421-67-7 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(4-hydroxyphenyl)methyl]-6,7-dimethoxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:575392 CAPLUS

DOCUMENT NUMBER: 125:300924

TITLE: Synthesis and biological activity of some 4-benzyl-1(2H)-phthalazinone derivatives

AUTHOR(S): El-Tamaty, El-Sayed H.; Abdel-Fattah, Mohy E.; El-Deen, Ibrahim

CORPORATE SOURCE: Chem. Dep., Suez Canal Univ., Ismailia, Egypt
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1996), 35B(10), 1067-1072

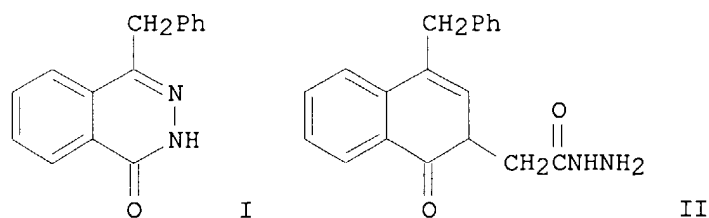
CODEN: IJSBDB; ISSN: 0376-4699

PUBLISHER: Publications & Information Directorate, CSIR

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB The reaction of benzalphthalide and hydrazine gave 4-benzylphthalazin-1(2H)-one (I). Alkylation of I with Et chloroacetate furnished an ester, which on reaction with hydrazine gave a hydrazide II. The reactions of II with aldehydes, ketones, arylsulfonyl chlorides, phenylisocyanate, phenylisothiocyanate, benzoyl chloride, acetylacetone and carbon disulfide were studied. The structures of the synthesized compds. were established by their elemental anal. and spectral data. All the new compds. were tested for their antibacterial and fungicidal activities and some of them have been found to be biol. active.

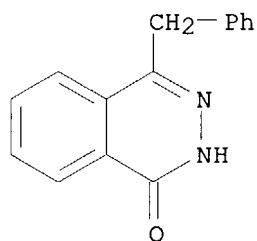
IT **32003-14-8**, 4-(Phenylmethyl)-1(2H)-phthalazinone***

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation and bactericidal and fungicidal activity of phthalazineacetic hydrazide derivs.)

RN 32003-14-8 CAPLUS

CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 10 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:534403 CAPLUS

DOCUMENT NUMBER: 125:221765

TITLE: Ring-rearrangement during the Mitsunobu alkylation of phthalazinones and indazolols

AUTHOR(S): Knaack, Martina; Fleischhauer, Ilona; Charpentier, Patricia; Emig, Peter; Kutscher, Bernhard; Mueller, Arndt

CORPORATE SOURCE: Degussa A.-G., Hanau, D-63403, Germany

SOURCE: Liebigs Annalen (1996), (9), 1477-1482

CODEN: LANAEM; ISSN: 0947-3440

PUBLISHER: VCH

DOCUMENT TYPE: Journal

LANGUAGE: German

AB The Mitsunobu alkylation of substituted phthalazinones and indazolols with cyclic hydroxy- and hydroxymethyl-substituted amines was investigated. In addition to the expected derivs. ring-narrowed and ring-enlarged rearrangement products were isolated and characterized by NMR. The occurrence of these products is explained by the existence of a bicyclic intermediate. The results of the reaction of phthalazinones with optically active amine compds. show a stereospecific reaction mechanism.

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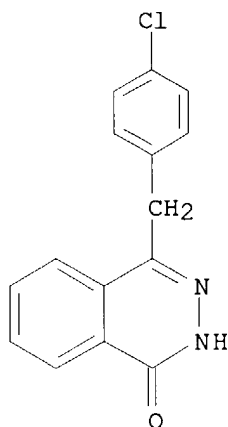
The reaction of the phthalazinones leads to N-substituted products, while in the case of the indazolols O-substituted derivs. were isolated. A postulated bicyclic intermediate, 1-methyl-1-azoniabicyclo[3.2.0]heptane, was synthesized as chloride.

IT **53242-88-9**

RL: RCT (Reactant); RACT (Reactant or reagent)
(ring-rearrangement during Mitsunobu alkylation of phthalazinones and indazolols)

RN 53242-88-9 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 11 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:149535 CAPLUS

DOCUMENT NUMBER: 124:316902

TITLE: Synthesis of new 5-carboxyphthalimides containing sulfonamide moieties with biological interest

AUTHOR(S): Eyada, H. A.; Khalaf, N. S.; El-Sayed, Ragab A.; El-Hakim, M. H.

CORPORATE SOURCE: Faculty Science, Al-Azhar University, Nasr City, Egypt
SOURCE: Al-Azhar Journal of Pharmaceutical Sciences (1994), 14, 33-9

CODEN: AAJPFT; ISSN: 1110-1644

PUBLISHER: Al-Azhar University, Faculty of Pharmacy

DOCUMENT TYPE: Journal

LANGUAGE: English

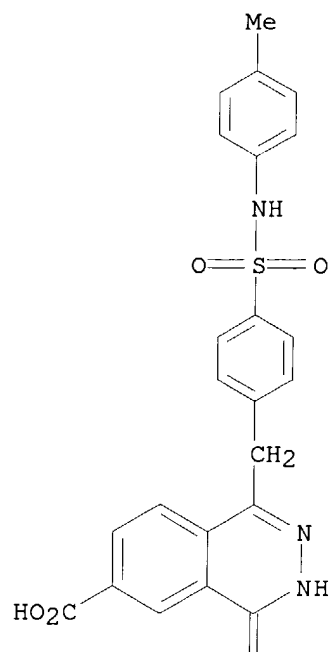
AB The condensation of trimellitic anhydride [i.e., 1,3-dihydro-1,3-dioxo-5-isobenzofurancarboxylic acid] with sulfa drugs or (sulfonamido)phenylacetic acid gave the corresponding phthalimides. The compds. were screened as bactericides.

IT **175980-81-1P 175980-82-2P**

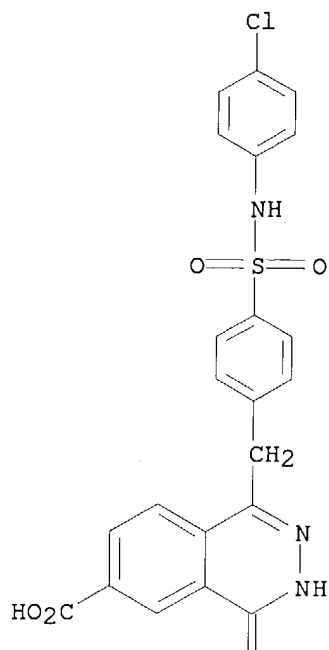
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and bactericidal activity of sulfa drug derivs.)

RN 175980-81-1 CAPLUS

CN 6-Phthalazinecarboxylic acid, 3,4-dihydro-1-[[4-[(4-methylphenyl)amino]sulfonyl]phenyl]methyl]-4-oxo- (9CI) (CA INDEX NAME)



RN 175980-82-2 CAPLUS
CN 6-Phthalazinecarboxylic acid, 1-[[4-[[[(4-chlorophenyl)amino]sulfonyl]phenyl]methyl]-3,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L10 ANSWER 12 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1996:138851 CAPLUS
 DOCUMENT NUMBER: 124:289404
 TITLE: Synthesis of some new sulfonamides derived from
 tetrachlorophthalimides
 AUTHOR(S): Eyada, H. A.
 CORPORATE SOURCE: Faculty Science, Al-Azhar University, Cairo, Egypt
 SOURCE: Al-Azhar Journal of Pharmaceutical Sciences (1994),
 13, 104-11
 CODEN: AAJPFT; ISSN: 1110-1644
 PUBLISHER: Al-Azhar University, Faculty of Pharmacy
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Condensation of 3,4,5,6-tetrachlorophthalic anhydride with
 RNHSO₂C₆H₄CH₂CO₂H (R = Ph, 4-ClC₆H₄) and sulfa drugs gave
 arylidenephthalides I (R = Ph, 4-ClC₆H₄, X = O) and phthalimides II [R =
 H, C(NH₂):NH, 2-thiazolyl, 2-pyrimidinyl, etc., Z = N], resp. Thionation
 of I (X = O) produced the thio derivs. I (X = S) (III). Treatment of I (X

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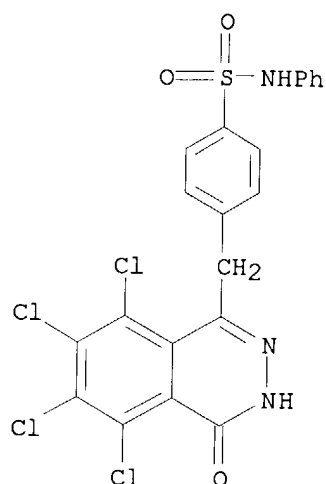
= O) and III with methanolic sodium methoxide gave indandiones II (R = Ph, 4-ClC₆H₄, Z = CH) and bis(indanone) sulfides, resp. Interaction of I (X = O) with hydrazine hydrate and sulfanilamide furnished pyridazines V and indolones VI, resp.

IT **86355-25-1P 175910-52-8P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, bactericidal, and fungicidal activity of sulfonamides)

RN 86355-25-1 CAPLUS

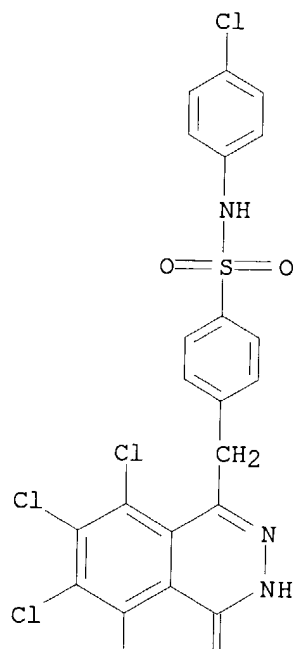
CN Benzenesulfonamide, N-phenyl-4-[(5,6,7,8-tetrachloro-3,4-dihydro-4-oxo-1-phthalazinyl)methyl]- (9CI) (CA INDEX NAME)



RN 175910-52-8 CAPLUS

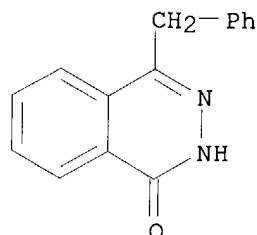
CN Benzenesulfonamide, N-(4-chlorophenyl)-4-[(5,6,7,8-tetrachloro-3,4-dihydro-4-oxo-1-phthalazinyl)methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



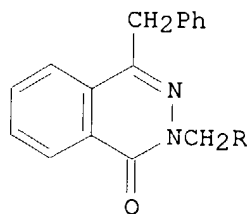


L10 ANSWER 13 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1996:20310 CAPLUS
 DOCUMENT NUMBER: 124:202144
 TITLE: New synthesis and reactions of 4-benzoylphthalazin-1(2H)-one
 AUTHOR(S): Ismail, M. F.; Mustafa, Omnia E.A.; Emara, S.A.; Sallam, Hanan A.
 CORPORATE SOURCE: Faculty of Science, Ain Shams University, Cairo, Egypt
 SOURCE: Egyptian Journal of Chemistry (1995), Volume Date 1993, 36(6), 479-84
 CODEN: EGJCA3; ISSN: 0367-0422
 PUBLISHER: National Information and Documentation Centre
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The title compound, prepared in 82% yield by oxidation of the 4-benzyl analog with sodium dichromate in AcOH, underwent several reactions including condensation with amines, methylation and Mannich reaction.
 IT **32003-14-8**, 4-Benzylphthalazin-1(2H)-one
 RL: RCT (Reactant); RACT (Reactant or reagent) (oxidation of)
 RN 32003-14-8 CAPLUS
 CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)

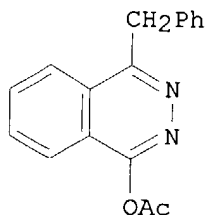


L10 ANSWER 14 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1995:459828 CAPLUS
 DOCUMENT NUMBER: 123:143775
 TITLE: Attempted oxidation of some substituted 4-benzylphthalazine derivatives
 AUTHOR(S): Ismail, M. F.; Mustafa, O. E. A.; Emara, S. A.; Sallam, H. A. M.
 CORPORATE SOURCE: Faculty Science, Ain Shams University, Cairo, Egypt
 SOURCE: Egyptian Journal of Chemistry (1994), 37(1), 89-94
 CODEN: EGJCA3; ISSN: 0367-0422
 PUBLISHER: National Information and Documentation Centre
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI

10/021506



I



II

AB Oxidation of title compds. I (R = CH₂CN, CH₂CONH₂, H, piperidino) and II by Na₂Cr₂O₇/AcOH was examined. In all cases the benzyl group was oxidized to benzoyl. The piperidinomethyl group of I and the acetate group of II were lost in the reaction.

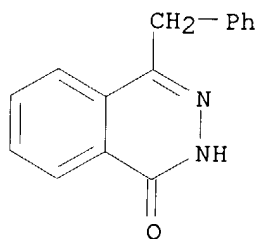
IT **32003-14-8P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(oxidation of benzylphthalazines by dichromate)

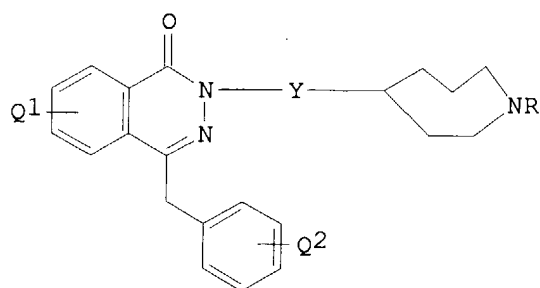
RN 32003-14-8 CAPLUS

CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 15 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:164206 CAPLUS
DOCUMENT NUMBER: 120:164206
TITLE: Preparation of antihistaminic 4-(substituted benzyl)-2-(N-substituted hexahydroazepinyl)-1(2H)-phthalazinones
INVENTOR(S): Iki, Masami; Maruhashi, Kenji
PATENT ASSIGNEE(S): Sumika Fuain Kemu Kk, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05230056	A2	19930907	JP 1992-70427	19920219
PRIORITY APPLN. INFO.:			JP 1992-70427	19920219
OTHER SOURCE(S):		CASREACT 120:164206; MARPAT 120:164206		
GI				

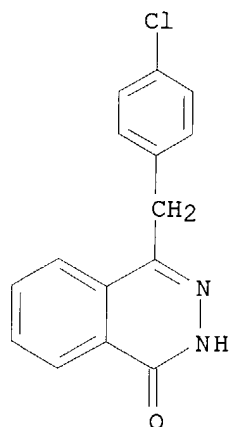


AB The title compds. I [R = lower alkyl; Q1, Q2 = H, halo, lower alkyl or alkoxy, OH, CF3, (substituted) amino; Y = single bond, CH2, CH2CH2, CHMe], useful as antihistaminics (no data), are prepared by quaternization of I (R = CH2C6H4R1; R1 = H, lower alkyl, halo) with RX (R = same as I; X = halo) followed by reduction. An aqueous solution of 27.0 g 4-(4-chlorobenzyl)-1(2H)-phthalazinone, 28.27 g 2-(2-chloroethyl)-N-benzylpyrrolidine HCl salt, and NaOH was heated at 70° for 3 h to give 16.5 g I (R = benzyl; Q1 = H, Q2 = 4-Cl; Y = single bond), which was treated with MeCl in MeOH at 3-5 kg/cm2 and 80° for 15 h and the resulting quaternary salt was reduced by H with Pd/C in MeOH at 20-30° for 1 h to give 10.0 g azelastine hydrochloride.

IT **53242-88-9**, 4-(4-Chlorobenzyl)-1(2H)-phthalazinone
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, with (chloroethyl)benzylpyrrolidine)

RN 53242-88-9 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 16 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:106864 CAPLUS

DOCUMENT NUMBER: 120:106864

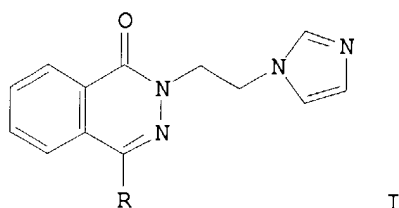
TITLE: Novel antiasthmatic agents with dual activities of thromboxane A2 synthetase inhibition and bronchodilation. 1. 2-[2-(1-Imidazolyl)alkyl]-1(2H)-phthalazinones

AUTHOR(S): Yamaguchi, Masahisa; Kamei, Kenshi; Koga, Takaki;

CORPORATE SOURCE: Akima, Michitaka; Kuroki, Toshio; Ohi, Nobuhiro
 Fuji-gotemba Res. Lab., Chugai Pharm. Co., Ltd.,
 Gotemba, 412, Japan

10/021506

SOURCE: Journal of Medicinal Chemistry (1993), 36(25), 4052-60
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



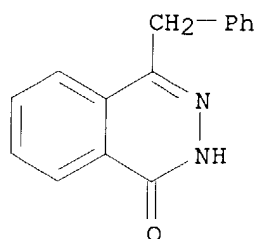
AB A number of 4-substituted 2-[omega-(1-imidazolyl)alkyl]-1(2H)-phthalazinones were synthesized in order to develop agents possessing both thromboxane A2 synthetase inhibitory and bronchodilatory activities. The pharmacol. evaluation of these compds. disclosed that they have both activities to various extents. Both activities were slightly dependent on the length of the 2-substituents and largely affected by the nature of the 4-substituents. Compds. bearing Ph and thienyl groups exhibited relatively high and well-rounded activities. Among these compds., I (R = 4-MeSC6H4, 5-ethyl-2-thienyl) were found to be the most effective agents having well-rounded activities in vitro and in vivo. Introduction of a carboxyl group reduced both activities contrary to the authors' expectation. The 4-(3-pyridyl)phthalazinone I (R = 3-pyridyl) was of particular interest because of unexpectedly high in vivo activities in spite of an absence of significant in vitro activities.

IT **32003-14-8P 53242-88-9P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with (bromoethyl)imidazole)

RN 32003-14-8 CAPLUS

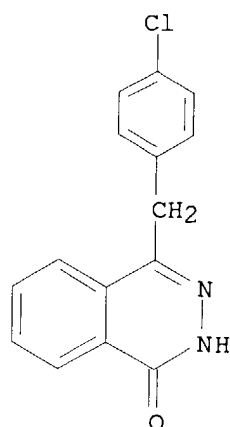
CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 53242-88-9 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)

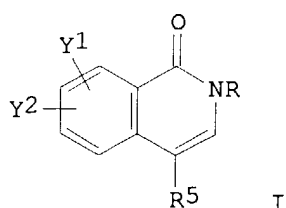
10/021506



L10 ANSWER 17 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1994:8605 CAPLUS
 DOCUMENT NUMBER: 120:8605
 TITLE: Preparation of 6-amino-3-(oxophthalazino)caproates and
 analogs as antiallergic and antiasthmatic agents
 INVENTOR(S): Kutscher, Bernhard; Niebch, Georg; Fleischbauer,
 Ilona; Engel, Juergen; Achterrath-Tuckermann, Ute;
 Szelenyi, Stefan
 PATENT ASSIGNEE(S): Asta Medica AG, Germany
 SOURCE: Ger. Offen., 5 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4207234	A1	19930909	DE 1992-4207234	19920307
EP 564805	A1	19931013	EP 1993-103179	19930227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5464838	A	19951107	US 1993-27487	19930304
CA 2091105	AA	19930908	CA 1993-2091105	19930305
NO 9300816	A	19930908	NO 1993-816	19930305
HU 63617	A2	19930928	HU 1993-626	19930305
JP 06009575	A2	19940118	JP 1993-44841	19930305
CN 1080286	A	19940105	CN 1993-103493	19930306
AU 9334052	A1	19930909	AU 1993-34052	19930308
AU 659717	B2	19950525		

PRIORITY APPLN. INFO.: DE 1992-4207234 19920307
 OTHER SOURCE(S): MARPAT 120:8605
 GI



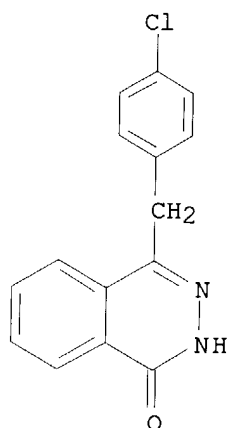
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AB Title compds. [I; R = (CHR4)1CH[(CH2)mCO2R3](CH2)nNR1R2; R1, R2 = H, alkyl, CH2Ph, phenylethyl; R1 = H, alkyl, CH2CPh; R4 = H, alkyl; R5 = (substituted) CH2Ph; Y1, Y2 = H, halo, alkyl, alkoxy; l, m, n = 0-4] were prepared Thus, 4-(4-chlorobenzyl)-1(2H)-phthalazinone was condensed with PhCH2NMe(CH2)3CH(OSO2Me)CN2CO2CMe3 and the product N-debenzylated to give, after MeOH workup, I [R = MeNH(CH2)3CHCR2CO2Me, R5 = 4-ClC6H4CH2, Y1 = Y2 = H] which had ID50 of 0.0099 mg/kg i.v. against allergically-induced bronchospasms in guinea pigs.

IT **53242-88-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of antiallergic and antiasthmatic agent)

RN 53242-88-9 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 18 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1992:531216 CAPLUS

DOCUMENT NUMBER: 117:131216

TITLE: Process for producing antihistaminic benzylphthalazinone derivatives and salts thereof via condensation reaction with homopiperazinols

INVENTOR(S): Murakami, Kazukata

PATENT ASSIGNEE(S): Eisai Chemical Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 10 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

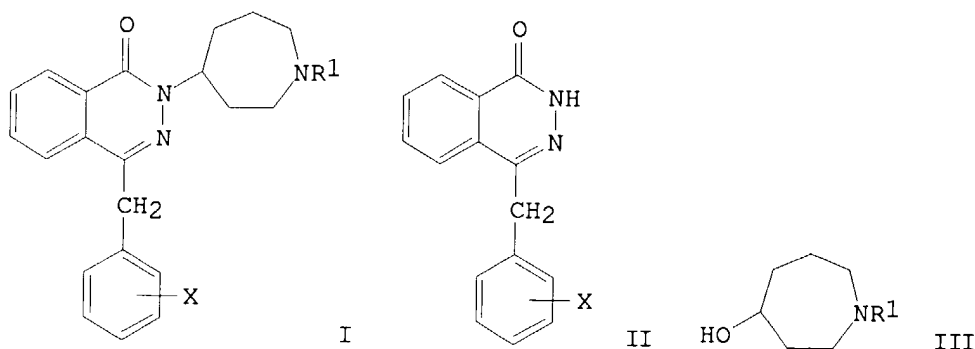
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 488209	A1	19920603	EP 1991-120268	19911127
EP 488209	B1	19960522		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 04198180	A2	19920717	JP 1990-322399	19901128
JP 06092960	A2	19940405	JP 1991-332844	19911122
JP 07039413	B4	19950501		
CA 2056334	AA	19920529	CA 1991-2056334	19911127
CA 2056334	C	19990601		
AT 138376	E	19960615	AT 1991-120268	19911127

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ES 2089097 T3 19961001 ES 1991-120268 19911127
US 5216151 A 19930601 US 1991-800259 19911129
PRIORITY APPLN. INFO.: JP 1990-322399 A 19901128
OTHER SOURCE(S): CASREACT 117:131216; MARPAT 117:131216
GI



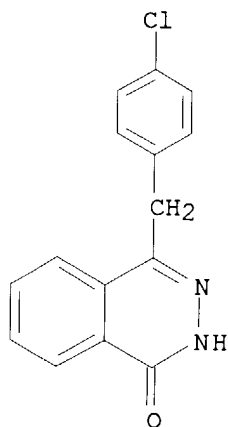
AB Title derivs. I (X = halo, R1 = lower alkyl) and salts, which are known antihistaminics, are prepared in improved yield by reaction of parent benzylphthalazinones II with homopiperazinols III in the presence of a dehydration-condensation agent, especially a dialkyl azodicarboxylate plus a phosphine. In 4 examples using di-Et or di-iso-Pr azodicarboxylate and PPh3 in THF at -30°, I (X = Cl, R1 = Me) was obtained consistently in 85% yield as its HCl salt.

IT 53242-88-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with methylhomopiperazinol)

RN 53242-88-9 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)

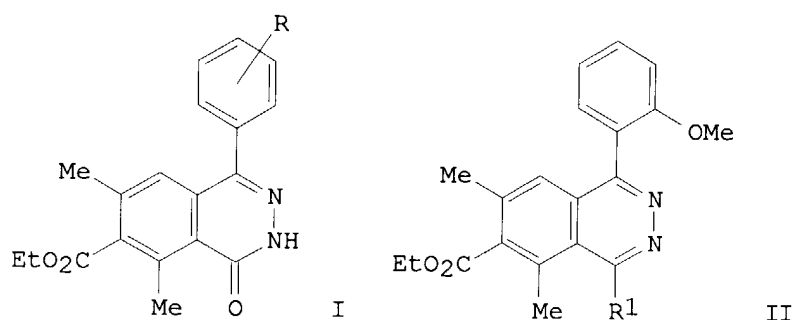


L10 ANSWER 19 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:679936 CAPLUS
DOCUMENT NUMBER: 115:279936
TITLE: Studies on antiatherosclerotic agents. Synthesis and

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inhibitory activities on platelet aggregation of
4-aryl derivatives of 7-ethoxycarbonyl-6,8-dimethyl-
1(2H)-phthalazinone

AUTHOR(S): Eguchi, Yukuo; Sato, Yuko; Sekizaki, Satomi; Ishikawa, Masayuki
CORPORATE SOURCE: Inst. Med. Dent. Eng., Tokyo Med. Dent. Univ., Tokyo, 101, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1991), 39(8), 2009-15
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



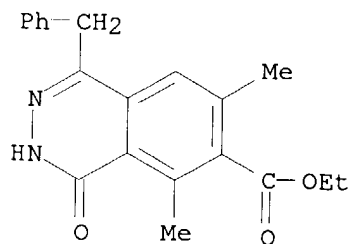
AB Phthalazine derivs., e.g. I (R = H, 2-, 3-, 4-Me, 2-, 4-OMe, 2-, 4-Cl, 2-, 4-OCH₂Ph, etc.) and II (R₁ = OEt, SEt, 1-piperidinyl, NHC₆H₄Cl-3, C.tplbond.CPh, etc.), were prepared and evaluated as inhibitors of arachidonic acid (AA) and ADP induced platelet aggregation. Thus, 4-ethoxycarbonyl-3,5-dimethylphthalic anhydride reacted with (RC₆H₄)₂Cd and cyclized with H₂NNH₂ to give I. Some compds. had considerable inhibitory activity against AA-induced platelet aggregation. Structure activity relationships were also examined

IT **124397-51-9P 137207-89-7P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and platelet antiaggregating activity of)

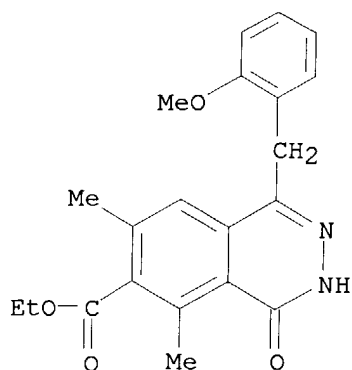
RN 124397-51-9 CAPLUS

CN 6-Phthalazinecarboxylic acid, 3,4-dihydro-5,7-dimethyl-4-oxo-1-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 137207-89-7 CAPLUS

CN 6-Phthalazinecarboxylic acid, 3,4-dihydro-1-[(2-methoxyphenyl)methyl]-5,7-dimethyl-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L10 ANSWER 20 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1991:656193 CAPLUS
 DOCUMENT NUMBER: 115:256193
 TITLE: Preparation of fused pyridazine derivatives as
 thromboxane A2 (TXA2) synthetase inhibitors
 INVENTOR(S): Ohi, Nobuhiro; Kuroki, Toshio; Yamaguchi, Masahisa;
 Akima, Michitaka; Koga, Takaki; Kamei, Kenshi
 PATENT ASSIGNEE(S): Chugai Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 99 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9112251	A1	19910822	WO 1991-JP210	19910219
W: AT, AU, BB, BG, BR, CA, CH, DE, DK, ES, FI, GB, HU, JP, KR, LK, LU, MC, MG, MW, NL, NO, PL, RO, SD, SE, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CM, DE, DK, ES, FR, GA, GB, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
AU 9172381	A1	19910903	AU 1991-72381	19910219
ZA 9101224	A	19911127	ZA 1991-1224	19910219
JP 3120857	B2	20001225	JP 1991-504019	19910219
PRIORITY APPLN. INFO.:				
			JP 1990-37966	A 19900219
			JP 1990-250934	A 19900920
			JP 1990-304547	A 19901109
			JP 1990-409169	A 19901228
			WO 1991-JP210	A 19910219

OTHER SOURCE(S): MARPAT 115:256193

GI For diagram(s), see printed CA Issue.

AB Title compds. [I; ring A = Q, or unsatd. 5- or 6-membered O-, N- or S-containing heterocycle, e.g. Q1, Q2; R1 = H, halo CO2H, alkoxy, alkoxy, NO2, alkoxy; R2 = alkyl; cyclohexyl, (halo)benzyl, thienylmethyl, (halo or alkyl) unsatd. 5- or 6-membered heterocyclyl containing 1-3 N atoms and/or 1 S atom, (un)substituted Ph; R3 = (un)substituted alkyl, alkenyl, alkynyl, aralkyl, cycloalkyl, cycloalkylmethyl, acyl, acylmethyl, PhSO2], useful as antiasthmatics and bronchodilators, are prepared. Thus, a suspension of 4-phenyl-1-(2H)-phthalazinone 2.0, BrCH2CH2Br 5.5, K2CO3 7.5 g, and 60 mL DMF was stirred 2 h at 65° and thereto 4.3 g imidazole was added and the stirring was continued 5 h at 70° to give 0.5g a phthalazinone [II; R2 = Ph, R3 = 2-(1-imidazolyl)ethyl]. II (R2 =

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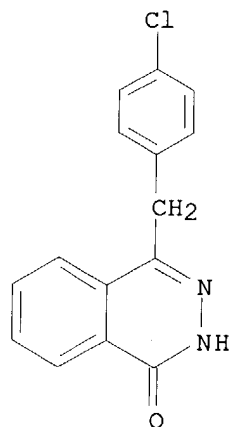
3-pyridyl, R3 = 2-cyclohexylmethyl) in vitro inhibited 99% TXA2 in a test using rabbit blood platelets and porcine aorta microsomes and showed muscle relaxant activity in guinea pig trachea with $-\log[EC_{50}]$ of 6.68. A total of 118 I were prepared and similarly tested.

IT 53242-88-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of thromboxane A2 synthetase inhibitor fused pyridazinone derivative)

RN 53242-88-9 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 21 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1991:449575 CAPLUS

DOCUMENT NUMBER: 115:49575

TITLE: Synthesis and reactions of 4-aryl-1(2H)-phthalazinones

AUTHOR(S): Sayed, M. A.; Islam, I.; Mohamed, A. A.; Soliman, A. Y.; Bakeer, H. M.

CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Egypt

SOURCE: Chinese Journal of Chemistry (1991), 9(1), 45-53

CODEN: CJOCEV; ISSN: 1001-604X

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 115:49575

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I (R = CH₂Ph, 4-BrC₆H₄, 4-EtC₆H₄) were prepared by the cyclocondensation of phthalide II or 2-ROCC₆H₄CO₂H (R = 4-BrC₆H₄, 4-EtC₆H₄) with NH₂NH₂ in EtOH. I (R = 4-BrC₆H₄, 4-EtC₆H₄) react with boiling Ac₂O or alkylating agents, e.g. Me₂SO₄, ClCH₂CO₂Et, or ethylene chlorhydrin, to give O-substituted derivs. III (R = CH₂Ph, 4-BrC₆H₄; R₁ = Ac, Me, CH₂CO₂Et, CH₂CH₂OH). N-Substituted derivs. IV (R = CH₂Ph, R₂ = Me, CH₂CO₂Et; R = 4-BrC₆H₄, R₂ = Me; R = 4-EtC₆H₄, R₂ = CH₂CO₂Et) were prepared by alkylating I with Me₂SO₄ or ClCH₂CO₂Et in aqueous NaOH or pyridine. IV (R = CH₂Ph, R₂ = Me) was condensed with 4-ClC₆H₄CHO to give alkene derivative V, whereas IV (R = 4-EtC₆H₄, R₂ = CO₂Et) was condensed with NH₂NH₂ to give hydrazide IV (R = CH₂Ph, R₂ = CONHNH₂) and 2H-as-triazino[3,4-

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a]phthalazin-3(4H)-one VI.

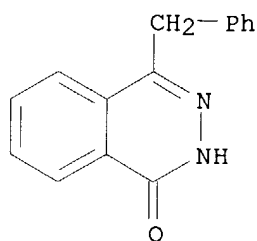
IT **32003-14-8P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reactions of)

RN 32003-14-8 CAPLUS

CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 22 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1991:247220 CAPLUS

DOCUMENT NUMBER: 114:247220

TITLE: Some reactions of 4-aryl-1(2H)-phthalazinones

AUTHOR(S): Soliman, A. Y.; Bakeer, H. M.; Sayed, M. A.; Islam, I.; Mohamed, A. A.

CORPORATE SOURCE: Dep. Chem., Fac. Educ., Fayoum, Egypt

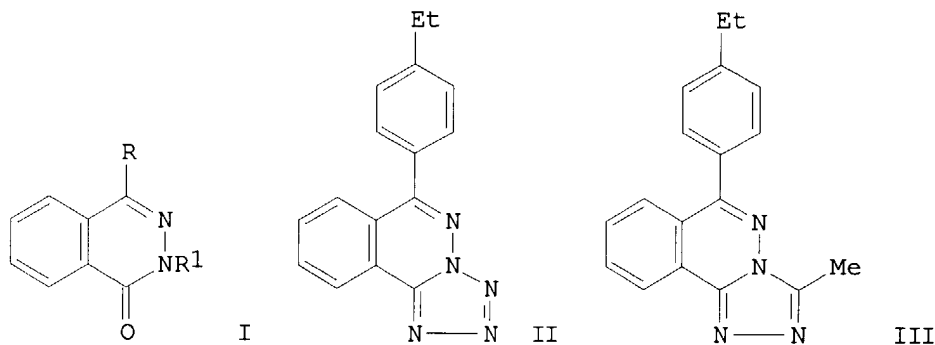
SOURCE: Chinese Journal of Chemistry (1990), (6), 549-54

CODEN: CJOCEV; ISSN: 1001-604X

DOCUMENT TYPE: Journal

LANGUAGE: English

GI

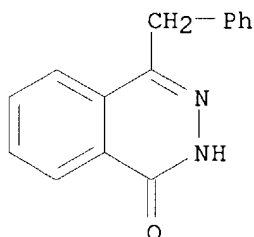


AB Arylphthalazinones I (R = CH₂Ph, 4-BrC₆H₄, 4-EtC₆H₄, R₁ = H), prepared from cyclocondensation of 2-RCOC₆H₄CO₂H with hydrazine, underwent Grignard and Mannich reactions. Thus, the Mannich reaction of I (R = CH₂Ph, R₁ = H) with CH₂O and piperidine gives I (R = CH₂Ph, R₁ = piperidinomethyl). Title compds. also underwent other reactions which ultimately gave tricyclic compds., e.g. tetrazolophthalazine II and triazolophthalazine III. Thus, I (R = 4-EtC₆H₄, R₁ = H) was chlorinated and cyclocondensed with NaN₃ to give II.

IT **32003-14-8P**

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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and Mannich reaction of, with formaldehyde and piperidine)
RN 32003-14-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 23 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:55748 CAPLUS
DOCUMENT NUMBER: 112:55748
TITLE: Synthesis of 4-phenyl and 4-benzyl substituted
phthalazinones and their derivatives
AUTHOR(S): Eguchi, Yukuo; Hasegawa, Yuko; Ishikawa, Masayuki
CORPORATE SOURCE: Inst. Med. Dent. Eng., Tokyo Med. Dent. Univ., Tokyo,
101, Japan
SOURCE: Iyo Kizai Kenkyusho Hokoku (Tokyo Ika Shika Daigaku)
(1988), 22, 47-52
CODEN: IKKHBS; ISSN: 0082-4739
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
GI

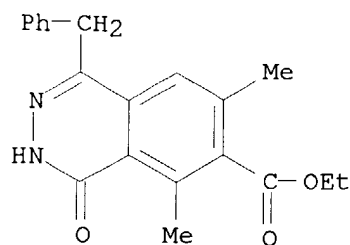
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Refluxing phthalic anhydride (I) with Me₂Cd (prepared from MeMgBr and CdCl₂) in Et₂O 3 h gave II (R = Me, R₁ = OH) and II (R = R₁ = Me). A similar reaction of I with Ph₂Cd followed by treatment with NH₂NH₂ gave II (R = R₁ = Ph) and phthalazinone III (R₂ = Ph). Stirring III (R₂ = CH₂Br) with PhMgBr and LiCl in Et₂O-THF overnight at room temperature gave III (R₂ = CH₂Ph) and IV. Refluxing a mixture of V (R₃ = Cl), PhC.tplbond.CH, (Ph₃P)₂PdCl₂, CuI, and Et₃N in C₆H₆ 4 h gave V (R₃ = PhC.tplbond.C), which was hydrogenated in EtOAc in the presence of 5% Pd-C to give V (R₃ = PhCH₂CH₂).

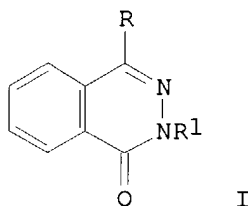
IT 124397-51-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 124397-51-9 CAPLUS
CN 6-Phthalazinecarboxylic acid, 3,4-dihydro-5,7-dimethyl-4-oxo-1-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

10/021506



L10 ANSWER 24 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:212739 CAPLUS
DOCUMENT NUMBER: 110:212739
TITLE: Synthesis and some reactions of 4-aryl-1-(2H)-
phthalazinones
AUTHOR(S): El-Khamry, Abdel Momen A.; Soliman, A. Y.; Afify, A.
A.; Sayed, M. A.
CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Abbassia, Egypt
SOURCE: Oriental Journal of Chemistry (1988), 4(3), 318-22
CODEN: OJCHEG; ISSN: 0970-020X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

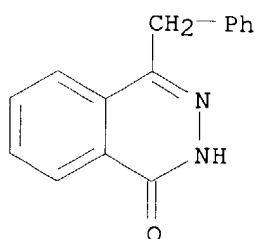


AB Arylphthalazinones I (R = Ph, CH₂Ph, R₁ = H) were prepared. Acetylation with AcOH/Ac₂O gave I (R = Ph, R₁ = Ac) and its o-acetyl isomer which rearranged to I (R = Ph, R₁ = Ac) on further heating. Other derivs. prepared were I (R = Ph, R₁ = succinoyl, phthaloyl, maleyl, phthalimidomethyl, benzamidomethyl, 2-hydroxynaphthylmethyl, 5-Cl-2-HOC₆H₃CH₂, COH:CHR₂, R₂ = p-MeOC₆H₄, m-O₂NC₆H₄, m-ClC₆H₄, 3,4-CH₂O₂C₆H₃; R = CH₂Ph, R₁ = benzamidomethyl, phthalimidomethyl).

IT **32003-14-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(Mannich reactions of, with benzamide and phthalimide)

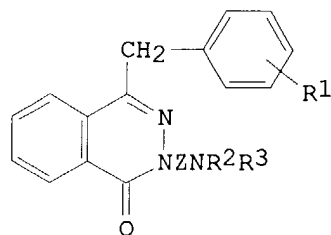
RN 32003-14-8 CAPLUS

CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 25 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1989:192838 CAPLUS
 DOCUMENT NUMBER: 110:192838
 TITLE: Preparation of 2-(aminoalkyl)-4-benzyl-1(2H)-
 phthalazinones as antiasthmatics and allergy
 inhibitors
 INVENTOR(S): Engel, Juergen; Scheffler, Gerhard
 PATENT ASSIGNEE(S): Asta Pharma A.-G., Fed. Rep. Ger.
 SOURCE: Ger. Offen., 15 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3813531	A1	19881110	DE 1988-3813531	19880422
ZA 8802639	A	19881228	ZA 1988-2639	19880414
EP 289881	A2	19881109	EP 1988-106460	19880422
EP 289881	A3	19900207		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DK 8802319	A	19881103	DK 1988-2319	19880428
JP 01013073	A2	19890117	JP 1988-104418	19880428
FI 8802020	A	19881103	FI 1988-2020	19880429
NO 8801899	A	19881103	NO 1988-1899	19880429
PRIORITY APPLN. INFO.:			DE 1987-3714712	19870502
OTHER SOURCE(S):		CASREACT 110:192838; MARPAT 110:192838		
GI				



I

AB The title compds. [I; R1 = H, C1-6 alkyl, CF3, C1-6 alkoxy, Br, Cl, F; R2 = H, C1-6 alkyl, C3-8 cycloalkyl, Ph, PhCH2; R3 = C1-6 alkyl, C3-6 alkenyl, C3-6 alkynyl, C3-8 cycloalkyl, (un)substituted Ph, phenyl-C1-6 alkyl; Z = C2-6 alkylene, C2-6 alkenylene] and their acid salts were prepared as antiallergic and antiasthmatic agents. 4-(p-Fluorobenzyl)-1(2H)-phthalazinone K salt, prepared from the free base, and PhCH2NMeCH2CH2Cl, prepared in 4 steps from PhCH2NHMe and ethylene oxide, were heated 5 h in

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AcNMe2 to give I (R1 = 4-F, R2 = Me, R3 = PhCH2, Z = CH2CH2), purified by preparation and decomposition of its oxalate, and converted to its hydrochloride

(II) in 60% yield. In the allergic asthma test in guinea pigs I had min. EDs of 0.3 mg/kg orally and 0.1 mg/kg i.v. Capsules containing 5 mg of II were prepared from a mixture of II 5, CaHPO4 111.7, gelatin 2.3, cornstarch 20.9, and Polysorbate 80 0.1 g.

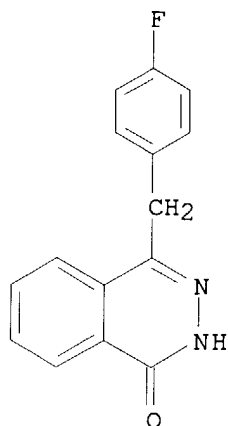
IT **120359-17-3**

RL: PROC (Process)

(conversion of, to potassium salt)

RN 120359-17-3 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)



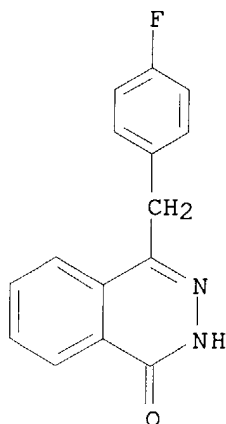
IT **119779-08-7P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and aminoalkylation of, in preparation of antiasthmatics)

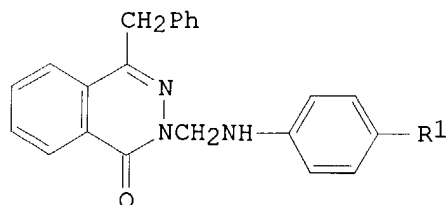
RN 119779-08-7 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(4-fluorophenyl)methyl]-, calcium salt (9CI) (CA INDEX NAME)

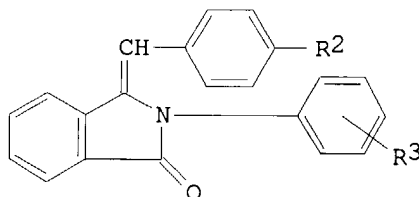


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L10 ANSWER 26 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:57598 CAPLUS
DOCUMENT NUMBER: 110:57598
TITLE: Synthesis of biologically active 4-benzyl-1(2H)-
phthalazinones and 2-aryl-3(2H)-1-benzalisoindolinones
AUTHOR(S): Bedair, A. H.; Lamphon, R. Q.; El Ghazal, S. A.
CORPORATE SOURCE: Fac. Educ., King Abdul-Aziz Univ., Madinah Munawwarah,
Saudi Arabia
SOURCE: Journal fuer Praktische Chemie (Leipzig) (1987),
329(4), 675-80
CODEN: JPCEAO; ISSN: 0021-8383
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:57598
GI



I



II

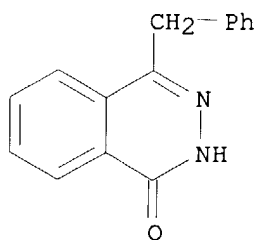
AB A phthalazinone derivative was treated with HCHO and anilines to give Mannich reaction products I (R₁ = CH₂CO₂H, H, CO₂Et), which showed bactericidal activity. Also prepared, from benzylidenephthalides and anilines, were isoindolinones II (R₂ = H, NO₂; R₃ = Ac, Ph, NHPH, OEt, SO₂NH₂, substituted sulfamoyl).

IT 32003-14-8

RL: RCT (Reactant); RACT (Reactant or reagent)
(Mannich reaction of, with formaldehyde and anilines)

RN 32003-14-8 CAPLUS

CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)

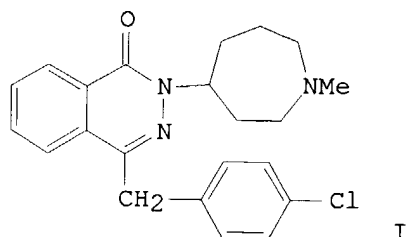


L10 ANSWER 27 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:590341 CAPLUS
DOCUMENT NUMBER: 109:190341
TITLE: Synthesis and x-ray structure analysis of azelastine
AUTHOR(S): Scheffler, Gerhard; Engel, Juergen; Kutscher,
Bernhard; Sheldrick, William S.; Bell, Peter
CORPORATE SOURCE: Asta Pharma A.-G., Frankfurt/Main, D-6000, Fed. Rep.
Ger.
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1988),

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DOCUMENT TYPE:
LANGUAGE:
OTHER SOURCE(S):
GI

321(4), 205-8
CODEN: ARPMAS; ISSN: 0365-6233
Journal
German
CASREACT 109:190341

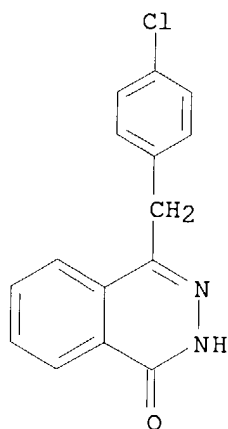


AB Azelastine (I) was prepared from phthalic anhydride by 2 routes. The crystal structure of I.H₂O shows 2 independent mols. with considerable conformational differences whose effect on pharmacol. activity is discussed.

IT **53242-88-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with chloroethylpyrrolidine)

RN 53242-88-9 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 28 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1988:406531 CAPLUS

DOCUMENT NUMBER: 109:6531

TITLE: Preparation of 2-carboxyalkyl-4-aralkylphthalazine derivatives as aldose reductase inhibitors and a process for preparing them

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

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LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62252774	A2	19871104	JP 1987-66871	19870319
PRIORITY APPLN. INFO.:			GB 1986-10018	19860424

OTHER SOURCE(S): CASREACT 109:6531

GI For diagram(s), see printed CA Issue.

AB The title compds. [I; R1 = lower aralkyl bearing ≥ 1 substituent; R2 = (un)protected CO₂H; A = O, S; Z = alkylene] (II) useful for inhibiting aldose reductase, were prepared BrCH₂CO₂Et 0.76 mL was added dropwise at 0° to a stirred mixture of 1.6 g 4-(3,4-dichlorobenzyl)-1,2-dihydro-1-oxophthalazine and NaH in DMF and the mixture was stirred 1 h to give 1.65 g I (R1 = 3,4-Cl₂C₆H₃CH₂, ZR2 = CH₂CO₂Et, A = O). I (R1 = 4,2-BrFC₆H₃OH₂, ZR2 = CH₂CO₂H, A = O) inhibited aldose reductase prepared from homogenized rabbit's eyes with an IC₅₀ of 3.7 ± 10^{-8} M.

IT **32003-14-8P 53242-88-9P 57835-95-7P**

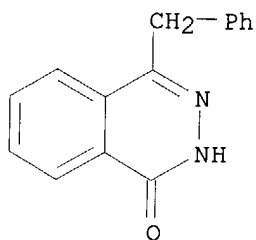
114897-94-8P 114897-95-9P 114897-96-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as intermediate for aldose reductase inhibitor)

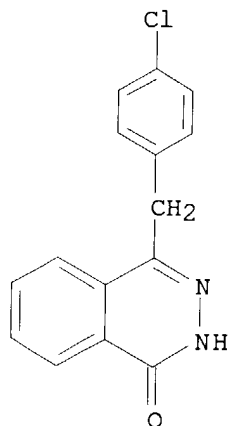
RN 32003-14-8 CAPLUS

CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 53242-88-9 CAPLUS

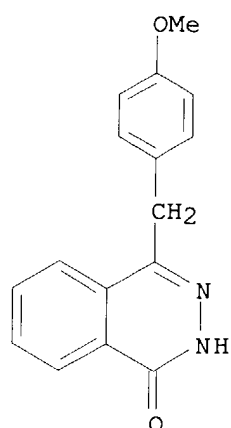
CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)



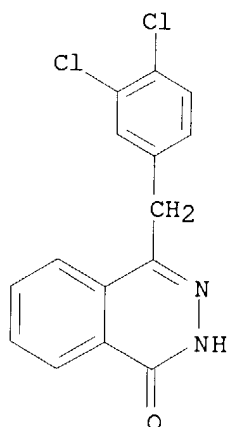
RN 57835-95-7 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

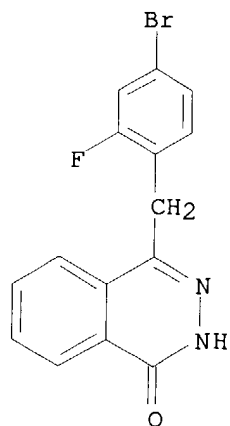
10/021506



RN 114897-94-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)



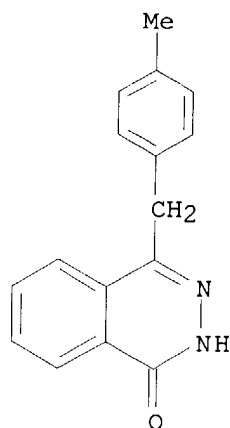
RN 114897-95-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-bromo-2-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)



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RN 114897-96-0 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(4-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 29 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1988:37758 CAPLUS

DOCUMENT NUMBER: 108:37758

TITLE: Synthesis and heterocyclization of acetylene derivatives of phenyl- and pyrazolylcarboxylic acid hydrazides

AUTHOR(S): Pozdnyakov, A. V.

CORPORATE SOURCE: Novosib. Gos. Univ., Novosibirsk, USSR

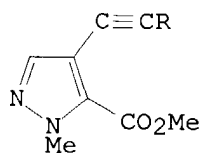
SOURCE: Mater. Vses. Nauchn. Stud. Konf. "Stud. Nauchno-Tekh. Prog.": Khim., 22nd (1984), 26-30. Editor(s): Rait, V. K. Novosib. Gos. Univ.: Novosibirsk, USSR.

CODEN: 55LIA9

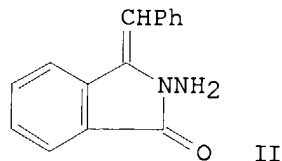
DOCUMENT TYPE: Conference

LANGUAGE: Russian

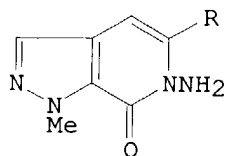
GI



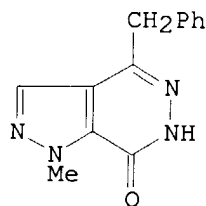
I



II



III



IV

AB Reaction of appropriate iodo compds. with RC.tplbond.CH in the presence of Pd(PPh₃)₂Cl₂-CuI gave 2-RC.tplbond.CC₆H₄CO₂Me (R = Ph, PhOCH₂, HOCMe₂) and pyrazolecarboxylates I (R = Ph, PhOCH₂, morpholinomethyl). These on hydrazinolysis and ring closure with alkali gave methylenephthalimide II

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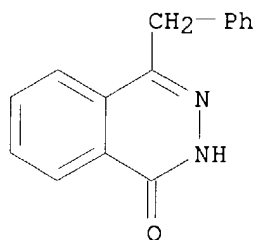
or pyrazolopyridines III. Cyclization with Cu(I) in DMF gave pyridazines such as IV.

IT **32003-14-8P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 32003-14-8 CAPLUS

CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 30 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1987:95582 CAPLUS

DOCUMENT NUMBER: 106:95582

TITLE: Cytotoxic effects of derivatives of
1-benzylideneisoindolin-3-one and dihydropthalazine
prepared from narceine and narcein imide on leukemia
P388 cells

AUTHOR(S): Fuska, J.; Fuskova, A.; Proksa, B.

CORPORATE SOURCE: Dep. Chem. Technol. Zivot. Prostr., Slov. Vys. Sk.
Tech., Czech.

SOURCE: Zbornik Prac Chemickotechnologickej Fakulty SVST
(1986), Volume Date 1979-1981 285-91
CODEN: ZPCTA7; ISSN: 0524-2185

DOCUMENT TYPE: Journal

LANGUAGE: Slovak

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Twenty-one title compds. (I; R = CH2Me, CH2:CH2, CHOHMe, CH2CH2NMe2, CH2CH2NOMe2, CH2CH2NACMe; X = O, NH; II; X = O, NH; III; R = CH2:CH2, CH2CH2NMe2; X = O, NH, NPh; IV; X = O, NH, NMe, NPh; V; X = O, NH, NPh) were prepared from narceine or narceine imide by previously described methods. Study of the cytostatic effects of the compds. in leukemia P388 cultures showed that nearly half of the compds. inhibited uridine incorporation, but only 3 compds. affected incorporation of thymidine and L-valine. The cytotoxic effects of the compds. were relatable not only to the types and positions of the substituents but to stereoisomerism as well.

IT **32003-14-8P 76110-83-3P**

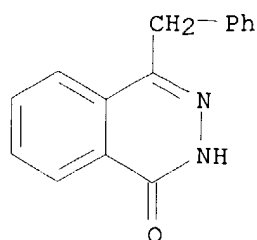
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and neoplasm-inhibiting activity of, structure in relation to)

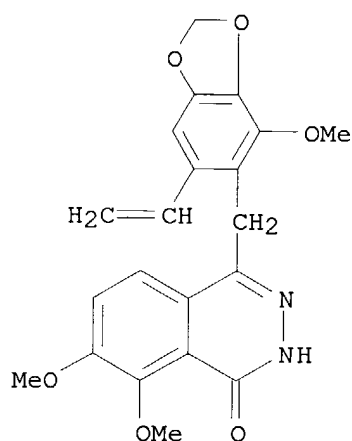
RN 32003-14-8 CAPLUS

CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)

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RN 76110-83-3 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(6-ethenyl-4-methoxy-1,3-benzodioxol-5-yl)methyl]-7,8-dimethoxy- (9CI) (CA INDEX NAME)



L10 ANSWER 31 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1986:102050 CAPLUS
DOCUMENT NUMBER: 104:102050
TITLE: New cytotoxic and antitumor agents. VII. Derivatives of 1-benzylidenisoindolin-3-one and 5,6-dihydro-8H-isoquinolo(2,3-a)phthalazin-5-one
AUTHOR(S): Fuska, J.; Fuskova, A.; Proksa, B.
CORPORATE SOURCE: Fac. Chem. Technol., Slovak Tech. Univ., Bratislava, 812 37, Czech.
SOURCE: Neoplasma (1985), 32(4), 407-14
CODEN: NEOLA4; ISSN: 0028-2685
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The in vitro cytotoxicity (P388 murine leukemia) of derivs. and analogs of the title compds. (I; R = Et, vinyl, diethylaminoethyl, etc.; II; X = NH or O; III; X = O, NH, or NPh and R = vinyl or diethylaminoethyl; IV; X = O, NH, NPh, or NMe; and V; X = O, NH, or NPh) was evaluated. I, which preferentially inhibited cellular uridine [58-96-8] incorporation, were the most effective cytotoxic agents; the cytotoxicity of these agents

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dependent on the substituents, presence of a N atom in 5-membered heterocycle as well as the spatial arrangement of the mol. The isoquinolophthalazine (V; X = NPh) was also potent in inhibiting P388 cell proliferation.

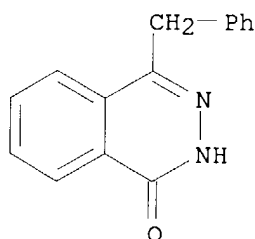
IT 32003-14-8 76110-83-3

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(neoplasm-inhibiting activity of)

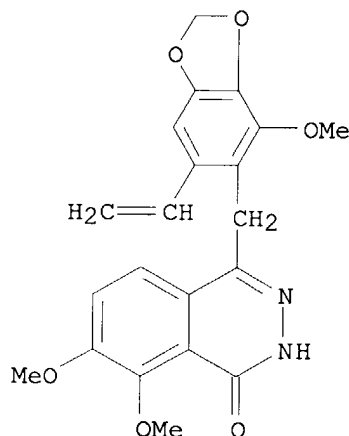
RN 32003-14-8 CAPLUS

CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 76110-83-3 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(6-ethenyl-4-methoxy-1,3-benzodioxol-5-yl)methyl]-7,8-dimethoxy- (9CI) (CA INDEX NAME)



L10 ANSWER 32 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1986:34057 CAPLUS

DOCUMENT NUMBER: 104:34057

TITLE: Cyclization of hydrazides of vicinal phenylethynyl derivatives of N-methylpyrazole-5-carboxylic and benzoic acids

AUTHOR(S): Vasilevskii, S. F.; Pozdnyakov, A. V.; Shvartsberg, M. S.

CORPORATE SOURCE: Inst. Khim. Kinet. Goren., Novosibirsk, USSR

SOURCE: Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya (1985), (6), 1367-70

CODEN: IASKA6; ISSN: 0002-3353

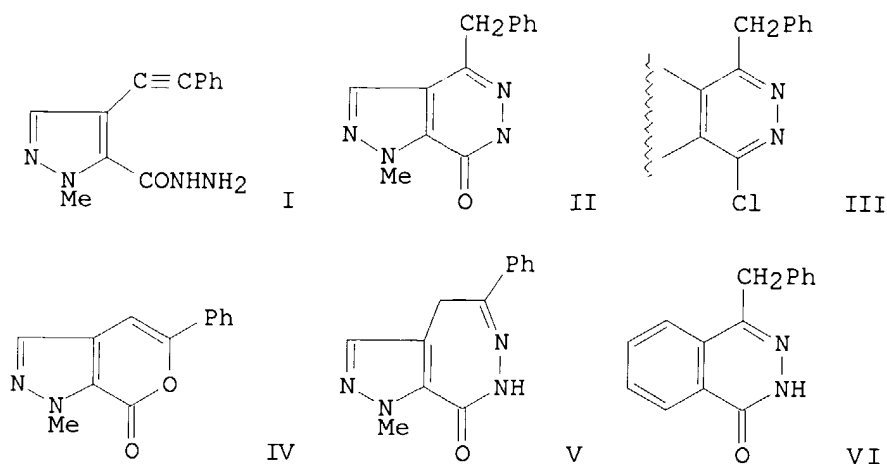
DOCUMENT TYPE: Journal

LANGUAGE: Russian

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OTHER SOURCE(S):
GI

CASREACT 104:34057



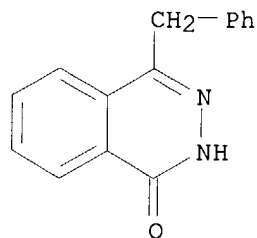
AB Treating hydrazide I with CuCl in DMF gave 70.6% pyrazolopyridazine II which was chlorinated by POCl₃ to give 59.3% III. Treating pyranopyrazole IV with N₂H₄·H₂O gave 59.6% pyrazolodiazepinone V. Analogously obtained were the corresponding derivs. from (phenylethynyl) benzoic acid, e.g., benzopyridazinone VI.

IT **32003-14-8P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and chlorination of)

RN 32003-14-8 CAPLUS

CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 33 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1984:630294 CAPLUS

DOCUMENT NUMBER: 101:230294

TITLE: Thioarylidene-phthalides and related compounds. Part VI. A study on dichlorophthalides, phthalimides and their thio analogs

AUTHOR(S): El-Maghraby, A. A.; Bedair, A. H.; El-Sharief, A. M. S.; Ammar, Y. A.

CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Nasr, Egypt

SOURCE: Egyptian Journal of Chemistry (1983), 26(5), 389-400
CODEN: EGJCA3; ISSN: 0367-0422

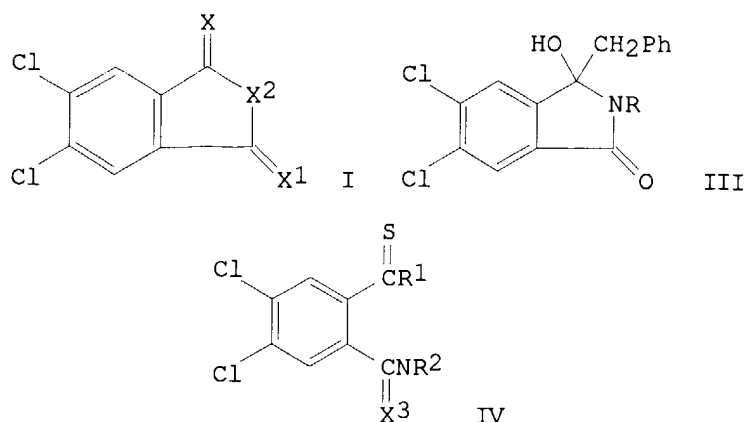
DOCUMENT TYPE: Journal

LANGUAGE: English

10/021506

OTHER SOURCE(S):
GI

CASREACT 101:230294



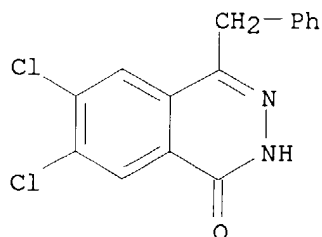
AB Benzalphthalide I (X = CHPh, X1 = X2 = O) reacted with amines to give I (X = CHPh, X1 = O, X2 = NR; R = Ph, substituted Ph, 1-naphthyl, cyclohexyl) (II). Similar treatment of phthalic anhydride I (X-X2 = O) gave I (X = X1 = O, X2 = NR, same R's). Grignard alkylation of the last with PhCH2MgCl gave phthalimides III, which gave II on dehydration. Phthalimides I (X = X1 = O, X2 = NR; R = Ph, cyclohexyl, C6H4Me-4, C6H4Cl-4) underwent mono- and disulfuration with P2S5 to give mono- and dithiones I (X = S; X1 = S, O; X2 = NR). The dithiones I (X = X1 = S, X2 = NPh, NC6H4Me-4) and Ph2CN2 gave I (X = S, X1 = CPh2, same X2). Treating dithiones I (X = X1 = S, X2 = NC6H4Me-4, NC6H4Cl-4) with Grignard reagents led to ring opened products IV (R1 = C6H4Me-4, R2 = Ph, CMe3, X3 = S; R1 = C6H4Cl-4, R2 = CHMe2, X3 = O, N; R2 = Pr, X3 = O).

IT **93296-37-8P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 93296-37-8 CAPLUS

CN 1(2H)-Phthalazinone, 6,7-dichloro-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 34 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1984:121096 CAPLUS

DOCUMENT NUMBER: 100:121096

TITLE: Tetracyclic compounds derived from narceonic acid

INVENTOR(S): Proksa, Bohumil; Voticky, Zdeno; Cerny, Jozef

PATENT ASSIGNEE(S): Czech.

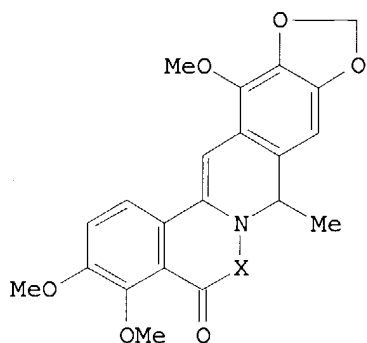
SOURCE: Czech., 2 pp.

CODEN: CZXXA9

10/021506

DOCUMENT TYPE: Patent
 LANGUAGE: Czech
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CS 203756	B	19810331	CS 1979-2349	19790406
PRIORITY APPLN. INFO.: GI			CS 1979-2349	19790406



II, X=NR

III, X=O

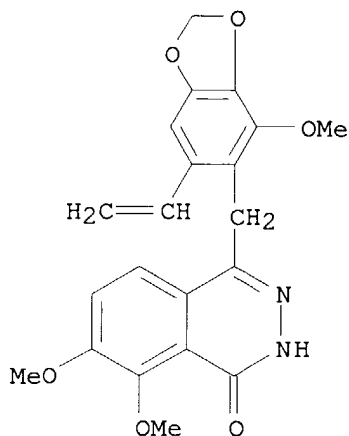
AB Refluxing narceonic acid (I) in EtOH solution with N₂H₄.H₂O or PhNHNH₂ gave, resp., 1-(6-ethenyl-2-methoxy-3,4-methylenedioxy)benzyl-3,4-dihydro-5,6-dimethoxyphthalazin-4-one and its 3-Ph derivative which cyclized in boiling 5% alc. HCl to yield the tetracyclic derivs. II (R = H, Ph). Refluxing I with NH₂OH.HCl in EtOH-pyridine gave 4-(6-ethenyl-3,4-methylenedioxy-2-methoxy)benzyl-7,8-dimethoxy-1H-benzo[d][1,2]oxazin-1-one which was cyclized as above to give the tetracyclic derivative III.

IT **76110-83-3P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and intramol. cyclization of)

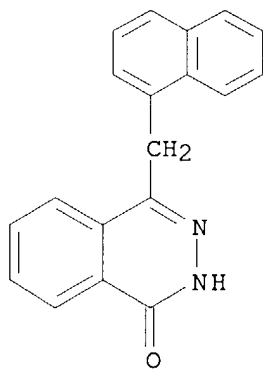
RN 76110-83-3 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(6-ethenyl-4-methoxy-1,3-benzodioxol-5-yl)methyl]-7,8-dimethoxy- (9CI) (CA INDEX NAME)



10/021506

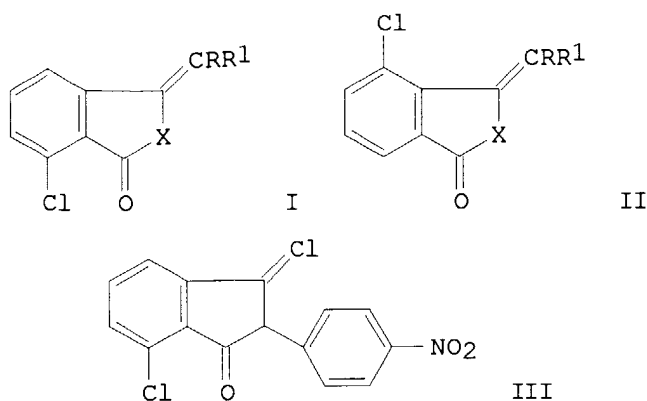
L10 ANSWER 35 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1983:612381 CAPLUS
DOCUMENT NUMBER: 99:212381
TITLE: Thioarylidene-phthalides and related compounds. V.
Some new classes of phthalimidines: synthesis of
2-substituted-3-(α -naphthal or
p-tolylsulfonamidobenzal)phthalimidines
AUTHOR(S): Islam, A. M.; El-Sharief, A. M. S.; Ismail, I. M.;
Aly, F. M.; Mohamed, Y. A.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Egypt
SOURCE: Egyptian Journal of Chemistry (1983), Volume Date
1982, 25(4), 343-55
CODEN: EGJCA3; ISSN: 0367-0422
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 99:212381
AB 3-(α -Naphthal)pythalimidines and 2-thio and 1-thio-3-(α -
naphthal)phthalides were prepared and some of their reactions were
investigated. 3-(p-Tolylsulfonamidobenzal)phthalides as well as 4-chloro
& 7-chloro-3-(p-tolylsulfonamidobenzal)phthalides and some
(p-tolylsulfonamideobenzal)phthalimidines were synthesized.
IT **87849-90-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 87849-90-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-(1-naphthalenylmethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 36 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1983:575527 CAPLUS
DOCUMENT NUMBER: 99:175527
TITLE: Regioisomers in the Perkin reaction. V. Interaction of
3-chlorophthalic anhydride and 4-chlorophthalimide
with active methylene compounds
AUTHOR(S): Islam, A. M.; El-Sharief, A. M. Sh.; Bedair, A. H.;
Hammad, N. E.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt
SOURCE: Egyptian Journal of Chemistry (1983), Volume Date
1982, 25(3), 251-61
CODEN: EGJCA3; ISSN: 0367-0422
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 99:175527

10/021506

GI



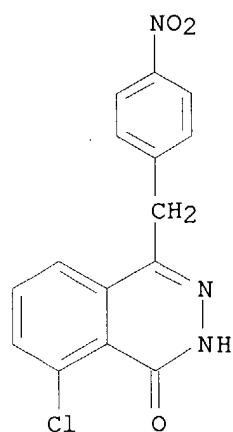
AB The title reactions gave mixts. of I and II (X = O, NH; R = H, CONH₂, cyano; R₁ = Ph, C₆H₄NO₂-4). I and II (X = O, R = H, R₁ = C₆H₄NO₂-4) rearranged to the indandione III on treatment with base. Reactions of I and II (X = O, R = H, R₁ = C₆H₄NO₂-4) with amines, hydrazines, and P₂S₅ are also reported.

IT **87485-72-1P 87485-74-3P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 87485-72-1 CAPLUS

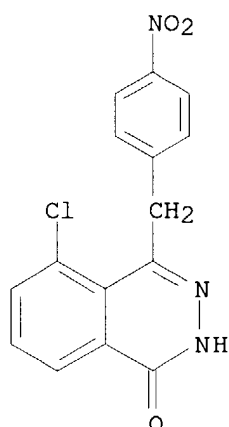
CN 1(2H)-Phthalazinone, 8-chloro-4-[(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



RN 87485-74-3 CAPLUS

CN 1(2H)-Phthalazinone, 5-chloro-4-[(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)

10/021506

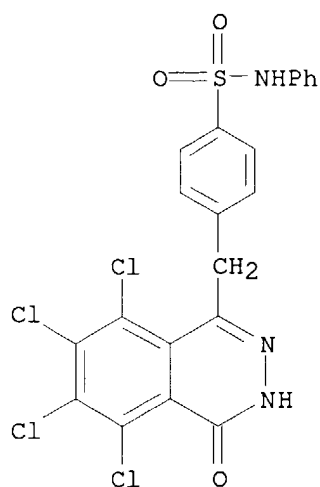


L10 ANSWER 37 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1983:453512 CAPLUS
DOCUMENT NUMBER: 99:53512
TITLE: Action of Grignard reagents on phthalides,
phthalimides and related compounds. Part II.
Interaction of tetrachloro-3-(p-N-
arylsulfonamidobenzal)phthalides with Grignard
reagents, hydrazine hydrate and amines
AUTHOR(S): El-Sharief, A. M. S.; El-Maghraby, A. A.; El-Said, A.
S.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt
SOURCE: Indian Journal of Chemistry, Section B: Organic
Chemistry Including Medicinal Chemistry (1983),
22B(1), 87-90
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 99:53512
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The tetrachlorophthalides I (R = Ph, p-MeC₆H₄), prepared from
p-(RNHSO₂)C₆H₄CH₂CO₂H and phthalic anhydride, reacted with Grignard
reagents to give the diketones II (R₁ = Ph, Pr, Bu) and indones III (R₁ =
PhCH₂, Et, Bu). III were also prepared from indandiones and Grignard
reagents. I reacted with H₂NNH₂ and amines to give phthalazones IV and
phthalimidines V [R₂ = (un)substituted phenyl].
IT **86355-25-1P 86355-26-2P 86355-27-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 86355-25-1 CAPLUS
CN Benzenesulfonamide, N-phenyl-4-[(5,6,7,8-tetrachloro-3,4-dihydro-4-oxo-1-
phthalazinyl)methyl]- (9CI) (CA INDEX NAME)

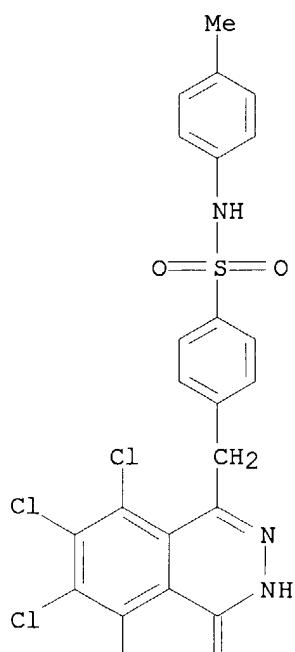
10/021506



RN 86355-26-2 CAPLUS

CN Benzenesulfonamide, N-(4-methylphenyl)-4-[(5,6,7,8-tetrachloro-3,4-dihydro-4-oxo-1-phthalazinyl)methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

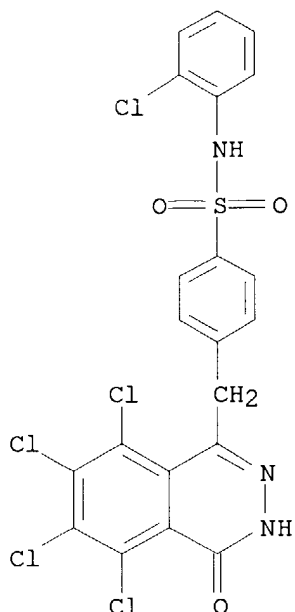


RN 86355-27-3 CAPLUS

CN Benzenesulfonamide, N-(2-chlorophenyl)-4-[(5,6,7,8-tetrachloro-3,4-dihydro-

10/021506

4-oxo-1-phthalazinyl)methyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 38 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1983:16635 CAPLUS
DOCUMENT NUMBER: 98:16635
TITLE: Isoquinolino[3,2-a]phthalazine-5,8-diones
AUTHOR(S): Dusemund, Juergen
CORPORATE SOURCE: Inst. Pharm., Freie Univ. Berlin, Berlin, 1000/33,
Fed. Rep. Ger.
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1982),
315(11), 925-30
CODEN: ARPMAS; ISSN: 0365-6233
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 98:16635
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Cyclizing 2-(2-HO₂CC₆H₄CH₂CO)C₆H₄CO₂H (I) with R₁NHNH₂ (R₁ = H, Me, CH₂Ph, Me₃C) in refluxing EtOH for 4 h gave phthalazinones II. Refluxing II (R = H) in Ac₂O gave 95% isoquinophthalazinone III, which was also prepared from benzopyranone IV and H₂NNH₂ via acetal V. MeNHNHMe and I gave spiro compound VI.

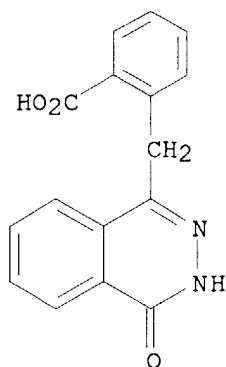
IT **83983-03-3P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization or methylation of)

RN 83983-03-3 CAPLUS

CN Benzoic acid, 2-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]- (9CI) (CA INDEX NAME)

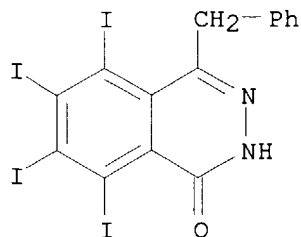
10/021506



L10 ANSWER 39 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1981:587182 CAPLUS
DOCUMENT NUMBER: 95:187182
TITLE: 4,5,6,7-Tetraiodo-3-benzalpthalides and related compounds
AUTHOR(S): Islam, A. M.; El-Shafie, S. M. M.
CORPORATE SOURCE: Chem. Dep., Al-Azhar Univ., Cairo, Egypt
SOURCE: Egyptian Journal of Chemistry (1980), Volume Date 1979, 22(2), 135-41
CODEN: EGJCA3; ISSN: 0367-0422
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

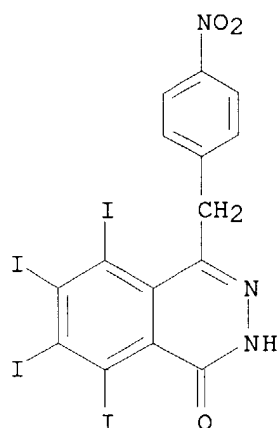
AB Treating title compds. I (R = H, NO₂, NHAc), obtained by condensing tetraiodophthalic anhydride with 4-RC₆H₄CH₂CO₂H, with N₂H₄ and aniline gave 63-79% II and 11-47% III, resp. Exposing I to direct sunlight in benzene gave 17-32% IV.
IT **78298-78-9P 78298-79-0P 78298-80-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 78298-78-9 CAPLUS
CN 1(2H)-Phthalazinone, 5,6,7,8-tetraiodo-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 78298-79-0 CAPLUS
CN 1(2H)-Phthalazinone, 5,6,7,8-tetraiodo-4-[(4-nitrophenyl)methyl]- (9CI)

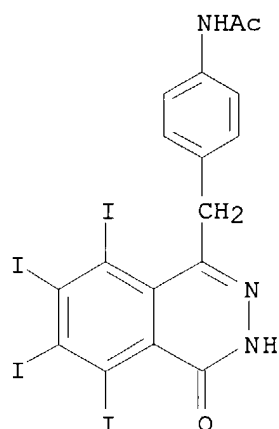
10/021506

(CA INDEX NAME)



RN 78298-80-3 CAPLUS

CN Acetamide, N-[4-[(3,4-dihydro-5,6,7,8-tetraiodo-4-oxo-1-phthalazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 40 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1981:462106 CAPLUS

DOCUMENT NUMBER: 95:62106

TITLE: Action of phosphorus pentasulfide on the products of interaction of p-sulfamoylphenylacetic acids with phthalic anhydride

AUTHOR(S): Islam, A. M.; El-Maghraby, A. A.; El-Sharief, A. M. S.; Aly, F. M. M.

CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt

SOURCE: Egyptian Journal of Chemistry (1980), Volume Date 1979, 22(3), 209-22

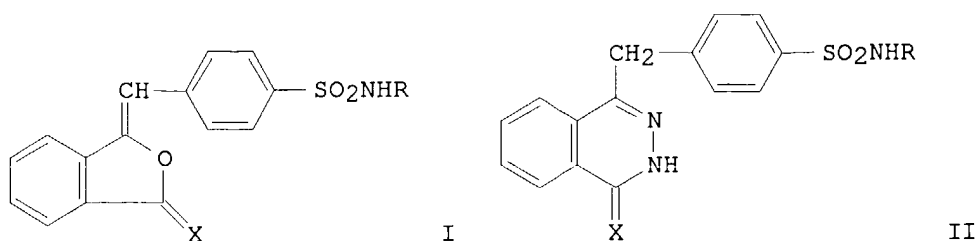
CODEN: EGJCA3; ISSN: 0367-0422

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 95:62106

GI



AB Treating sulfamoylarylidene-phthalides I (R = Ph, 2-, 3-, 4-MeC₆H₄, 2-, 4-MeOC₆H₄, 2-, 4-ClC₆H₄, 4-BrC₆H₄; X = O), prepared from 4-RNHSO₂C₆H₄CH₂CO₂H and phthalic anhydride, with P₂O₅ gave 60-70% I (X = S). II (X = S) were similarly prepared from II (X = O), obtained by treating I (X = O) with N₂H₄.

IT 78001-36-2P 78001-37-3P 78298-01-8P
78298-02-9P 78298-03-0P 78298-04-1P
78298-05-2P 78298-06-3P 78298-07-4P

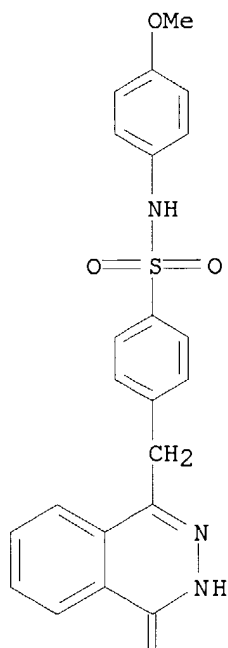
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with phosphorus pentasulfide)

RN 78001-36-2 CAPLUS

CN Benzenesulfonamide, 4-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

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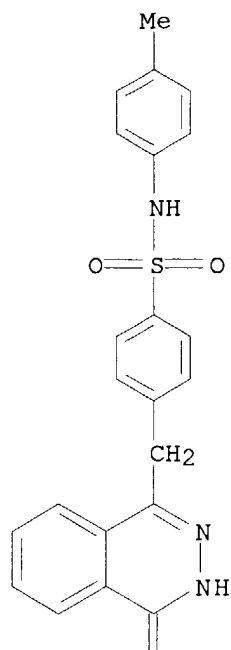
PAGE 2-A

10/021506

RN 78001-37-3 CAPLUS

CN Benzenesulfonamide, 4-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



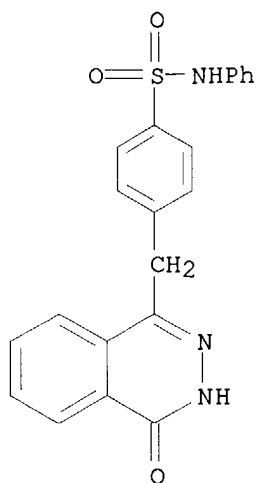
PAGE 2-A



RN 78298-01-8 CAPLUS

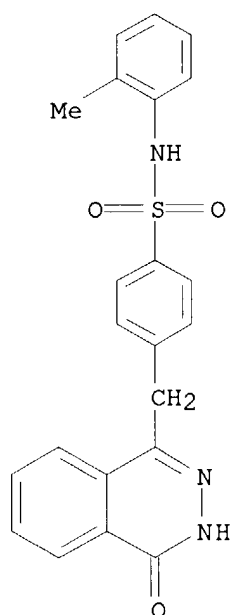
CN Benzenesulfonamide, 4-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]-N-phenyl- (9CI) (CA INDEX NAME)

10/021506



RN 78298-02-9 CAPLUS

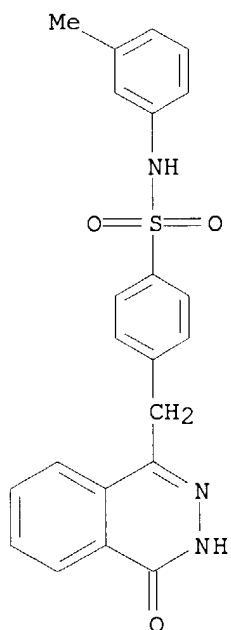
CN Benzenesulfonamide, 4-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]-N-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 78298-03-0 CAPLUS

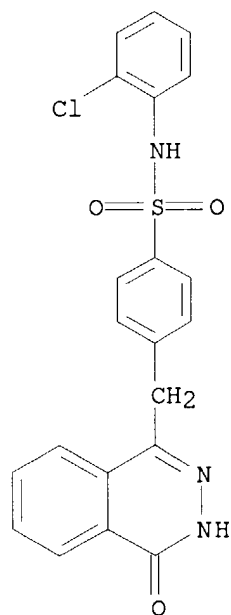
CN Benzenesulfonamide, 4-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]-N-(3-methylphenyl)- (9CI) (CA INDEX NAME)

10/021506



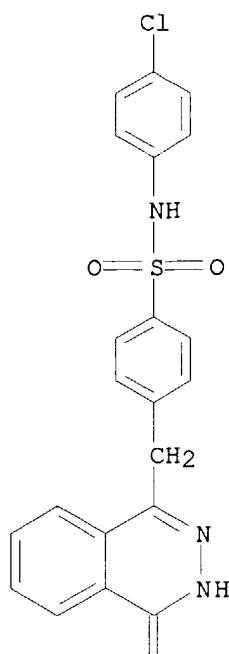
RN 78298-04-1 CAPLUS

CN Benzenesulfonamide, N-(2-chlorophenyl)-4-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]- (9CI) (CA INDEX NAME)

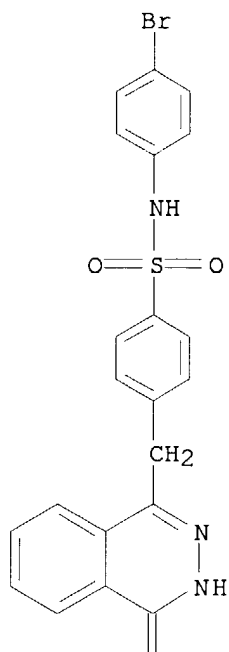


RN 78298-05-2 CAPLUS

CN Benzenesulfonamide, N-(4-chlorophenyl)-4-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]- (9CI) (CA INDEX NAME)

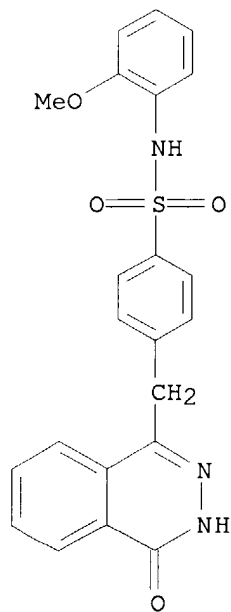


RN 78298-06-3 CAPLUS
CN Benzenesulfonamide, N-(4-bromophenyl)-4-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]- (9CI) (CA INDEX NAME)



RN 78298-07-4 CAPLUS

CN Benzenesulfonamide, 4-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]-N-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



10/021506

L10 ANSWER 41 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1981:460757 CAPLUS

DOCUMENT NUMBER: 95:60757

TITLE: Proton magnetic resonance spectra of some
p-(N-arylsulfamido)phenylacetic acids and
4-(p-N-arylsulfamido)benzylphthalazones

AUTHOR(S): Islam, A. M.; Ibrahim, E. H.; El-Maghraby, A. A.; Aly,
F. M.

CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt

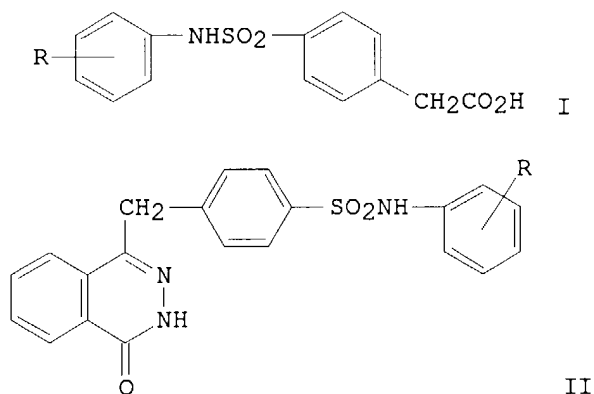
SOURCE: Egyptian Journal of Chemistry (1980), Volume Date
1979, 22(5), 389-92

CODEN: EGJCA3; ISSN: 0367-0422

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



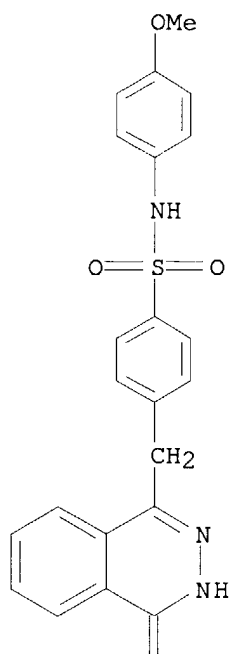
AB The ^1H NMR of title compds. I ($\text{R} = \text{m-Me}, \text{p-MeO}, \text{p-Br}, \text{p-Cl}$) and II ($\text{p-MeO}, \text{p-Me}$) were compared.

IT **78001-36-2 78001-37-3**

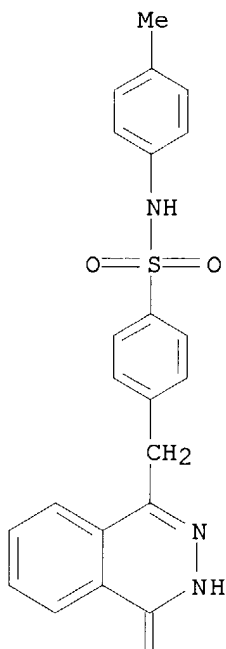
RL: PRP (Properties)
(NMR of)

RN 78001-36-2 CAPLUS

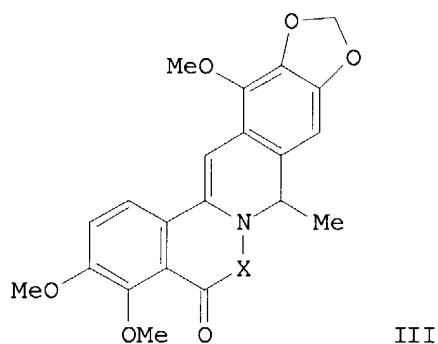
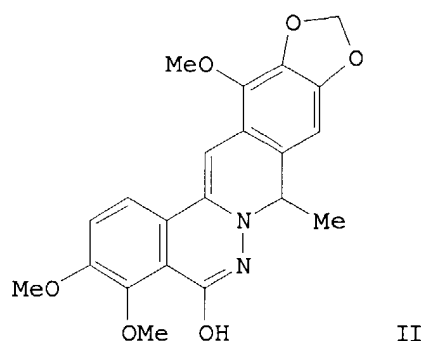
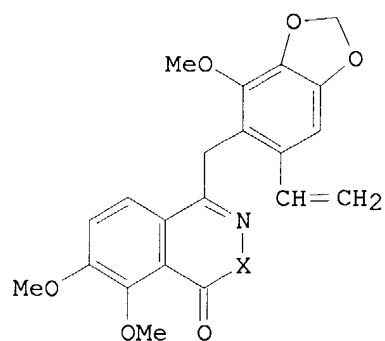
CN Benzenesulfonamide, 4-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 78001-37-3 CAPLUS
CN Benzenesulfonamide, 4-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 42 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1981:30685 CAPLUS
DOCUMENT NUMBER: 94:30685
TITLE: 5,6-Dihydro-8H-isoquinolo[2,3-a]phthalazin-5-ones and
8H-isoquinolo[2,3-c][2,3] benzoxazin-5-ones
AUTHOR(S): Proksa, B.; Voticky, Z.
CORPORATE SOURCE: Slovakofarma, Hlohovec, 920 27, Czech.
SOURCE: Chemicke Zvesti (1980), 34(2), 241-7
CODEN: CHZVAN; ISSN: 0366-6352
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 94:30685
GI



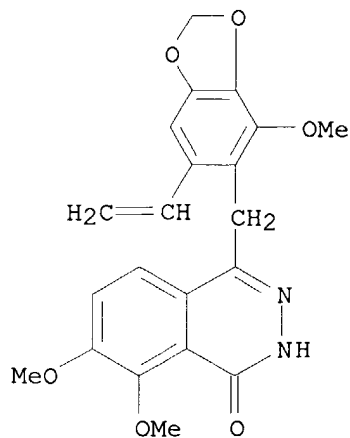
AB Condensing narceonic acid, prepared from narceine, with hydrazines or with NH_2OH gave benzylidihydrophthalazinones I ($\text{X} = \text{NH}$, NPh) or benzylbenzoxazinone I ($\text{X} = \text{O}$), resp., which cyclize in acid medium to give dihydroisoquinolinophthalazinones II and III ($\text{X} = \text{NPh}$) and isoquinolinobenzoxazinones III ($\text{X} = \text{O}$), resp.

IT **76110-83-3P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)

RN 76110-83-3 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(6-ethenyl-4-methoxy-1,3-benzodioxol-5-yl)methyl]-7,8-dimethoxy- (9CI) (CA INDEX NAME)



10/021506

L10 ANSWER 43 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1981:9988 CAPLUS
DOCUMENT NUMBER: 94:9988
TITLE: Heat developable light-sensitive materials
INVENTOR(S): Ikenoue, Shinpei; Masuda, Takao; Sakawaki, Shinichi
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: U.S., 20 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4207112	A	19800610	US 1975-628675	19751103
JP 51052818	A2	19760510	JP 1974-126716	19741101
JP 54020329	B4	19790721		

PRIORITY APPLN. INFO.: JP 1974-126716 19741101

GI For diagram(s), see printed CA Issue.

AB Heat-developable photoimaging materials comprised of a support and ≥ 1 layer containing an organic Ag salt, a photosensitive Ag halide or a photosensitive Ag halide-forming component, a reducing agent, and phthalazinone are coated on the opposite surface of the support with a layer containing a compound selected from I, II, and III (R1-R4 = H, halo, alkyl, alkoxy, NO₂, NH₂, OH; R5 = H, alkyl, alkoxy, aryl, pyridyl, vinyl; R7-R10 = H, halo, OH, alkyl, aryl, NH₂, alkyl-substituted NH₂, NO₂, alkoxy, thioalkoxy, acylamido; R11 = H, aryl, pyridyl, 2-(2-pyridyl)ethyl, 2-(4-pyridyl)ethyl, benzoyl, Me; R6, R12, R13 = H or a monovalent metal; Q = atoms necessary to complete a heterocyclic ring). The use of the back layer improves the retention of the properties of the freshly manufactured photoimaging materials, especially in those situations where the photoimaging materials are stacked in a superload condition upon other such photoimaging materials. Thus, a photosensitive composition containing Ag laurate,

N-bromosuccinimide, poly(vinyl butyral), a merocyanine dye, phthalazinone, and 2,2-bis(3,5-dimethyl-4-hydroxyphenyl)propane was coated on an art paper support having a phthalazinone-containing back layer to give a photoimaging film, the film cut into 10 sheets, the sheets superposed upon one another so that the photosensitive layer of one came into contact with the back layer of another sheet, the stack tightly sealed into a vinyl polymer bag, allowed to stand for 1 day at 50°, imagewise exposed to a W lamp, and heated at 135° to develop an image with a D_{max} of 1.36 and a D_{min} of 0.08 vs. 0.30 and .apprx.0, resp., for a control film without the phthalazinone back layer.

IT 32003-14-8 57835-95-7

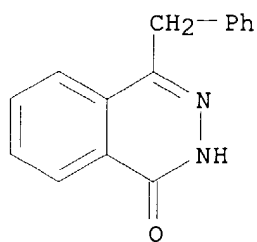
RL: USES (Uses)

(coatings, back, for photothermog. films for improved storage stability)

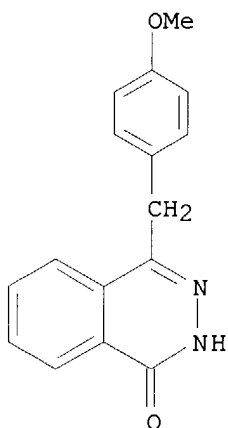
RN 32003-14-8 CAPLUS

CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)

10/021506

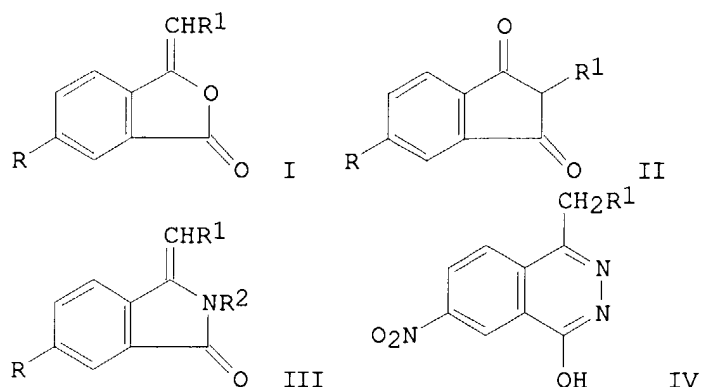


RN 57835-95-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 44 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1980:407937 CAPLUS
DOCUMENT NUMBER: 93:7937
TITLE: Benzalphthalimidines and related compounds. Part VII.
Aminobenzalphthalimidines
AUTHOR(S): Islam, A. M.; Hannout, I. B.; El-Maghraby, A. A.; Aly,
F. M.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt
SOURCE: Egyptian Journal of Chemistry (1978), Volume Date
1977, 20(1), 25-31
CODEN: EGJCA3; ISSN: 0367-0422
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 93:7937
GI

10/021506



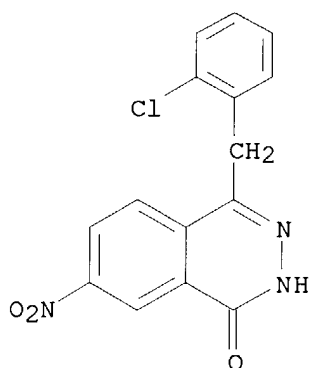
AB Nitrobenzalphthalides I [R = NO₂; R₁ = 2-ClC₆H₄, 4-ClC₆H₄, 4-MeOC₆H₄, 3,4-(OCH₂O)C₆H₃] were reduced by SnCl₂-HCl in HOAc to give I (R = NH₂). I (R = NO₂, NH₂) rearranged in refluxing MeOH containing NaOMe to give the indandiones II, and condensation of I (R = NO₂, NH₂) with R₂NH₂ (R₂ = Ph, 4-MeC₆H₄, PhCH₂, Bu, Me₂CHCH₂, α-naphthyl) gave benzalphthalimidines III. I (R = NO₂; R₁ = 2-ClC₆H₄, 4-ClC₆H₄) cyclized with N₂H₄ to give phthalazines IV.

IT **73878-43-0P 73878-44-1P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

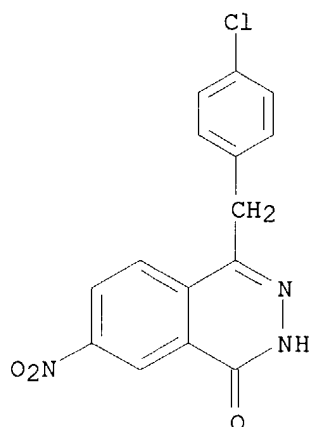
RN 73878-43-0 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(2-chlorophenyl)methyl]-7-nitro- (9CI) (CA INDEX NAME)

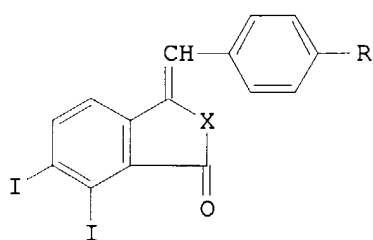


RN 73878-44-1 CAPLUS

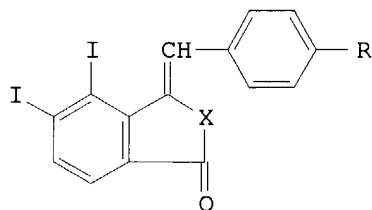
CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]-7-nitro- (9CI) (CA INDEX NAME)



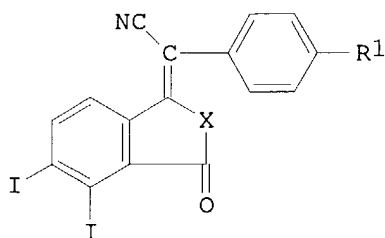
L10 ANSWER 45 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1978:597263 CAPLUS
 DOCUMENT NUMBER: 89:197263
 TITLE: Structural isomers in the Perkin reaction: Part IV.
 4,5-diiodo- and 6,7-diiodo-3-benzalpthalides and
 their reactions
 AUTHOR(S): Islam, A. M.; El-Sharief, A. M. S.; El-Maghraby, A.
 A.; Bedear, A. H.
 CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt
 SOURCE: Indian Journal of Chemistry, Section B: Organic
 Chemistry Including Medicinal Chemistry (1978),
 16B(4), 301-4
 CODEN: IJSBDB; ISSN: 0376-4699
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 89:197263
 GI



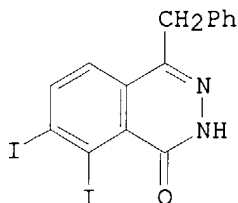
I



II



III



IV

AB Condensation of 3,4-diiodophthalic anhydride with 4-RC₆H₄CH₂CO₂H (R = H, NO₂) gave isomeric diiodobenzalpthalides I and II (X = O). Similarly,

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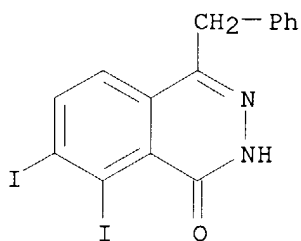
reaction of 4,5-diiodophthalimide with $\text{PhCH}_2\text{CO}_2\text{H}$ gave phthalimidines I and II ($\text{X} = \text{NH}$; $\text{R} = \text{H}$). However, 4,5-diiodophthalimide reacted with $4\text{-O}_2\text{NC}_6\text{H}_4\text{CH}_2\text{CO}_2\text{H}$ to give only I ($\text{X} = \text{NH}$; $\text{R} = \text{NO}_2$). Reaction of 3,4-diiodophthalic anhydride and 4,5-diiodophthalimide with benzyl cyanides also gave only one isomer in each case, phthalides III ($\text{R}_1 = \text{H}$, NO_2 ; $\text{X} = \text{O}$) and phthalimidines III ($\text{R}_1 = \text{H}$, NO_2 ; $\text{X} = \text{NH}$), resp. Reactions of I and II ($\text{X} = \text{O}$) with N_2H_4 and amines were carried out and discussed. Thus, treatment of I ($\text{X} = \text{O}$; $\text{R} = \text{H}$) with N_2H_4 and PhNH_2 gave phthalazinone IV and I ($\text{R} = \text{H}$; $\text{X} = \text{PhN}$), resp.

IT 68062-53-3P 68062-54-4P 68062-75-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

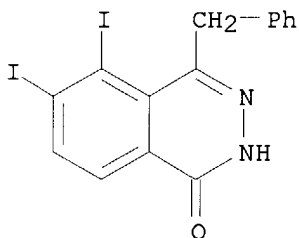
RN 68062-53-3 CAPLUS

CN 1(2H)-Phthalazinone, 7,8-diiodo-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



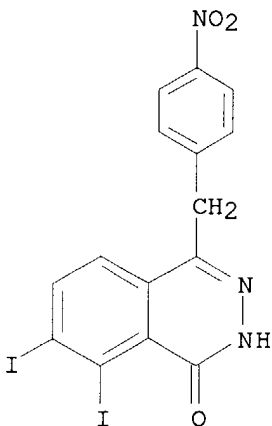
RN 68062-54-4 CAPLUS

CN 1(2H)-Phthalazinone, 5,6-diiodo-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



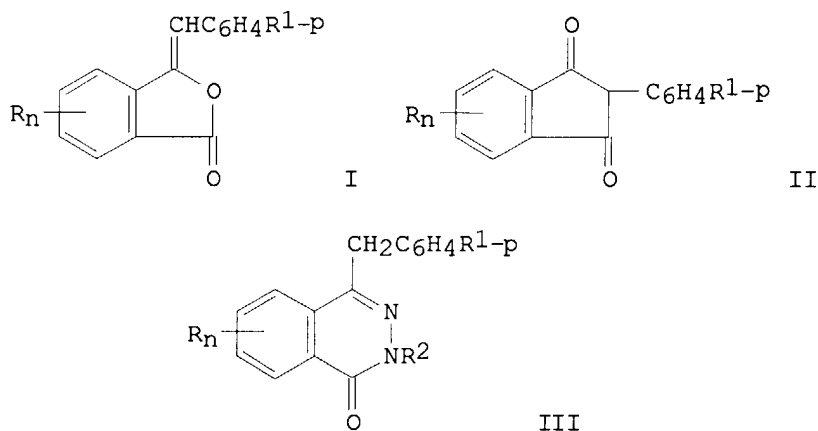
RN 68062-75-9 CAPLUS

CN 1(2H)-Phthalazinone, 7,8-diiodo-4-[(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



10/021506

L10 ANSWER 46 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1978:597244 CAPLUS
DOCUMENT NUMBER: 89:197244
TITLE: Benzalphthalimidines and related compounds: Part XI.
5,6-Diiodo- and 4,6,7-triiodo-benzylidenephthalides
and their reactions
AUTHOR(S): Islam, A. M.; El-Sharief, A. M. S.; Bedair, A. H.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt
SOURCE: Indian Journal of Chemistry, Section B: Organic
Chemistry Including Medicinal Chemistry (1978),
16B(7), 593-6
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 89:197244
GI



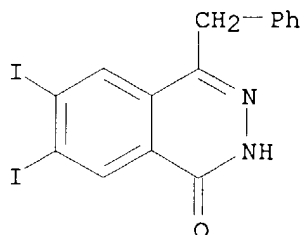
AB Iodo-3-benzylidenephthalides I (R_n = 5,6-di- or 4,6,7-triiodo, R_1 = H, NO_2) were prepared by treatment of the iodophthalic anhydrides with $PhCH_2CO_2H$. I underwent rearrangement in the presence of MeONa to give indandiones II and reacted with N_2H_4 or $PhNHNH_2$ to give phthalazones III (R_2 = H or Ph). The reactions of iodophthalimides are also described.

IT **68218-13-3P 68218-14-4P 68218-15-5P**
68218-17-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

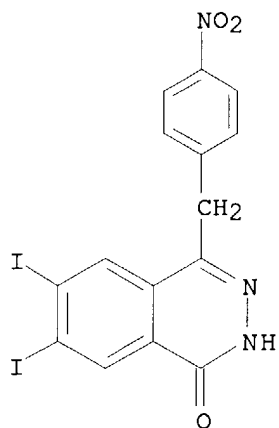
RN 68218-13-3 CAPLUS

CN 1(2H)-Phthalazinone, 6,7-diiodo-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

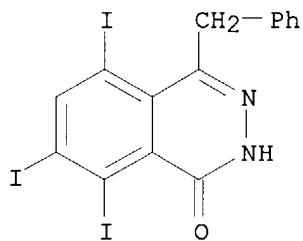


10/021506

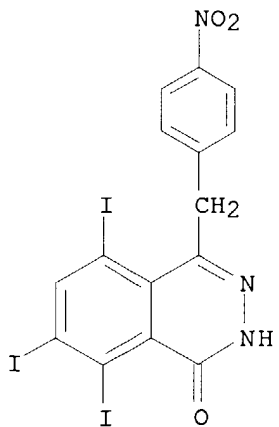
RN 68218-14-4 CAPLUS
CN 1(2H)-Phthalazinone, 6,7-diiodo-4-[(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



RN 68218-15-5 CAPLUS
CN 1(2H)-Phthalazinone, 5,7,8-triiodo-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 68218-17-7 CAPLUS
CN 1(2H)-Phthalazinone, 5,7,8-triiodo-4-[(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



10/021506

L10 ANSWER 47 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1978:546571 CAPLUS

DOCUMENT NUMBER: 89:146571

TITLE: Benzalphthalimidines and related compounds: part X.
Condensation of 3,6-diiodophthalic anhydride with
phenylacetic acids

AUTHOR(S): Islam, A. M.; El-Sharief, A. M. S.; Bedear, A. H.

CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt

SOURCE: Indian Journal of Chemistry, Section B: Organic
Chemistry Including Medicinal Chemistry (1978),
16B(6), 491-5

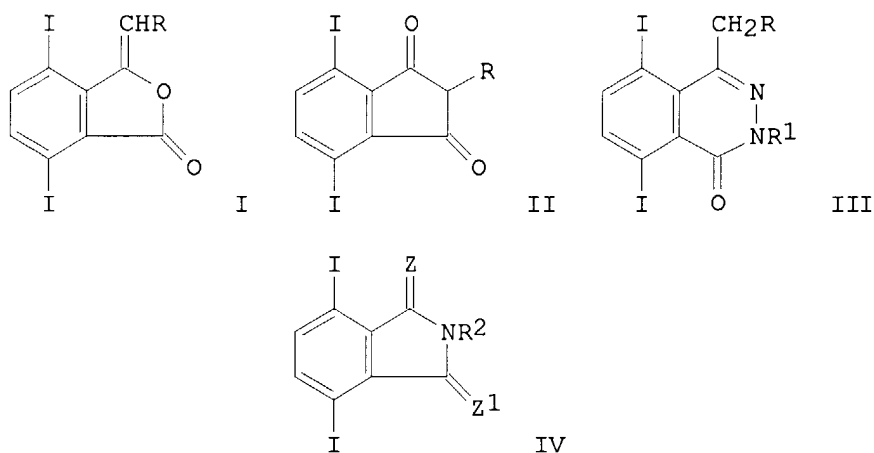
CODEN: IJSBDB; ISSN: 0376-4699

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 89:146571

GI



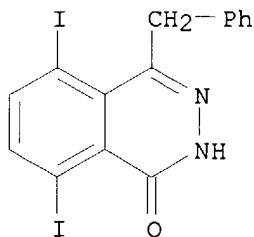
AB Reaction of 3,6-diiodophthalic anhydride with $\text{RCH}_2\text{CO}_2\text{H}$ ($\text{R} = \text{Ph}$, $\text{p-O}_2\text{N}_6\text{H}_4$) gave I. From I were prepared diones II, phthalazones III ($\text{R}_1 = \text{H}$, Ph), and phthalimides IV ($\text{Z} = \text{S}$, RCH , $\text{Z}_1 = \text{O}$, $\text{Z} = \text{Z}_1 = \text{O}$, S ; $\text{R}_2 = \text{H}$, Ph , substituted- Ph , naphthyl).

IT 67687-03-0P 67687-05-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 67687-03-0 CAPLUS

CN 1(2H)-Phthalazinone, 5,8-diiodo-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

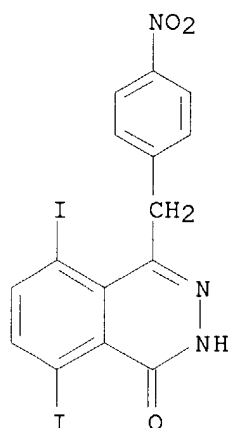


RN 67687-05-2 CAPLUS

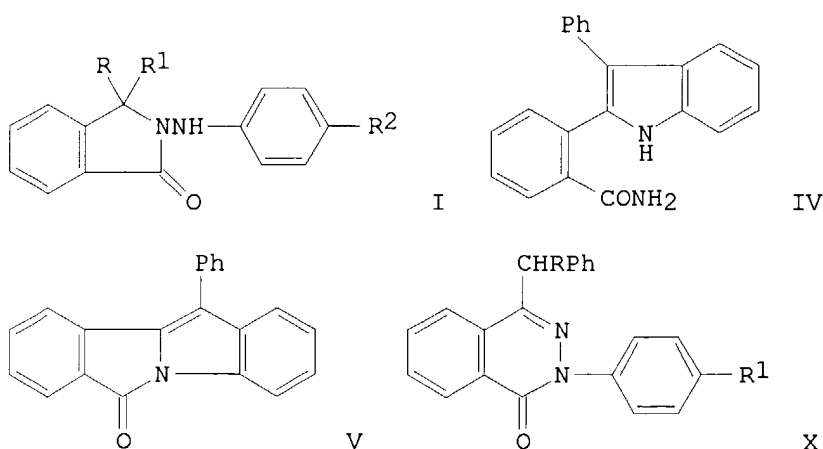
CN 1(2H)-Phthalazinone, 5,8-diiodo-4-[(4-nitrophenyl)methyl]- (9CI) (CA

10/021506

INDEX NAME)



L10 ANSWER 48 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1978:406178 CAPLUS
DOCUMENT NUMBER: 89:6178
TITLE: Nitrogen heterocycles. Part 7. Some reactions of 2-anilinophthalimidine derivatives
AUTHOR(S): Scartoni, Valerio; Morelli, Ivano; Marsili, Antonio; Catalano, Serena
CORPORATE SOURCE: Ist. Chim. Farm., Univ. Pisa, Pisa, Italy
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1977), (20), 2332-6
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 89:6178
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AB The hydroxyphthalimide I (R = OH, R1 = CH2Ph, R2 = H) (II) reacted with acids under various conditions to give the following compds. With concentrated

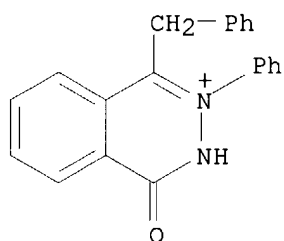
HCl in MeOH at 0°, II gave I (R = OMe, R1 = CH2Ph, R2 = H), with concentrated HCl in MeOH at reflux (0.25 h), I (RR1 = CHPh, R2 = H) (III) was obtained, and II with a 3:1 solution of AcOH-concentrated HBr at 100° for 1 h gave a mixture of the phenylindole IV and the phenylisoindoloindolone V. V was also obtained by pyrolytic rearrangement of III. Pyrolysis of II gave 4-benzyl-3-phenylphthalizinium-3-olate, which formed a cycloadduct with di-Me but-2-ynedioate. Bromination of III at 0° in EtOH-free CHCl3 gave I (RR1 = CHPh, R2 = Br; R = R2 = Br, R1 = CHBrPh) (VI and VII, resp.) depending on the amount of Br used. Treatment of VII with MeOH or EtOH or of III with Br in presence of the alcs. gave I [R1 = CH(OMe)Ph, CH(OEt)Ph] (VIII and IX, resp.). The phthalazinones X (R = H, R1 = H, Br; R = OMe, OEt, R1 = Br) were prepared by treatment of II or III, VI, VIII, and IX, resp. with base.

IT **66614-87-7P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 66614-87-7 CAPLUS

CN Phthalazinium, 3,4-dihydro-4-oxo-2-phenyl-1-(phenylmethyl)-, bromide (9CI)
(CA INDEX NAME)



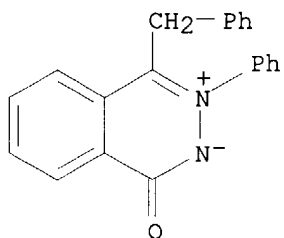
● Br⁻

IT **66614-83-3P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation, photolysis, and cycloaddn. reaction of, with di-Me
butynedioate)

RN 66614-83-3 CAPLUS

CN Phthalazinium, 3,4-dihydro-4-oxo-2-phenyl-1-(phenylmethyl)-, inner salt
(9CI) (CA INDEX NAME)

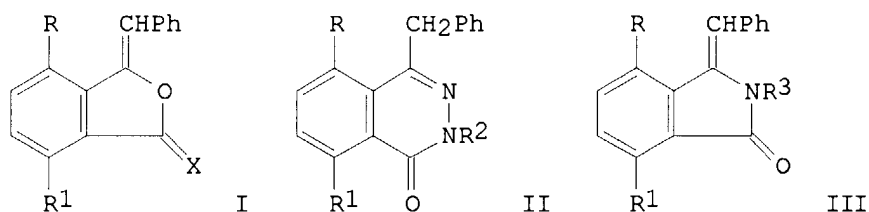


10/021506

TITLE: Benzalphthalimidines and related compounds: part IX.
Reactions of 3-chlorophthalic anhydride with
phenylacetic acid and of their products 4-chloro- and
7-chloro-3-benzalphthalides with hydrazine,
phenylhydrazine, phosphorus pentasulfide, aniline,
p-chloroaniline, o- and p-toluidines

AUTHOR(S): Islam, A. M.; El-Sherief, A. M. S.; Ead, F. A.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt
SOURCE: Indian Journal of Chemistry, Section B: Organic
Chemistry Including Medicinal Chemistry (1978),
16B(1), 50-2
CODEN: IJSBDB; ISSN: 0376-4699

DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 88:170075
GI

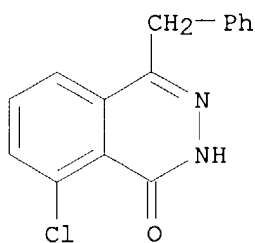


AB Reaction of 3-chlorophthalic anhydride with $\text{PhCH}_2\text{CO}_2\text{H}$ gave isomeric benzalphthalides I ($\text{R} = \text{H}$, $\text{R}_1 = \text{Cl}$; $\text{R} = \text{Cl}$, $\text{R}_1 = \text{H}$; $\text{X} = \text{O}$). Reaction of I with N_2H_4 and PhNHNH_2 gave II ($\text{R}_2 = \text{H}$, Ph). Reaction of I ($\text{X} = \text{O}$) with P_2S_5 gave I ($\text{X} = \text{S}$); III ($\text{R}_3 = \text{Ph}$, o- and p-tolyl, p- ClC_6H_4) were obtained by reaction of I ($\text{X} = \text{O}$) with aromatic amines.

IT **66294-16-4P 66294-18-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 66294-16-4 CAPLUS

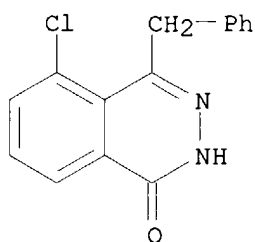
CN 1(2H)-Phthalazinone, 8-chloro-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



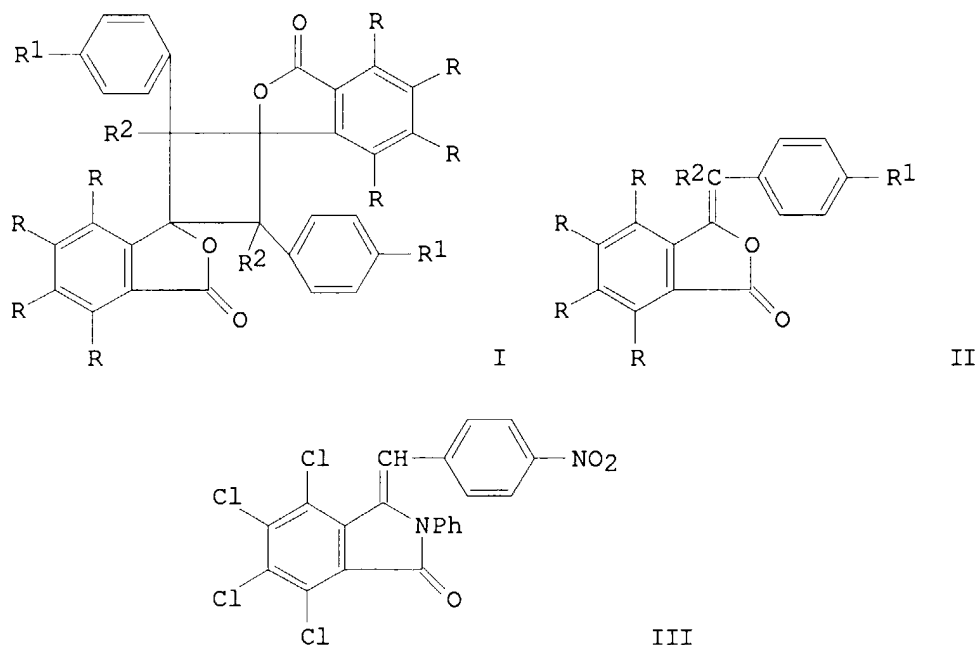
RN 66294-18-6 CAPLUS

CN 1(2H)-Phthalazinone, 5-chloro-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

10/021506



L10 ANSWER 50 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1977:551912 CAPLUS
DOCUMENT NUMBER: 87:151912
TITLE: Arylidene-phthalimidines and related compounds: Part VIII. Synthesis of tetrachloro- and tetrabromoarylidene-phthalimidines
AUTHOR(S): Hannout, I. B.; Islam, A. M.; El-Maghraby, A. A.; Ahmed, S. A.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1977), 15B(2), 112-15
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 87:151912
GI



AB Reaction of tetrachloro- and tetrabromophthalic anhydrides with phenylacetic acids or benzyl cyanides gave dimers I ($R = \text{Cl}, \text{Br}$; $R_1 = \text{H}, \text{NO}_2$; $R_2 = \text{H}, \text{CN}$). When the reaction is carried out in Ac_2O , the corresponding tetrahaloarylidene-phthalides II are obtained together with I. II reacted with NaOMe , hydrazines and aromatic and aliphatic primary amines

10/021506

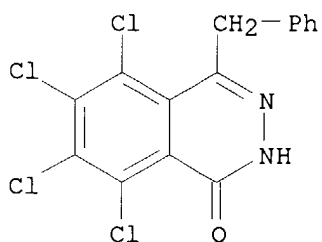
to give the corresponding products, e.g. the phthalimidine III from PhNH₂.

IT **64289-25-4P 64289-26-5P 64289-27-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

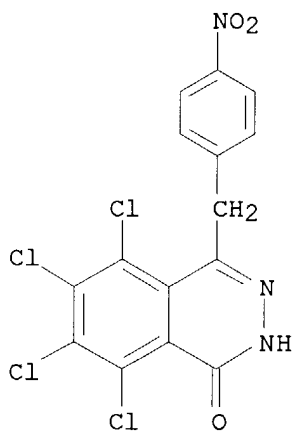
RN 64289-25-4 CAPLUS

CN 1(2H)-Phthalazinone, 5,6,7,8-tetrachloro-4-(phenylmethyl)- (9CI) (CA
INDEX NAME)



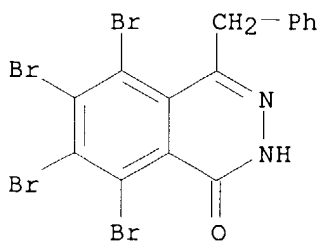
RN 64289-26-5 CAPLUS

CN 1(2H)-Phthalazinone, 5,6,7,8-tetrachloro-4-[(4-nitrophenyl)methyl]- (9CI)
(CA INDEX NAME)



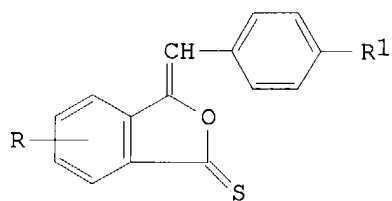
RN 64289-27-6 CAPLUS

CN 1(2H)-Phthalazinone, 5,6,7,8-tetrabromo-4-(phenylmethyl)- (9CI) (CA INDEX
NAME)

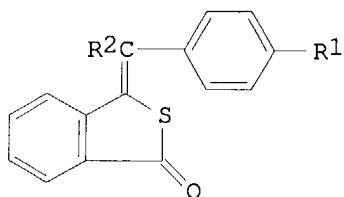


10/021506

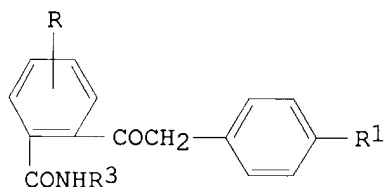
TITLE: Thioarylidene-phthalides and related compounds: Part
II. Reactions with amino compounds
AUTHOR(S): Islam, A. M.; Hannout, I. B.; Taha, N. M.;
El-Magharaby, A. A.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt
SOURCE: Indian Journal of Chemistry, Section B: Organic
Chemistry Including Medicinal Chemistry (1977), 15(1),
58-60
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



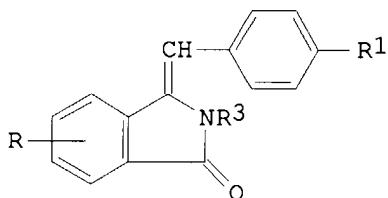
I



II



III



IV

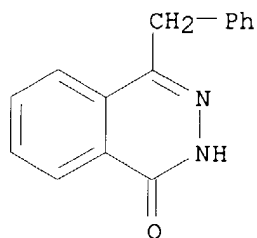
AB Reaction of thiophthalides I (R = H, 5-Br, 6-Br, 5-NO₂, 6-NO₂; R₁ = H, NO₂, MeO) and II (R₁ = H, NO₂; R₂ = H, Br) with R₃NH₂ (R₃ = Ph, p-tolyl, benzyl, Bu) in EtOH gave the corresponding III, while in HOAc they gave IV.

IT 32003-14-8P 51256-52-1P 51256-53-2P
57319-59-2P 57319-60-5P 62970-28-9P
63564-98-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 32003-14-8 CAPLUS

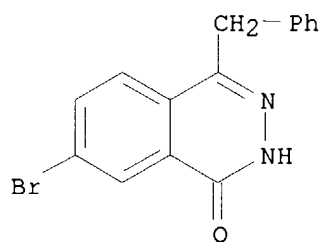
CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 51256-52-1 CAPLUS

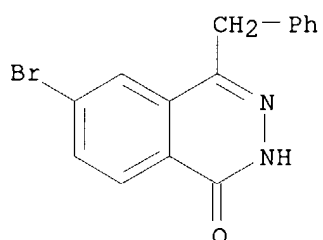
CN 1(2H)-Phthalazinone, 7-bromo-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

10/021506



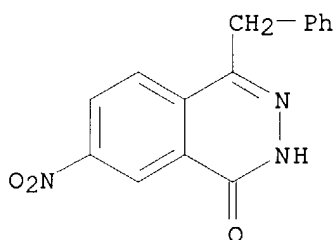
RN 51256-53-2 CAPLUS

CN 1(2H)-Phthalazinone, 6-bromo-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



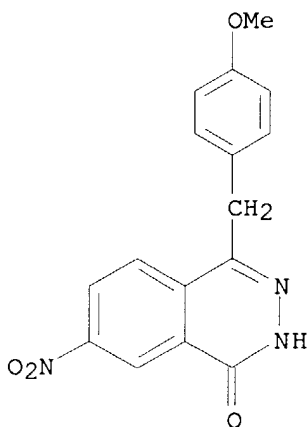
RN 57319-59-2 CAPLUS

CN 1(2H)-Phthalazinone, 7-nitro-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 57319-60-5 CAPLUS

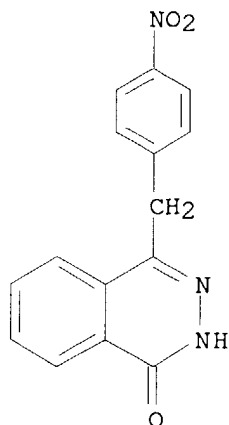
CN 1(2H)-Phthalazinone, 4-[(4-methoxyphenyl)methyl]-7-nitro- (9CI) (CA INDEX NAME)



10/021506

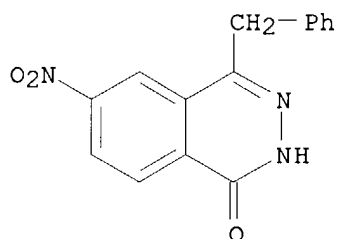
RN 62970-28-9 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



RN 63564-98-7 CAPLUS

CN 1(2H)-Phthalazinone, 6-nitro-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 52 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1977:422948 CAPLUS

DOCUMENT NUMBER: 87:22948

TITLE: Thioarylidene-phthalides and related compounds: Part III. Preparation and reactions of 2-substituted 3-arylidene-phthalimidine-1-thiones

AUTHOR(S): Hannout, I. B.; Islam, A. M.; Souka, L. M.; El-Maghraby, A. A.; Taha, N. M.

CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt

SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1976), 14B(11), 868-70

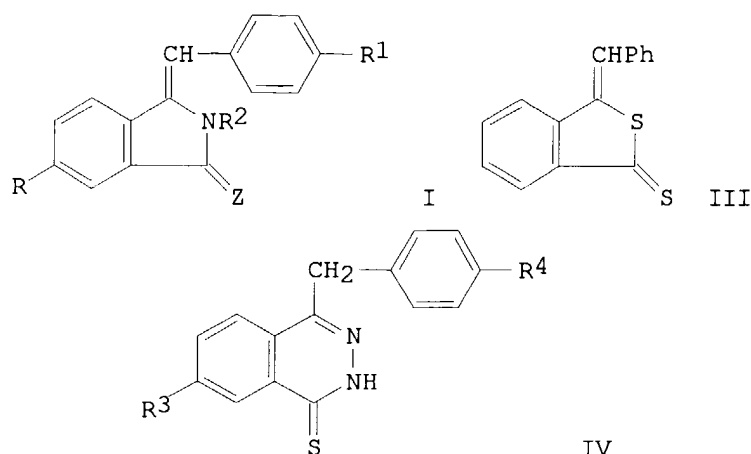
CODEN: IJSBDB; ISSN: 0376-4699

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 87:22948

GI



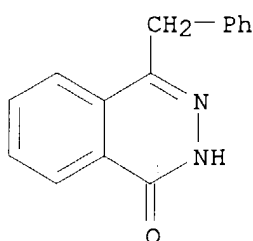
AB Phthalimidinethiones [I; R = H, NO₂; R₁ = H, OMe, NO₂; R₂ = Ph, PhCH₂, α-C₁₀H₇, p-MeC₆H₄, etc.; Z = S; (II)] (10 compds.) were prepared by treating the corresponding phthalimidines (I; Z = O) with P₂S₅. Four II (R = R₁ = H, R₂ as before) were alternatively prepared by heating thiophthalidethione III with R₂NH₂ in AcOH in the presence of AcONa. II on bromination with Br gave the corresponding α-bromobenzylidene derivs. and on reaction with H₂NNH₂·H₂O gave the hydrazones (I; R, R₁, R₂ as before Z = H₂NN). Four phthalazinethiones (IV; R₃ = H, NO₂; R₄ = H, OMe, NO₂) were also prepared from the corresponding phthalazones by reaction with P₂S₅ in pyridine.

IT 32003-14-8 57319-59-2 57319-60-5
62970-28-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with phosphorus pentasulfide)

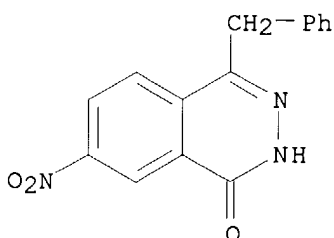
RN 32003-14-8 CAPLUS

CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 57319-59-2 CAPLUS

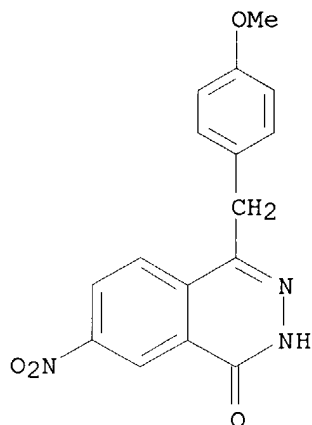
CN 1(2H)-Phthalazinone, 7-nitro-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



10/021506

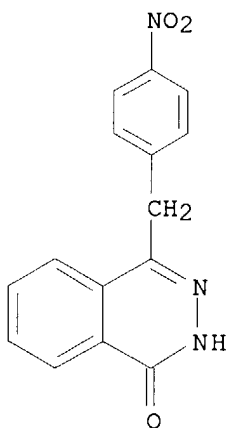
RN 57319-60-5 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(4-methoxyphenyl)methyl]-7-nitro- (9CI) (CA INDEX NAME)



RN 62970-28-9 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 53 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1977:120345 CAPLUS

DOCUMENT NUMBER: 86:120345

TITLE: Reactions of hydrazine hydrate and phenylhydrazine with thiophthalic anhydride, substituted phthalides and 2-dithiobenzoyl

AUTHOR(S): Omran, S. M. A. E.; Salem, M. R. M.; Harb, Nagwa S.

CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Cairo, Egypt

SOURCE: Egyptian Journal of Chemistry (1976), Volume Date 1974, 17(6), 731-9

CODEN: EGJCA3; ISSN: 0449-2285

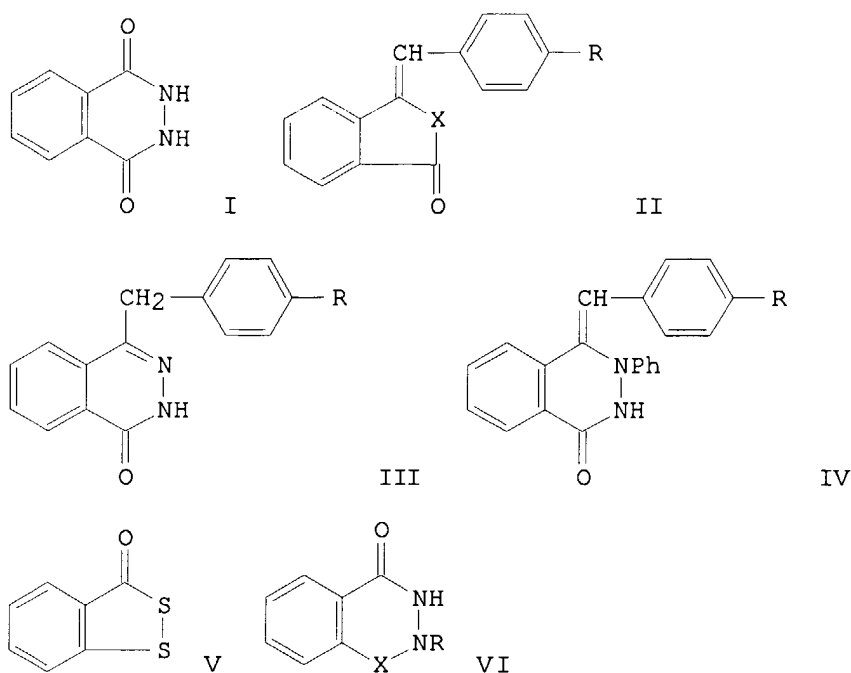
DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 86:120345

GI

10/021506



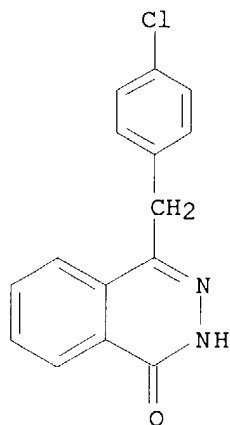
AB H₂NNH₂.H₂O and PhNHNH₂ react with thiophthalic anhydride to give phthalaz-1,4-dione (I) and N-anilinophthalimide, resp. H₂NNH₂.H₂O reacts with phthalides II (R = H, Cl, OMe; X = O, S) to give III (same R); PhNHNH₂ reacts with II (R = H, Cl; X = O, S) to give IV (same R). H₂NNH₂.H₂O reacts with V to give a mixture of VI (X = O, S; R = H); PhNHNH₂ reacts with V to give VI (X = O; R = Ph).

IT **53242-88-9P 57835-95-7P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 53242-88-9 CAPLUS

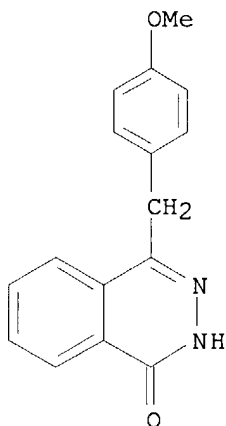
CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)



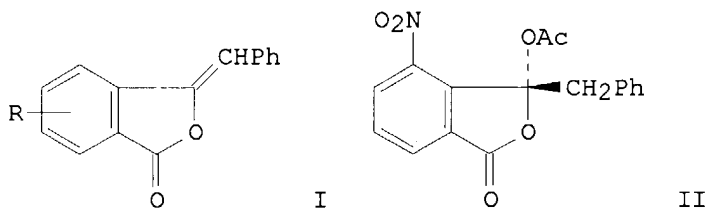
RN 57835-95-7 CAPLUS

10/021506

CN 1(2H)-Phthalazinone, 4-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



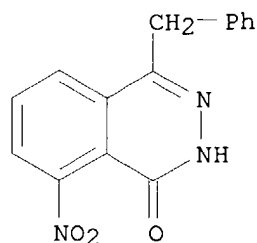
L10 ANSWER 54 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1976:508471 CAPLUS
DOCUMENT NUMBER: 85:108471
TITLE: Structural isomers in the Perkin reaction. Part I.
Interaction of phenylacetic acid and 3-nitrophthalic
anhydride
AUTHOR(S): Islam, A. M.; Hannout, I. B.; Souka, L. M.; Islam, I.
E.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt
SOURCE: Egyptian Journal of Chemistry (1974), 17(3), 275-83
CODEN: EGJCA3; ISSN: 0449-2285
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 85:108471
GI



AB The phthalides I (R = 4-NO₂, 7-NO₂) were formed together with intermediate II by treating 3-nitrophthalic anhydride with PhCH₂CO₂H under various conditions. Reaction of both I with methoxide gave 4-nitro-2-phenyl-1,3-indandione. I also underwent photoaddn. with phenanthrenequinone.

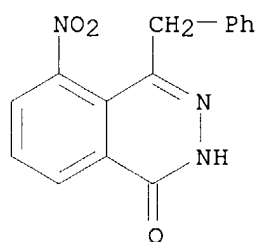
IT **60296-84-6P 60296-85-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 60296-84-6 CAPLUS
CN 1(2H)-Phthalazinone, 8-nitro-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

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RN 60296-85-7 CAPLUS

CN 1(2H)-Phthalazinone, 5-nitro-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 55 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1976:37303 CAPLUS

DOCUMENT NUMBER: 84:37303

TITLE: Photothermographic copying material

INVENTOR(S): Noguchi, Yasuhiro; Sekikawa, Nobuyoshi; Sashihara, Kenji; Masuda, Takao

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE: Ger. Offen., 34 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2449252	A1	19750417	DE 1974-2449252	19741016
JP 50067132	A2	19750605	JP 1973-116022	19731016
JP 54026166	B4	19790903		
JP 50067641	A2	19750606	JP 1973-116471	19731017
CA 1043616	A1	19781205	CA 1974-211543	19741016
PRIORITY APPLN. INFO.:			JP 1973-116022	19731016
			JP 1973-116471	19731017

GI For diagram(s), see printed CA Issue.

AB Photothermog. copying comps. based on a Ag salt, a reducing agent, and a catalytic amount of a light-sensitive Ag halide, which give images with a pure black tone, contain as the toning agent a 1(2H)-phthalazinone derivative (I; R = H, Me; R1, R3 = H, MeO; R2 = H, Cl; R4 = H, 1-naphthyl, p-methoxybenzyl) or a 2,3-dihydro-1,4-phthalazinedione derivative (II; R = H, 1-naphthyl; R1 = H, OH, Cl). Thus, a mixture containing a poly(vinyl butyral) dispersion containing Ag laurate and AgBr (prepared by addition of a 15% 2-PrOH dispersion of poly(vinyl butyral) to a dispersion containing AgBr and Ag laurate) 200 g, a 0.025% MeOH solution of 2',7'-dichlorofluorescein (sensitizer) 30, a 1% MeOH solution of mercury acetate 10, a 3% DMF solution of

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4-(1-naphthyl)phthalazinone 10, and a 10% Me₂CO solution of p-ethoxyphenol 60 ml was coated on a support at 0.6 g Ag/m². The material was then exposed using W lamp and heated 10 sec at 140° to give an image with a black tone vs. a yellowish brown tone for a material containing phthalazinone as the toner.

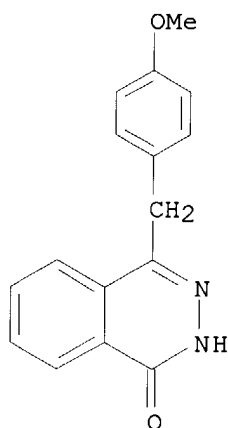
IT 57835-95-7

RL: USES (Uses)

(toner, for photothermog. copying compns. containing silver carboxylate, silver halide, and reducing agent)

RN 57835-95-7 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 56 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1975:606050 CAPLUS

DOCUMENT NUMBER: 83:206050

TITLE: Benzalphthalimidines and related compounds. V. Synthesis of 6-nitro-3-benzal-2-substituted-phthalimidines

AUTHOR(S): Islam, A. M.; Hannout, I. B.; El-Sharief, A. M.

CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt

SOURCE: Journal fuer Praktische Chemie (Leipzig) (1975), 317(4), 567-74

CODEN: JPCEAO; ISSN: 0021-8383

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 83:206050

GI For diagram(s), see printed CA Issue.

AB The phthalides I [R = Ph, p-MeOC₆H₄, 3,4-methylenedioxyphenyl, 3,4-MeO(HO)C₆H₃] were treated with R₁NH₂ to give II (R₁ = Ph, Bu, PhCH₂, p-MeC₆H₄). I and H₂NNH₂ gave III. I was treated with NH₄OH and H₂S to give 2,4-H₂NCO(H₂N)C₆H₃COCH₂R.

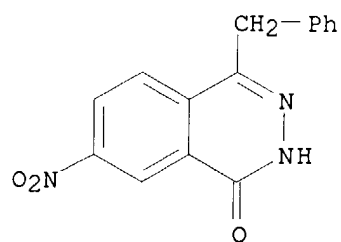
IT 57319-59-2P 57319-60-5P 57319-61-6P
57319-62-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

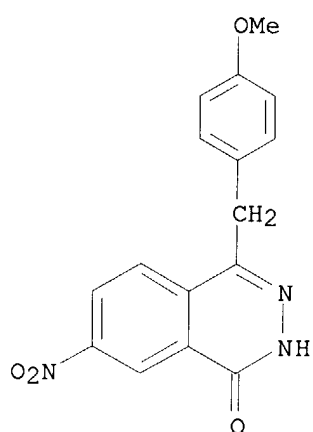
RN 57319-59-2 CAPLUS

CN 1(2H)-Phthalazinone, 7-nitro-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

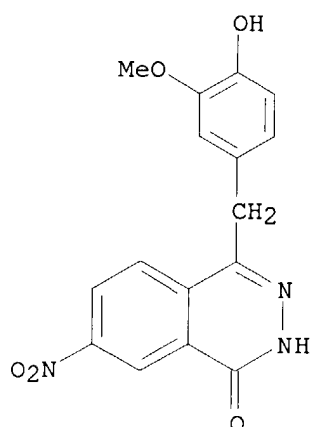
10/021506



RN 57319-60-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-methoxyphenyl)methyl]-7-nitro- (9CI) (CA INDEX NAME)

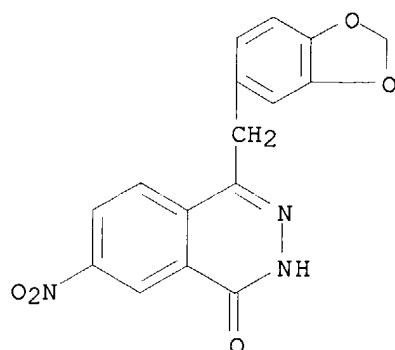


RN 57319-61-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-hydroxy-3-methoxyphenyl)methyl]-7-nitro- (9CI) (CA INDEX NAME)

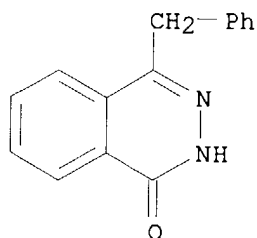


RN 57319-62-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-(1,3-benzodioxol-5-ylmethyl)-7-nitro- (9CI) (CA INDEX NAME)

10/021506



L10 ANSWER 57 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1975:563787 CAPLUS
DOCUMENT NUMBER: 83:163787
TITLE: Action of Grignard reagents on N-alkylidene- and N-arylmethyleneaminophthalimides
AUTHOR(S): Awad, William I.; Ismail, Mohamed F.; Kandile, Nadia G.
CORPORATE SOURCE: Univ. Coll. Women, Ain Shams Univ., Cairo, Egypt
SOURCE: Australian Journal of Chemistry (1975), 28(7), 1621-5
CODEN: AJCHAS; ISSN: 0004-9425
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 83:163787
GI For diagram(s), see printed CA Issue.
AB Alkylideneaminophthalimides I react with RMgX (X = Cl, Br, iodo, R = Ph, PhCH₂, Et, p-tolyl, p-anisyl) to give different products depending on RMgX. The reaction may proceed by addition of 2 moles RMgX to give II (R = Ph, p-anisyl) or o-PhCH₂COC₆H₄ CONHNHCHRCH₂Ph (R = Ph, p-anisyl, styryl) or it may proceed through addition and cleavage to give phthalazinones III. III were obtained in higher yields by action of RMgX on N-aminophthalimide. III obtained were (R = Ph, Et, PhCH₂, p-tolyl, p-anisyl).
IT **32003-14-8P**
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
RN 32003-14-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 58 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1975:4085 CAPLUS
DOCUMENT NUMBER: 82:4085
TITLE: Perkin synthesis of bromobenzalphthalides. Their reactions with amines and hydrazine

10/021506

AUTHOR(S): Islam, A. M.; Khalil, A. M.; El-Maghraby, A. A.
CORPORATE SOURCE: Dep. Chem., Al-Mansoura Fac. Sci., Al-Mansoura, Egypt
SOURCE: Bulletin of the Chemical Society of Japan (1974),
47(5), 1274-6

CODEN: BCSJA8; ISSN: 0009-2673

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

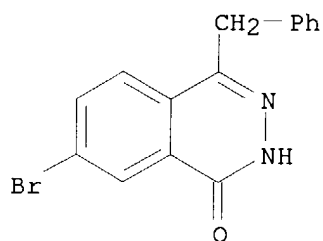
AB The isomeric 5-bromo- and 6-bromo-3-benzalpthalides I (R = 5-Br, 6-Br, R1 = Ph, p-O₂NC₆H₄) were prepared by condensation of 4-bromophthalic anhydride with phenylacetic acids. The reaction of I with primary aromatic amines gave bromobenzalpthalimidines II (R = 5-Br, 6-Br; R1 = Ph, p-O₂NC₆H₄; R2 = Ph, p-O₂NC₆H₄, o-, m-, p-MeC₆H₄). Bromophthalazinone derivs. III were obtained in good yields by the reaction of bromobenzalpthalides with hydrazine hydrate.

IT **51256-52-1P 51256-54-3P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

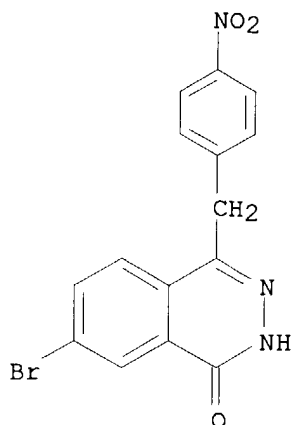
RN 51256-52-1 CAPLUS

CN 1(2H)-Phthalazinone, 7-bromo-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 51256-54-3 CAPLUS

CN 1(2H)-Phthalazinone, 7-bromo-4-[(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 59 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1974:551878 CAPLUS

DOCUMENT NUMBER: 81:151878

TITLE: Halogenated phthalic anhydride derivatives

AUTHOR(S): Awad, W. I.; Hashem, A. I.

10/021506

CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Cairo, Egypt
SOURCE: Egyptian Journal of Chemistry (1973), 16(4), 297-306
CODEN: EGJCA3; ISSN: 0449-2285

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

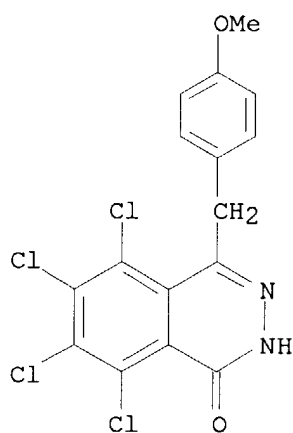
AB The butenolides I (R = Cl, Br, X = CH) and the oxazolones I (R = Cl, Br, X = N) were obtained by treating the tetrahalophthalic anhydride with PhCOCH₂CH₂CO₂H or hippuric acid, resp. On reaction with hydrazine I yielded N-aminotetrahalophthalimides and with PhCH₂NH₂ o-(PhCH₂NHCO)₂C₆R₄ were obtained. Reaction of tetrachlorophthalic anhydride with p-R₁C₆H₄CHO (R₁ = H, OMe, Cl) gave II, which were rearranged by NaOMe to III.

IT **54224-06-5P 54224-07-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

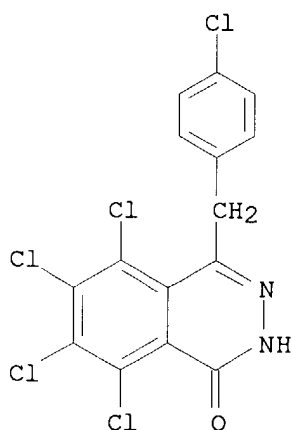
RN 54224-06-5 CAPLUS

CN 1(2H)-Phthalazinone, 5,6,7,8-tetrachloro-4-[(4-methoxyphenyl)methyl]-
(9CI) (CA INDEX NAME)



RN 54224-07-6 CAPLUS

CN 1(2H)-Phthalazinone, 5,6,7,8-tetrachloro-4-[(4-chlorophenyl)methyl]- (9CI)
(CA INDEX NAME)



10/021506

L10 ANSWER 60 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1974:463654 CAPLUS
DOCUMENT NUMBER: 81:63654
TITLE: Basically substituted benzyl phthalazone derivatives,
and acid salts
INVENTOR(S): Vogelsang, Dietrich; Scheffer, Gerhard; Brock,
Norbert; Lenke, Dieter
PATENT ASSIGNEE(S): Asta-Werke A.-G. Chemische Fabrik
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 3813384	A	19740528	US 1972-218532	19720117

PRIORITY APPLN. INFO.: US 1972-218532 19720117

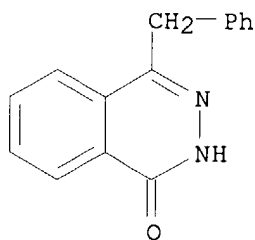
GI For diagram(s), see printed CA Issue.

AB About 40 phthalazones I (R = 1-methyl-3-pyrrolidinylmethyl, 2-(1-methyl-2-piperidyl)ethyl, 1-methylperhydro-4-azepinyl, etc.; R1 = H, p-Cl, o-Cl, p-MeO, etc.) were prepared Thus, PhCH2COC6H4CO2H-o was treated with H2NNH2.H2SO4 to give 4-benzyl-1(2H)-phthalazinone, which was treated with 3-(tosyloxymethyl)-1-methylpyrrolidine to give I (R = 1-methyl-2-pyrrolidinylmethyl, R1 = H). The histaminolytical ED50 of I (R = 1-methyl-2-pyrrolidinylmethyl, R1 = p-Cl) in the histamine aerosol test on guinea pigs was 0.0062 mg/kg.

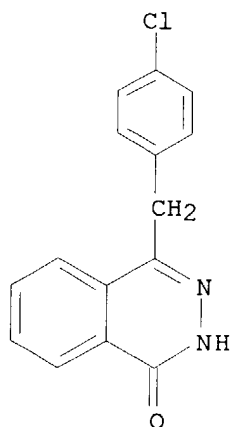
IT **32003-14-8P 53242-88-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 32003-14-8 CAPLUS

CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 53242-88-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 61 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1974:82347 CAPLUS

DOCUMENT NUMBER: 80:82347

TITLE: Structural isomers in the Perkin reaction. II.
Products of interaction of 4-bromophthalic anhydride
with phenylacetic acids and some of their reactions

AUTHOR(S): Islam, A. M.; Hannout, I. B.; Souka, L. M.; Naser, A.
M.; El-Maghraby, A. A.; Islam, I. E.

CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt

SOURCE: Journal fuer Praktische Chemie (Leipzig) (1973),
315(6), 1025-36

CODEN: JPCEAO; ISSN: 0021-8383

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB Heating 4-bromo-phthalic anhydride (I) with 4-RC₆H₄CH₂CO₂H (II) in the
presence of freshly fused AcONa 1 hr at 180-200° gave 30% phthalide
III (R = H or NO₂, R₁ = 6-Br) (IV) and 15% III (R = H or NO₂, R₁ = 5-Br)
(V). Refluxing I and II in Ac₂O containing AcONa gave the dione VI (R = H or
NO₂) in addition to IV and V. Refluxing IV and V in MeOH and MeONa gave VI.
Reaction of III with N₂H₄.H₂O in EtOH or with R₂NH₂ in AcOH at reflux gave
the corresponding benzylphthalazones VII or imides VIII, resp.

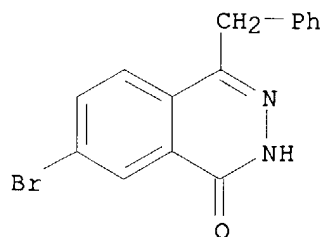
IT 51256-52-1P 51256-53-2P 51256-54-3P

51256-55-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 51256-52-1 CAPLUS

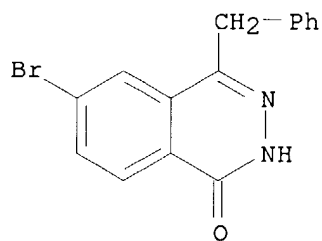
CN 1(2H)-Phthalazinone, 7-bromo-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



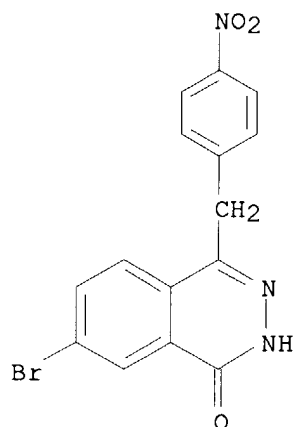
RN 51256-53-2 CAPLUS

CN 1(2H)-Phthalazinone, 6-bromo-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

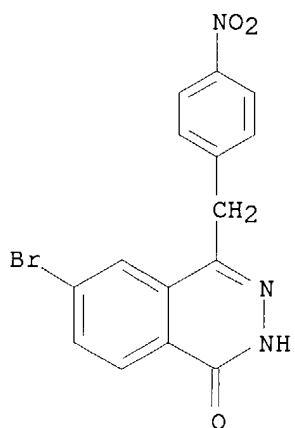
10/021506



RN 51256-54-3 CAPLUS
CN 1(2H)-Phthalazinone, 7-bromo-4-[(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



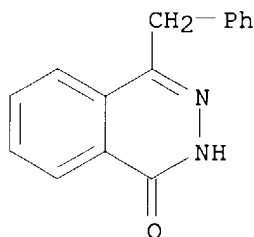
RN 51256-55-4 CAPLUS
CN 1(2H)-Phthalazinone, 6-bromo-4-[(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 62 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1972:552090 CAPLUS
DOCUMENT NUMBER: 77:152090

10/021506

TITLE: Reactions with o-phenylacetylbenzoic acid and related compounds
AUTHOR(S): Sammour, A.; Selim, M. I. B.; Osman, M. W.
CORPORATE SOURCE: Fac. Sci. Eng., Ain Shams Univ., Cairo, Egypt
SOURCE: United Arab Republic Journal of Chemistry (1971), 14(3), 305-10
CODEN: UAJCAZ; ISSN: 0372-3704
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB O-Phenylacetylbenzoic acid (I) condensed with BzH, p-MeOC₆H₄CHO, piperonal, or furfural to give o-(RCH:CPhCO)C₆H₄CO₂H (II, R = Ph, p-MeOC₆H₄ 3,4-methylenedioxyphenyl, furyl). II (R = Ph) was refluxed with EtOH-HCl to give the phthalide (III). Refluxing I or II (R = Ph) with N₂H₄, PhNHNH₂, or benzoylhydrazine (IV) gave the 4-benzyl-1(2H)-phthalazinone derivs. (V), with elimination of the benzylidene group of II. Refluxing I, II (R = Ph), or III with NH₂OH.HCl in boiling pyridine gave 4-benzyl-2,3-benzoxazin-1-one. Treatment of methanolic solution of V (R = H) with piperidine and CH₂O yielded the N-Mannich base V (R = piperidino ethyl). Re-fluxing V (R = H) with CH₂CHCN in pyridine gave V (R = (CH₂)₂CN), which on alkaline hydrolysis gave V (R = (CH₂)₂CO₂H). PhMgBr reacted with V (R = H) to give VI.
IT **32003-14-8P**
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
RN 32003-14-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 63 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1971:125247 CAPLUS
DOCUMENT NUMBER: 74:125247
TITLE: 1-Phenylnaphthalenes. VIII. Reactions of hydrazine and its derivatives with some five-membered ring anhydrides
AUTHOR(S): Baddar, Fawzi G.; El-Newaihy, M. F.; Salem, M. R.
CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Cairo, Egypt
SOURCE: Journal of the Chemical Society [Section] C: Organic (1971), (4), 716-21
CODEN: JSOAX; ISSN: 0022-4952
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB 1-Phenylnaphthalene-2,3-dicarboxylic anhydrides (I; R₁, R₃ = H, Cl, OMe; R₂ = H, OMe; X = O) reacted with Me₂NNH₂ and arylhydrazines [PhNHNH₂, 2,4-(NO₂)₂C₆H₃NHNH₂, 4-MeC₆H₄NHNH₂, 4-MeOC₆H₄NH-NH₂] to give the N-dimethylamino imides (I, X = NNMe₂) and N-arylamino imides (I, X = NNHPh), resp., and with MeNHNH₂ and MeNHNHMe to give the corresponding cyclic hydrazides (II and III, resp.). Similarly, 1-phenyl-1,2,3,4-

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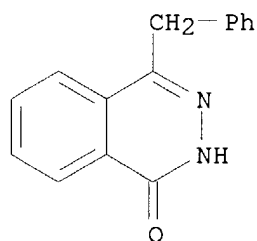
tetrahydronaphthalene-2,3-dicarboxylic anhydride, naphthalene- and 3,4-dihydronaphthalene-1,2-dicarboxylic anhydrides, benzylidenephthalide, and benzylidene- and (p-chlorobenzylidene)-9-phenylnaphtho[2,3-c]furan-1(3H)-one gave the corresponding cyclic hydrazides and N-amino imides with N₂H₄ and arylhydrazines, resp.

IT **32003-14-8P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 32003-14-8 CAPLUS

CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 64 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1968:427342 CAPLUS

DOCUMENT NUMBER: 69:27342

TITLE: Reactions with aminoguanidine. II. Reaction of aminoguanidine with lactones and carboxylic acid anhydrides

AUTHOR(S): Ried, Walter; Valentin, Joachim

CORPORATE SOURCE: Univ. Frankfurt/M., Frankfurt/M., Fed. Rep. Ger.

SOURCE: Chemische Berichte (1968), 101(6), 2117-23

CODEN: CHBEAM; ISSN: 0009-2940

DOCUMENT TYPE: Journal

LANGUAGE: German

OTHER SOURCE(S): CASREACT 69:27342

GI For diagram(s), see printed CA Issue.

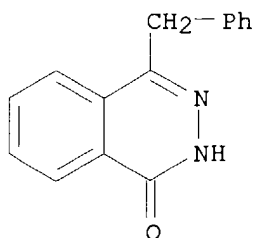
AB Aminoguanidine (I) reacted with γ -butyrolactone to give 5-amino-3-(3-hydroxypropyl)-s-triazole and with 4-phenyl-3-buten-4-olide to give 5-amino-3-(2-benzoyl-ethyl)-s-triazole. The reaction of I with II yielded 2-oxo-3-[2-benzoyl-1-(5-amino-s-triazol-3-yl)ethylidene]-5-phenyl-2,3-dihydrofuran and 1,5-dioxo-3,7-diphenyl-1,5-dihydropyrano[4,3-c]pyran. The reaction of I with naphthalic acid anhydride gave III, while with dehydroacetic acid I gave 3-methyl-4-acetoacetyl-x-guanyl-5-pyrazolone.

IT **32003-14-8P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 32003-14-8 CAPLUS

CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



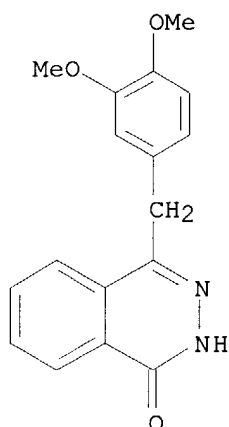
L10 ANSWER 65 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1966:499390 CAPLUS
 DOCUMENT NUMBER: 65:99390
 ORIGINAL REFERENCE NO.: 65:18600c-g
 TITLE: Phthalazine derivatives
 INVENTOR(S): Sigal, Max V., Jr.; Marchini, Paolo; Poet, Buford L.
 PATENT ASSIGNEE(S): S. E. Massengill Co.
 SOURCE: 4 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Unavailable
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3274185		19660920	US	19631008

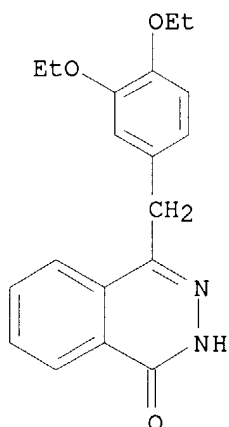
GI For diagram(s), see printed CA Issue.
 AB The title compds. are prepared by treating the substituted phenylacetic acid with the chosen substituted phthalic anhydride to give a substituted benzal phthalide which is then treated with NH_2NH_2 . A mixture of 31 g. phthalic anhydride, 48 g. 3,4-(MeO) $_2$ C $_6$ H $_3$ CH $_2$ CO $_2$ H and 1 g. freshly fused AcONa was heated rapidly to 230°, then slowly to 240°, over a period of 2 hrs. The reaction was maintained at 240° until the distillation of H $_2$ O ceased, then cooled to give 34 g. I (R = OMe), m. 132-3° (absolute EtOH). This compound (35 g.) was dissolved in 200 ml. EtOH and 12 ml. NH_2NH_2 and the mixture refluxed 2 hrs. and cooled to give 34.5 g. II (R = OMe, R $_1$ = OH, R $_2$ = H), m. 193-4° (absolute EtOH). A suspension of this compound (10 g.) in 40 ml. POCl $_3$ was refluxed 1 hr., excess POCl $_3$ evaporated in vacuo, the residue poured over crushed ice and neutralized with 10% Na $_2$ CO $_3$, and the mixture extracted with CHCl $_3$ to yield 9 g. II (R = OMe, R $_1$ = Cl, R $_2$ = H), m. 130-2° (absolute EtOH). A solution of 6 g. II (R = R $_2$ = OMe, R $_1$ = Cl) in 50ml. EtOH and 25ml. NH_2NH_2 was refluxed 10 hrs. to yield 2 g. II (R = R $_2$ = OMe, R $_1$ = NHNH_2), m. 178-9° (MeOH). Hydrogenation over 2 g. 5% Pd-C of a solution of 2 g. II (R = R $_2$ = OMe, R $_1$ = Cl) in 280 ml. EtOH containing 0.82 g. KOH, was followed by filtration, evaporation and addition of 2 ml. 30% NaOH. Extraction with C $_6$ H $_6$, concentration to 1.5 ml., and addition of 2 ml. Et $_2$ O yielded 0.9 g. II (R = R $_2$ = OMe, R $_1$ = H), m. 120-1° (2:1 AcOEt-petr. ether). Similarly, the following compds. were also prepared (type, R, R $_1$, R $_2$, R $_3$, and m.p. given): III -, -, -, OH, 333-5° (decomposition); III -, -, -, Me, 249-54° (decomposition); II, OEt, OH, H, -, 249-59° (decomposition); II, OEt, Cl, H, -, 102°; II, OMe, NHNH_2 , H, -, 150-2°; II, OEt, H, H, -, 125-7°. A solution of 2g. II (R = R $_2$ = OMe, R $_1$ = NHNH_2) and 0.45 g. pyruvic acid in 150 ml. EtOH was refluxed 12 hrs. and evaporated to dryness to give 0.7 g. II (R = R $_2$ = OMe, R $_1$ = $\text{NHN:CMeco}_2\text{H}$), m. 210-15° (absolute MeOH). Similarly, the following compds. were obtained (type R, R $_1$, R $_2$, and m.p. given): II, OMe, 2-[(3-hydroxy-5-hydroxymethyl-2-methyl-4-pyridyl)methylene]hydrazino, H, 157-9° (decomposition); II, OMe, 3,4,5-(MeO) $_3$ C $_6$ H $_2$ CH: NNH , H, 159-60°. Those derivs. show a high degree of activity as antidepressants and can be used as tranquilizers with a sedative action and as blood pressure depressants.
 IT **10001-31-7**, 1-Phthalazinol, 4-veratryl- **10001-37-3**, 1-Phthalazinol, 4-(3,4-diethoxybenzyl)-(preparation of)
 RN 10001-31-7 CAPLUS
 CN 1(2H)-Phthalazinone, 4-[(3,4-dimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

10/021506



RN 10001-37-3 CAPLUS

CN 1(4H)-Phthalazinone, 4-[(3,4-diethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 66 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1963:39759 CAPLUS

DOCUMENT NUMBER: 58:39759

ORIGINAL REFERENCE NO.: 58:6730e-g

TITLE: Synthesis of 2-methoxy- and 3-methoxydibenzo[a,d]-5-cycloheptatrienol

AUTHOR(S): Berti, Giancarlo; Da Settimo, Antonio; Gregori, Giuseppe; Mancini, Franco

CORPORATE SOURCE: Univ. Pisa, Italy

SOURCE: Annali di Chimica (Rome, Italy) (1962), 52, 514-34
CODEN: ANCRAI; ISSN: 0003-4592

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

GI For diagram(s), see printed CA Issue.

AB The title compds. have tranquilizing and antihistaminic properties.

3-(m-Methoxybenzal)phthalide is treated with NaOH to give

2-(m-MeOC6H4CH2CO)C6H4CO2H which is reduced with NaBH4 to

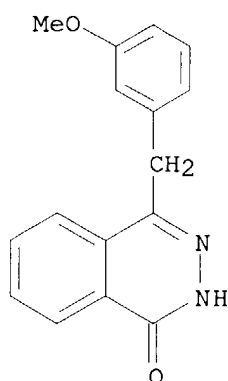
3-(m-methoxybenzyl)phthalide (I). I is dissolved in MeOH and treated with NaOH to yield trans Ia which is treated with H in presence of Adams Pt

oxide to give 2-(m-MeOC₆H₄CH₂CH₂)C₆H₄CO₂H (II). II is heated at 100° for 90 min. with polyphosphoric acid to yield 2-methoxy-dibenzo[a,d]-1,4-cycloheptadien-5-one, which is treated with N-bromosuccinimide and Bz₂O₂ and the mixture refluxed with Et₃N to yield III, m. 77-7.5°. III (0.8 g.) is dissolved in 35 ml. MeOH, 0.12 g. KBH₄ in 4 ml. H₂O and 0.2 ml. 2N NaOH added, the mixture kept overnight, MeOH distilled, the residue taken up with H₂O, and crystallized to give 0.42 g. 5-ol analog of III (IV), m. 101-3° (ligroine). Similarly prepared is 3-methoxy analog of IV, m. 120-2° (C₆H₆-petr. ether).

IT 94066-66-7, 1(2H)-Phthalazinone, 4-(m-methoxybenzyl)
(preparation of)

RN 94066-66-7 CAPLUS

CN 1(2H)-Phthalazinone, 4-(m-methoxybenzyl)- (7CI) (CA INDEX NAME)



L10 ANSWER 67 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1963:33196 CAPLUS

DOCUMENT NUMBER: 58:33196

ORIGINAL REFERENCE NO.: 58:5602b-e

TITLE: Substituted γ -lactones. IX. Synthesis of some substituted 3-arylidene-phthalides

AUTHOR(S): Zimmer, Hans; Barry, Roger D.

CORPORATE SOURCE: Univ. of Cincinnati, Cincinnati, OH

SOURCE: Journal of Organic Chemistry (1962), 27, 3710-11
CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

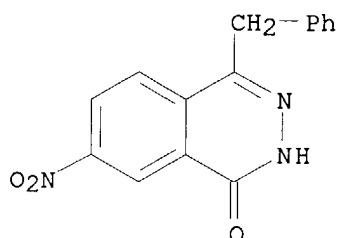
LANGUAGE: Unavailable

GI For diagram(s), see printed CA Issue.

AB cf. CA 57, 2136g. A number of benzaldehydes substituted with activating as well as deactivating groups were subjected to condensation with 6-nitrophthalide (I). No aldols were found. The procedure of Borsche (B., et al., CA 28, 40502) was used for the preparation of 3-arylidene-6-nitrophthalides without solvent, and the product recrystd. from AcOH, CHCl₃, MeNO₂, or o-C₆H₄Cl₂. I (179 g.), 250 ml. 1,2,4-trichlorobenzene, 159 ml. BzH, and 2 ml. piperidine refluxed 3 hrs. with intermittent removal of the azeotrope, the mixture cooled, treated with 500 ml. hexane, and the crystals collected gave 174 g. 3-benzylidene-6-nitrophthalide (II), m. 234-6°. II (5.3 g.), 20 ml. 95% alc., and 4 ml. 85% N₂H₄.H₂O refluxed 1.5 hrs. and the product allowed to crystallize gave 2.1 g. 4-benzyl-7-nitrophthalaz-1-ol (sic), m. 206°. II (5.3 g.) stirred 20 min. with 4.6 g. Na in 100 ml. anhydrous MeOH, the mixture acidified, and the solid collected gave 3.4 g. 2-phenyl-6-nitroindan-1,3-dione, m. 212° (o-C₆H₄Cl₂). The following 3-arylidene-6-nitrophthalides (III) were thus prepared (R, % yield, solvent of crystallization,

and m.p. given): 4-MeC₆H₄, 24, MeNO₂, 204-5°; 2-HOC₆H₄, 14, CHCl₃, 205°; 4-HOC₆H₄, 23, CHCl₃, 258-9°; 2-O₂NC₆H₄, 10, o-C₆H₄Cl₂, 216°; 3-O₂NC₆H₄, 15, MeNO₂, 251°; 4-O₂NC₆H₄, 17, MeNO₂, 292°; 4-MeOC₆H₄, 44, AcOH, 199°; 4-iso-PrC₆H₄, 31, MeNO₂, 221-2°; 3,4-methylenedioxyphenyl, 86, dioxane, 243-4°; 3,4-MeO(HO)C₆H₃, 20, o-C₆H₄Cl₂, 274°; 4-Me₂NC₆H₄, 52, o-C₆H₄Cl₂, 272-3°; 4-Et₂NC₆H₄, 55, o-C₆H₄Cl₂, 270°; 1-naphthyl, 18, o-C₆H₄Cl₂, 292-3°; 1-furfuryl, 18, MeNO₂, 206°. For all the products the trans configuration was assigned. The instability of the cis isomer was attested by examination of models.

IT **57319-59-2**, 1-Phthalazinol, 4-benzyl-7-nitro-
(preparation of)
RN 57319-59-2 CAPLUS
CN 1(2H)-Phthalazinone, 7-nitro-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 68 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1961:59519 CAPLUS
DOCUMENT NUMBER: 55:59519
ORIGINAL REFERENCE NO.: 55:11426f-i
TITLE: Phthalazine and related compounds. VI.
1-(3,4-Dimethoxybenzyl)-6,7-dimethoxyphthalazine
AUTHOR(S): Ikeda, Tetsutaro; Kanahara, Saburo
CORPORATE SOURCE: Univ. Kanazawa
SOURCE: Kanazawa Daigaku Yakugakubu Kenkyu Nempo (1960), 10,
15-17
CODEN: KDYKAB; ISSN: 0451-3231
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB cf. CA 54, 4606i. Hemipinic anhydride (8.4 g.), 8.0 g. homoveratric acid, and 0.2 g. AcONa is heated at 235° 5 hrs., cooled, dissolved in 40 ml. EtOH, the solution filtered, and the solid washed with 5% NH₄OH to give 5.6-6.0 g. 3-(3,4-dimethoxybenzal)-5,6-dimethoxyphthalide (I), light yellow needles, m. 182-3° (AcOH). I (5.0 g.) and 1.0 g. N₂H₄ in 5 ml. EtOH is refluxed 1 hr., cooled, 1 ml. 5% HCl added, and the solid washed with H₂O to give 4.5 g. 1-(3,4-dimethoxybenzal)-6,7-dimethoxyphthalaz-4-one (II), m. 210-12° (AcOH). II (2.0 g.) is heated with 6 ml. POCl₃ 5 min., excess POCl₃ removed in vacuo, the mixture poured into 50 g. ice, made alkaline with 10% Na₂CO₃, and extracted with CHCl₃ to give 2.0 g. 1-(3,4-dimethoxybenzyl)-4-chloro-6,7-dimethoxyphthalazine (III), light yellow needles, m. 175-6° (C₆H₆ or EtOH). III (1.4 g.) is dissolved in 240 ml. EtOH, catalytically reduced over 1.5 g. 1% Pd-C and 0.3 g. KOH, the mixture filtered, the filtrate evaporated, extracted with C₆H₆ under strongly alkaline conditions, the extract evaporated, and treated with a small volume of Et₂O to give 1 g. 1-(3,4-dimethoxybenzyl)-6,7-dimethoxyphthalazine, m. 120-1° [hydrochloride m. 210-12°]

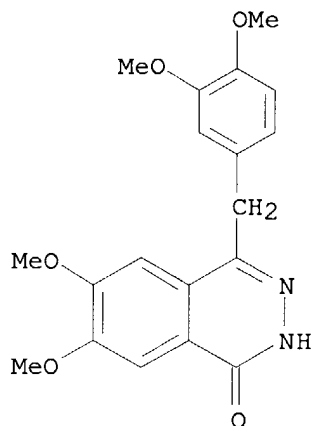
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(MeOH); picrolonate m. 214° (PhNO₂EtOH)], exhibiting smooth muscle relaxing activity.

IT 102081-86-7, 1(2H)-Phthalazinone, 6,7-dimethoxy-4-veratryl-
(preparation of)

RN 102081-86-7 CAPLUS

CN 1(2H)-Phthalazinone, 6,7-dimethoxy-4-veratryl- (6CI) (CA INDEX NAME)



L10 ANSWER 69 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1961:13528 CAPLUS

DOCUMENT NUMBER: 55:13528

ORIGINAL REFERENCE NO.: 55:2701a-e

TITLE: 5-Fluorocytosine

INVENTOR(S): Duschinsky, Robert; Heidelberger, Charles

PATENT ASSIGNEE(S): Hoffmann-La Roche Inc.

SOURCE: Division of U.S. 2,802,005 (CA 52, 2100e)

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2945038		19600712	US	

AB Alkali metal enolates of lower alkyl fluoromalonaldehydrate were condensed with an S-(lower alkyl or benzyl)isothioureia, and the product was halogenated, aminated, and then hydrolyzed to obtain the antimetabolite, 5-fluorocytosine (I), from which salts were prepared. A solution of 43 g. freshly prepared EtO₂CCF:CHOK 50.6 g. PhCH₂SC(:NH)NH₂.HCl, and 13.5 g. MeONa in 640 ml. MeOH was refluxed and stirred 2 hrs., and evaporated to dryness in vacuo. The residue was taken up in 220 ml. H₂O, 12 ml. 2N aqueous NaOH added to make the solution alkaline to phenolphthalein and the solution extracted with Et₂O. The aqueous layer was acidified with 16 ml. concentrated aqueous HCl and cooled with ice to precipitate 2-benzylthio-5-fluoro-3(4H)-pyrimidinone (II), m. 216-18° (EtOH). 2-Ethylthio-5-fluoro-3(4H)-pyrimidinone (10 g.) and 12 g. PCl₅ were heated on a steam bath to form a clear solution, the POC₁₃ removed in vacuo while heating was continued, and the oily residue added to crushed ice to cause crystallization. The mixture was extracted with Et₂O, and

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the solution dried over Na₂SO₄ and evaporated to obtain an oil (III), mainly 2-ethylthio-4-chloro-5-fluoropyrimidine, which crystallized below room temperature

III and 120 ml. liquid NH₃ in a boiling water bath were autoclaved 12 hrs., the NH₃ was evaporated, the residue taken up in 100 ml. H₂O plus 10 ml. EtOH, and the resultant crystals were filtered off and washed with H₂O until free from Cl, to give 2-ethylthio-4-amino-5-fluoropyrimidine (IV), m. 94-5° (ligroine, b. 90-120°). Crude IV (8.53 g.) and 85

ml. aqueous 48% HBr were refluxed 4 hrs. under N, the solution evaporated in vacuo,

and the residue taken up in H₂O. The solution was evaporated, the residue in

ml. hot H₂O filtered through C, 11 ml. concentrated NH₃ added, and the mixture cooled in ice and filtered to give I, m. about 297°.

IT 53242-88-9, 1(2H)-Phthalazinone, 4-p-chlorobenzyl-

57835-95-7, 1(2H)-Phthalazinone, 4-p-methoxybenzyl-

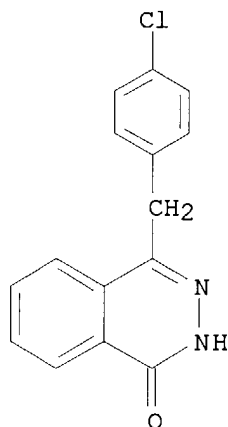
101793-14-0, 1(2H)-Phthalazinone, 4-p-isopropoxybenzyl-

101793-15-1, 1(2H)-Phthalazinone, 4-p-propoxybenzyl-

(preparation of)

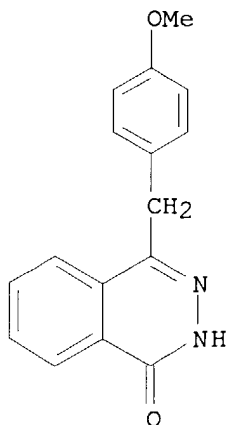
RN 53242-88-9 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)



RN 57835-95-7 CAPLUS

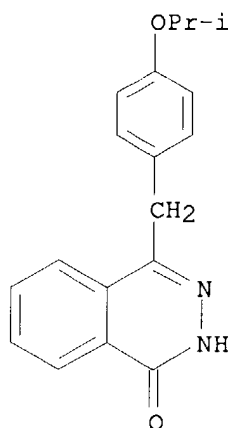
CN 1(2H)-Phthalazinone, 4-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



10/021506

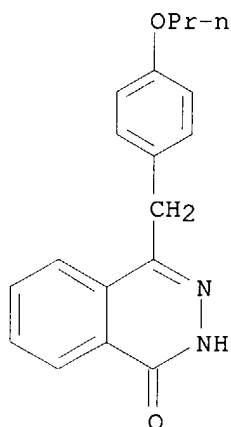
RN 101793-14-0 CAPLUS

CN 1(2H)-Phthalazinone, 4-p-isopropoxybenzyl- (6CI) (CA INDEX NAME)



RN 101793-15-1 CAPLUS

CN 1(2H)-Phthalazinone, 4-p-propoxybenzyl- (6CI) (CA INDEX NAME)



L10 ANSWER 70 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1961:13527 CAPLUS

DOCUMENT NUMBER: 55:13527

ORIGINAL REFERENCE NO.: 55:2700g-i,2701a

TITLE: Base-substituted phthalazones

INVENTOR(S): Engelbrecht, Heinz J.; Lenke, Dieter; Muller, Hildegard

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 17075		19590616	DD	

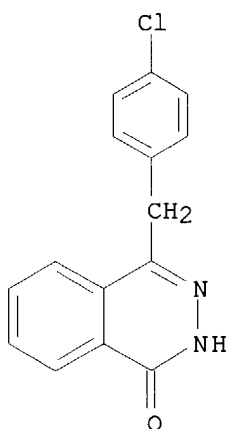
AB Reaction of alkali metal salts of 4-(R1-substituted) phthalazones (I) (R1 = aryl or aralkyl) with XR2NR3R4 (X = halogen, R2 = alkylene containing 2-5 C

atoms, R3 and R4 = lower alkyls, which may be part of a heterocycle) gave 2-R3R4NR2 4-R1 derivs. (II) of phthalazone. II were excellent antihistaminics which also had spasmolytic and local anesthetic properties. Thus, K salt of I (R1 = PhCH2) 14.8 heated several hrs. in PhMe with Cl(CH2)2NEt2 (III) gave II (R1 = PhCH2, R2 = (CH2)2, R3 = R4 = Et) 14.1 parts, b0.1 208-14°; HCl salt m. 142-3°. With Cl(CH2)2NMe2 the corresponding II was obtained, b0.3 215-22°; HCl salt m. 178°; methiodide m. 200°. Similarly, Cl(CH2)3NMe2 gave the corresponding II, b0.5 218°; HCl salt m. 173-4°. I (R1 = Ph) was treated in PhMe with NaNH2 at 90° until no more NH3 was evolved then with III to give II (R1 = Ph, R2 = (CH2)2, R3 = R4 = Et), b0.5 225-30°; HCl salt m. 198°. In the same way were made the following II (R1, R2, R3, R4, b.p./mm., and m.p. of HCl salt given): p-ClC6H4CH2, (CH2)2, Me, Me, 215-20°/0.2, 248°; p-PrOC6H4CH2, (CH2)2, Me, Me, -, 142°; p-MeC6H4CH2, (CH2)2, Me, Me, 220-3°/0.2, 202-3°; p-iso-PrOC6H4CH2, (CH2)2, (R3R4:) CH2CH2OCH2CH2, -, 100-1°. 2-(2-Dimethylaminomethyl)-4-benzyl-6(7)-chlorophthalazone, b0.5 230-40°, was prepared HCl salt m. 203-4°. The starting I were prepared by condensation of the corresponding phthalides (IV) with N2H4 (V). Thus, p-ClC6H4CH2CO2H with phthalic anhydride (VI) gave p-chlorobenzaldehyde, m. 150°, which with VI gave I (R1 = p-ClC6H4CH2), m. 218°. Similarly were prepared the following V and I (R1 and m.ps. given): p-PrOC6H4CH2, 99-100°, 190°; p-MeOC6H4CH2, 148°, 196°; p-iso-PrOC6H4CH2, -, 208°. 4-Benzyl-6(7)-chlorophthalazone, m. 163°, was prepared from benzal-4(5)-chlorophthalide, m. 156-7°.

IT **53242-88-9**, 1(2H)-Phthalazinone, 4-p-chlorobenzyl-
57835-95-7, 1(2H)-Phthalazinone, 4-p-methoxybenzyl-
101793-14-0, 1(2H)-Phthalazinone, 4-p-isopropoxybenzyl-
101793-15-1, 1(2H)-Phthalazinone, 4-p-propoxybenzyl-
 (preparation of)

RN 53242-88-9 CAPLUS

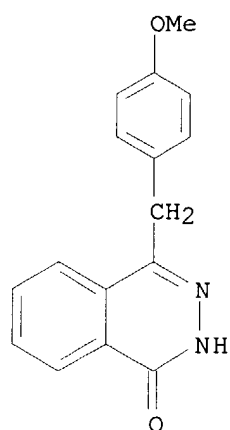
CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)



RN 57835-95-7 CAPLUS

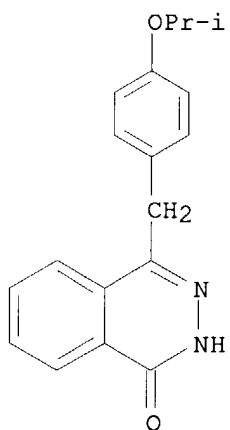
CN 1(2H)-Phthalazinone, 4-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

10/021506



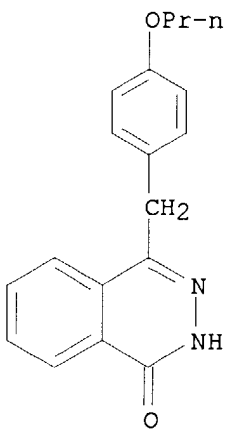
RN 101793-14-0 CAPLUS

CN 1(2H)-Phthalazinone, 4-p-isopropoxybenzyl- (6CI) (CA INDEX NAME)



RN 101793-15-1 CAPLUS

CN 1(2H)-Phthalazinone, 4-p-propoxybenzyl- (6CI) (CA INDEX NAME)



10/021506

L10 ANSWER 71 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1960:23165 CAPLUS

DOCUMENT NUMBER: 54:23165

ORIGINAL REFERENCE NO.: 54:4606i,4607a-f

TITLE: Phthalazine and related compounds. V. 1-(o-Toluoyl)and 1-benzyl-6,7-dimethoxyphthalazine

AUTHOR(S): Ikeda, Tetsutaro; Kanahara, Saburo

CORPORATE SOURCE: Univ. Kanazawa

SOURCE: Kanazawa Daigaku Yakugakubu Kenkyu Nempo (1959), 9, 6-11

CODEN: KDYKAB; ISSN: 0451-3231

DOCUMENT TYPE: Journal

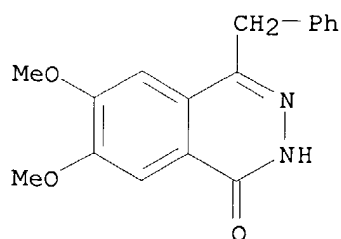
LANGUAGE: Unavailable

AB cf. C.A. 52, 6361d. Grignard reagent prepared from 5 g. obromotoluene, 0.7 g. Mg, and 15 cc. Et₂O is dropped into a warm solution of 6 g. metahemipinic anhydride in 180 cc. C₆H₆ during 30 min., refluxed 2 more hrs., kept overnight, and evaporated. The residue is stirred with 20 cc. saturated NH₄Cl solution and 30 cc. 10% HCl, warmed a while, cooled, and filtered. The resinous matter is mixed with warm NaHCO₃ solution, filtered, and the filtrate acidified with HCl to give 4 g. 2-(o-toluoyl)-4,5-dimethoxybenzoic acid (I), m. 206-8° (C₆H₆ or C₆H₆-EtOH). I (0.5 g.) is heated with 30 cc. 2% KMnO₄ in the presence of 10 cc. 5% Na₂CO₃ solution to give 0.5 g. 4,5-dimethoxybenzophenone-2,2'-dicarboxylic acid, columns, m. 145-7° (decomposition); further heating gives the anhydride, m. 229-30° (C₆H₆ or EtOH). I (0.5 g.) is heated with 10 cc. concentrated H₂SO₄ at 80-5° then poured over ice to give 0.3 g. 1-methyl-6,7-dimethoxyanthraquinone, yellowish orange needles, m. 221-3° (C₆H₆). I is condensed with PhCH₂CO₂H, the product (II) (3 g.) refluxed with 10 cc. 10% Na₂CO₃ and 20 cc. 2% NaOH and then acidified with dilute HCl to give 2.5 g. 2-phenylacetyl-4,5-dimethoxybenzoic acid (III), m. 116-18°. To 0.6 g. III in 10 cc. 5% Na₂CO₃ is added 30 cc. 2% KMnO₄ during 1 hr. to give 0.55 g. 4,5-dimethoxybenzil-2-carboxylic acid (IV), m. 175-7° (C₆H₆-petr. benzin). IV (0.1 g.) and 0.04 g. o-phenylenediamine is refluxed in 2 cc. EtOH 4 hrs. to give 0.1 g. V, m. 229-30° (EtOH). II (4 g.) and 1 g. N₂H₄.H₂O is refluxed with 5 cc. EtOH 2 hrs., cooled, and acidified with dilute HCl to give 4 g. 1-benzyl-6,7-dimethoxy-4-phthalazone (VI), needles, m. 182-4° (MeOH or EtOH). Similar treatment with II also affords VI in the same yield. VI (6 g.) is gently warmed with 7 cc. POCl₃, then boiled 5 min., and evaporated in vacuo. The sirupy residue is stirred with 10 g. ice, made alkaline with NH₄OH, extracted with CHCl₃ several times, and the extract evaporated to give 1.3 g. 1-benzyl-4-chloro-6,7-dimethoxyphthalazine (VII), m. 154-5° (MeOH). Catalytic reduction of 0.7 g. VII using 0.3 g. Pd-C, 50 cc. EtOH, and 0.5 g. NaOH at room temperature gives 0.6 g. 1-benzyl-6,7-dimethoxyphthalazine, needles, m. 156° (xylene); picrate m. 180-2° (alc.).

IT **102025-92-3**, 1(2H)-Phthalazinone, 4-benzyl-6,7-dimethoxy- (preparation of)

RN 102025-92-3 CAPLUS

CN 1(2H)-Phthalazinone, 4-benzyl-6,7-dimethoxy- (6CI) (CA INDEX NAME)



L10 ANSWER 72 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1959:23334 CAPLUS

DOCUMENT NUMBER: 53:23334

ORIGINAL REFERENCE NO.: 53:4287h-i,4288a-c

TITLE: Phthalazine and related compounds. IV. Synthesis of 1-phenyl- and 1-benzyl-6,7-dimethoxyphthalazine

AUTHOR(S): Ikeda, Tetsutaro; Kanahara, Saburo; Ujiie, Toshimitsu

CORPORATE SOURCE: Kanazawa Univ.

SOURCE: Kanazawa Daigaku Yakugakubu Kenkyu Nempo (1958), 8, 1-4

CODEN: KDYKAB; ISSN: 0451-3231

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

AB cf. C.A. 52, 6361d. Veratric acid (32 g.) heated with 230 cc. HCl-saturated (at 15-20°) HCHO 6-7 hrs. at 60-70°, kept overnight, concentrated in vacuo, 100 cc. H₂O added, and the solution neutralized with NH₄OH gives 23 g. m-mecconin (I), colorless needles, m. 154-6° (MeOH), yielding with alkaline KMnO₄ 91% m-hemipinic acid (II). A mixture of 40 g. II and 100 g.

Ac₂O refluxed 15 min., concentrated to 1/2 volume, cooled, and the separated mass

treated with ether and dried over NaOH gives 34 g. m-hemipinic anhydride (III), m. 175-7°. A warm (50-60°) mixture of 4.4 g. III and 300 cc. C₆H₆ treated dropwise during 3 min., with a Grignard reagent prepared from 0.4 g. Mg, 3.4 g. PhBr, and 12 cc. Et₂O refluxed 4 hrs. with occasional shaking, 20 cc. 30% NH₄Cl and 5 cc. concentrated HCl, added, and the separated C₆H₆-Et₂O layer evaporated gives 1.8 g. 2,4,5-Bz(MeO)₂C₆H₂CO₂H (IV), needles, m. 195-7° (C₆H₆ or alc.). Similar treatment of 5 g. III with a Grignard reagent prepared from 0.6 g. Mg, 3.1 g. PhCH₂Cl, and 20 cc. Et₂O gives 1.2 g. 2-PhCH₂CO homolog (V), microneedles, m. 206-7° (MeOH). IV (2 g.) in 4 cc. alc. refluxed with 0.8 g. N₂H₄ 1 hr. gives 1.5 g. 1-phenyl-6,7-dimethoxy-4-phthalazone (VI), needles, m. 257-60° (alc.). Similarly from V is prepared the 1-PhCH₂ homolog (VII), m. 234-5° (AcOH). VI (1.3 g.) heated with 8 g. POCl₃ some min., poured into 70 g. ice, and made alkaline with diluted NH₄OH gives 1-phenyl-4-chloro-6,7-dimethoxyphthalazine (VIII), light yellow needles, m. 178-9° (decomposition; alc.). Similarly III gives the 1-benzyl homolog (IX), light yellow needles, m. 160-2° (diluted alc.). A suspension of 0.3 g. VIII in 90 cc. EtOH reduced with H, with 1 g. Raney Ni, and 0.1 g. NaOH at 5°, filtered, the filtrate evaporated, the residue extracted with C₆H₆, the extract evaporated, and the residue treated

with

Et₂O gives 1-phenyl-6,7-dimethoxyphthalazine, m. 127° (xylene); picrate m. 175-7° (alc.). IV similarly gives the 1-benzyl homolog, needles, m. 129-30° (xylene); picrate, m. 193.5-4° (MeOH).

IT **102025-92-3**, 1(2H)-Phthalazinone, 4-benzyl-6,7-dimethoxy- (preparation of)

RN 102025-92-3 CAPLUS

CN 1(2H)-Phthalazinone, 4-benzyl-6,7-dimethoxy- (6CI) (CA INDEX NAME)

10/021506

